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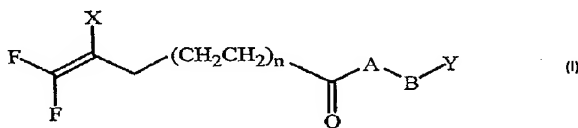
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(54) Title: FLUOROALKENYL DERIVATIVES AS INSECTICIDES AND NEMATOCIDES



(57) Abstract: Disclosed are compounds of Formula (I), including all geometric and stereoisomers, *N*-oxides, and salts thereof, wherein X is H, F, C₁-C₄ alkyl, or C₁-C₄ haloalkyl; A is O, S or NR¹; B is C₁-C₄ alkylene; Y is a 5- or 6-membered heteroaromatic ring or an aromatic 8-, 9- or 10-membered fused heterobicyclic ring system, each ring or ring system optionally substituted with 1 to 6 substituents independently selected from R²; or Y is O(CH₂CH₂O)_mR³; and R¹, R² and R³, n and m are as defined in the disclosure. Also disclosed are compositions containing the compounds of Formula (I) and methods for controlling an invertebrate pest comprising contacting the invertebrate pest or its environment with a biologically effective amount of a compound or a composition of the invention, said composition optionally further comprising a biologically effective amount of at least one additional biologically active compound or agent.

FLUOROALKENYL DERIVATIVES AS INSECTICIDES AND NEMATOCIDES

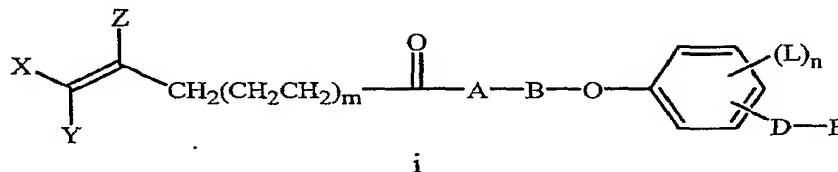
FIELD OF THE INVENTION

This invention relates to certain fluoroalkenyl compounds, their *N*-oxides, salts and compositions suitable for agronomic and nonagronomic uses, including those uses listed below, and methods of their use for controlling invertebrate pests such as insects and nematodes in both agronomic and nonagronomic environments.

BACKGROUND OF THE INVENTION

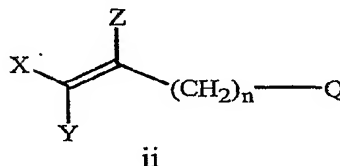
The control of invertebrate pests is extremely important in achieving high crop efficiency. Invertebrate pest damage to growing and stored agronomic crops can cause significant reduction in productivity and thereby result in increased costs to the consumer. The control of invertebrate pests in forestry, greenhouse crops, ornamentals, nursery crops, stored food and fiber products, livestock, household, turf, wood products, and public and animal health is also important. Many products are commercially available for these purposes, but the need continues for new compounds which are more effective, less costly, less toxic, environmentally safer or have different modes of action.

US 5,481,013 discloses compounds of Formula i useful as pesticides



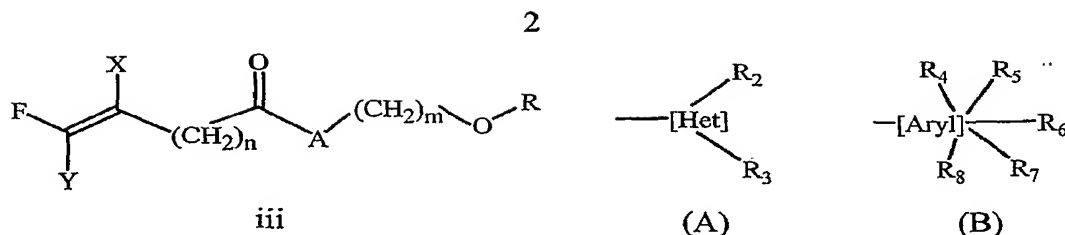
wherein, *inter alia*, X is fluorine; Y is chlorine or fluorine; Z is H, F or methyl; A is O, S or NR₁; B is C₂-C₆ alkylene; and m is 0 to 5.

US 5,623,084 discloses fluorinated alkenes of Formula ii useful for controlling nematodes, insects and acarids that feed on agricultural crops



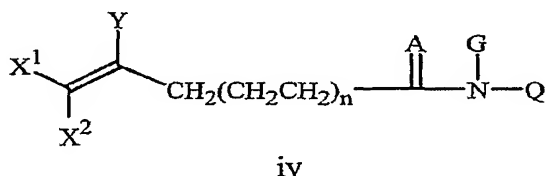
wherein, *inter alia*, X, Y and Z are fluorine; Q is (C=O)-R₁₁; R₁₁ is -OR₁₂, -SR₁₂ or -NR₁₂R₁₃; and n is 1, 3, 5, 7, 9 or 11.

PCT Patent Publication WO 01/36367 discloses fluoroalkenyl compounds of Formula iii useful for controlling ectoparasites on domestic animals, livestock and pets



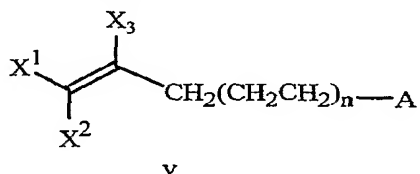
wherein, *inter alia*, X is H, halogen, phenyl or C₁-C₄ alkyl; Y is F or Cl; A is O, S or NR¹; R is H or one of groups (A) or (B); n is from 1 to 12; and m is from 2 to 6.

WO 2004/052872 discloses fluoroalkenes of Formula iv useful as pesticides



wherein, *inter alia*, X¹ and X² are halogen; Y is H, halogen, alkyl, haloalkyl or phenyl; n is 0 to 5; A is O or S; G is H, alkyl, acyl; and Q is an optionally substituted 5- to 12-membered heterocyclic group.

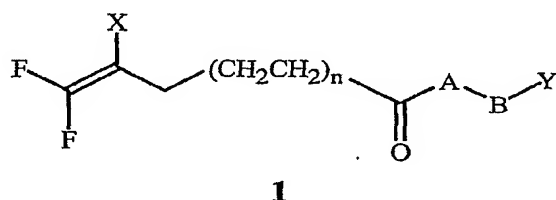
US 5,248,810 discloses fluorinated alkenes of Formula v useful as pesticides



wherein, *inter alia*, X¹ and X² are fluorine; X³ is H, methyl, ethyl, halomethyl, fluorine; A is (C=D)-E-R¹; D is O; E is O, S or NR⁴; R¹ is aryl or aralkyl; R⁴ is H; and n is 0, 1, 2 or 3.

SUMMARY OF THE INVENTION

This invention is directed to compounds of Formula 1 including all geometric and stereoisomers, *N*-oxides, and salts thereof,



wherein:

X is H, F, C₁-C₄ alkyl, or C₁-C₄ haloalkyl;

A is O, S or NR¹;

B is C₁-C₄ alkylene;

Y is a 5- or 6-membered heteroaromatic ring or an aromatic 8-, 9- or 10-membered fused heterobicyclic ring system, each ring or ring system optionally substituted with 1 to 6 substituents independently selected from R²; or

Y is O(CH₂CH₂O)_mR³;

5 R¹ is H, C₁-C₄ alkyl, C₁-C₄ haloalkyl, phenylthio, methylphenylthio, or trichloromethylthio;

each R² is independently C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₄-C₇ alkylcycloalkyl, C₄-C₇ cycloalkylalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, C₄-C₇ haloalkylcycloalkyl, C₄-C₇ halocycloalkylalkyl, halogen, -CN, -NO₂, CHO, COOH, NH₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₂-C₆ alkoxyalkyl, C₂-C₆ haloalkoxyalkyl, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₄-C₇ (alkyl)(cycloalkyl)amino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl; or

each R² is independently a 5- or 6-membered heteroaromatic ring, phenyl, phenylmethyl, (phenyloxy)(C₁-C₄ alkyl) or (phenylmethyloxy)(C₁-C₄ alkyl), each heteroaromatic ring or phenyl optionally substituted with one or more R⁴;

20 R³ is H; or C₁-C₄ alkyl, phenyl, phenylmethyl, C₂-C₆ alkylcarbonyl, C₂-C₆ alkylaminocarbonyl or C₃-C₈ dialkylaminocarbonyl, each optionally substituted with one or more R⁵;

each R⁴ is independently C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₄-C₇ alkylcycloalkyl, C₄-C₇ cycloalkylalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, C₄-C₇ haloalkylcycloalkyl, C₄-C₇ halocycloalkylalkyl, halogen, -CN, -NO₂, CHO, COOH, NH₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₄-C₇ (alkyl)(cycloalkyl)amino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

each R⁵ is independently C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₄-C₇ alkylcycloalkyl, C₄-C₇ cycloalkylalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, C₄-C₇ haloalkylcycloalkyl, C₄-C₇ halocycloalkylalkyl, halogen, -CN, -NO₂, CHO, COOH, NH₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₄-C₇ (alkyl)(cycloalkyl)amino, C₂-C₄ alkylcarbonyl,

C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

n is 0, 1 or 2; and

m is 1, 2, 3, 4 or 5.

5 This invention also provides a composition for controlling an invertebrate pest comprising a biologically effective amount of a compound of Formula 1 and at least one additional component selected from the group consisting of surfactants, solid diluents and liquid diluents, said composition optionally further comprising a biologically effective amount of at least one additional biologically active compound or agent.

10 This invention further provides a spray composition for controlling an invertebrate pest comprising a biologically effective amount of a compound of Formula 1 or the composition described above and a propellant. This invention also provides a bait composition for controlling an invertebrate pest comprising a biologically effective amount of a compound of Formula 1 or the composition described above, one or more food materials, optionally an attractant, and optionally a humectant.

15 This invention further provides a trap device for controlling an invertebrate pest comprising said bait composition and a housing adapted to receive said bait composition, wherein the housing has at least one opening sized to permit the invertebrate pest to pass through the opening so the invertebrate pest can gain access to said bait composition from a location outside the housing, and wherein the housing is further adapted to be placed in or near a locus of potential or known activity for the invertebrate pest.

20 This invention also provides a method for controlling an invertebrate pest comprising contacting the invertebrate pest or its environment with a biologically effective amount of a compound of Formula 1 (e.g., as a composition described herein). This invention also relates to such method wherein the invertebrate pest or its environment is contacted with a composition comprising a biologically effective amount of a compound of Formula 1 and at least one additional component selected from the group consisting of surfactants, solid diluents and liquid diluents, said composition optionally further comprising a biologically effective amount of at least one additional biologically active compound or agent.

30 DETAILS OF THE INVENTION

As used herein, the terms "comprises," "comprising," "includes," "including," "has," "having," "contains" or "containing," or any other variation thereof, are intended to cover a non-exclusive inclusion. For example, a composition, a mixture, process, method, article, or apparatus that comprises a list of elements is not necessarily limited to only those elements but may include other elements not expressly listed or inherent to such composition, mixture, process, method, article, or apparatus. Further, unless expressly stated to the contrary, "or" refers to an inclusive or and not to an exclusive or. For example, a condition A or B is

satisfied by any one of the following: A is true (or present) and B is false (or not present), A is false (or not present) and B is true (or present), and both A and B are true (or present).

Also, the indefinite articles "a" and "an" preceding an element or component of the invention are intended to be nonrestrictive regarding the number of instances (i.e. occurrences) of the element or component. Therefore "a" or "an" should be read to include one or at least one, and the singular word form of the element or component also includes the plural unless the number is obviously meant to be singular. For example, a composition of the present invention comprises a biologically effective amount of "a" compound of Formula 1 which should be read that the composition includes one or at least one compound of Formula 1.

As referred to in this disclosure, the term "invertebrate pest" includes arthropods, gastropods and nematodes of economic importance as pests. The term "arthropod" includes insects, mites, spiders, scorpions, centipedes, millipedes, pill bugs and symphylans. The term "gastropod" includes snails, slugs and other Stylommatophora.

In the context of this disclosure "invertebrate pest control" means inhibition of invertebrate pest development (including mortality, feeding reduction, and/or mating disruption), and related expressions are defined analogously.

The term "agronomic" refers to the production of field crops such as for food and fiber and includes the growth of corn, soybeans and other legumes, rice, cereal (e.g., wheat, oats, barley, rye, rice, maize), leafy vegetables (e.g., lettuce, cabbage, and other cole crops), fruiting vegetables (e.g., tomatoes, pepper, eggplant, crucifers and cucurbits), potatoes, sweet potatoes, grapes, cotton, tree fruits (e.g., pome, stone and citrus), small fruit (berries, cherries) and other specialty crops (e.g., canola, sunflower, olives). The term "nonagronomic" refers to other horticultural crops (e.g., greenhouse, nursery or ornamental plants not grown in a field), residential and commercial structures in urban and industrial settings, turf (e.g., sod farm, pasture, golf course, residential lawn, recreational sports field, etc.), wood products, stored product, agro-forestry and vegetation management, public health (human) and animal health (e.g., domesticated animals such as pets, livestock and poultry, undomesticated animals such as wildlife) applications.

In the above recitations, the term "alkyl", used either alone or in compound words such as "alkylthio" or "haloalkyl" includes straight-chain or branched alkyl, such as, methyl, ethyl, *n*-propyl, *i*-propyl, or the different butyl, pentyl or hexyl isomers. The term "1-2 alkyl" indicates that one or two of the available positions for that substituent may be alkyl which are independently selected. "Alkenyl" includes straight-chain or branched alkenes such as ethenyl, 1-propenyl, 2-propenyl, and the different butenyl, pentenyl and hexenyl isomers. "Alkenyl" also includes polyenes such as 1,2-propadienyl and 2,4-hexadienyl. "Alkynyl" includes straight-chain or branched alkynes such as ethynyl, 1-propynyl, 2-propynyl and the different butynyl, pentynyl and hexynyl isomers. "Alkynyl" can also

include moieties comprised of multiple triple bonds such as 2,5-hexadiynyl. "Alkylene" denotes a straight-chain or branched alkanediyl. Examples of "alkylene" include CH_2 , CH_2CH_2 , $\text{CH}(\text{CH}_3)$, $\text{CH}_2\text{CH}_2\text{CH}_2$, $\text{CH}_2\text{CH}(\text{CH}_3)$ and the different butylene isomers. "Alkoxy" includes, for example, methoxy, ethoxy, *n*-propyloxy, isopropyloxy and the different butoxy, pentoxy and hexyloxy isomers. "Alkoxyalkyl" denotes alkoxy substitution on alkyl. Examples of "alkoxyalkyl" include CH_3OCH_2 , $\text{CH}_3\text{OCH}_2\text{CH}_2$, $\text{CH}_3\text{CH}_2\text{OCH}_2$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{OCH}_2$ and $\text{CH}_3\text{CH}_2\text{OCH}_2\text{CH}_2$. "Alkylthio" includes branched or straight-chain alkylthio moieties such as methylthio, ethylthio, and the different propylthio, butylthio, pentylthio and hexylthio isomers. "Alkylsulfinyl" includes both enantiomers of an alkylsulfinyl group. Examples of "alkylsulfinyl" include $\text{CH}_3\text{S}(\text{O})$, $\text{CH}_3\text{CH}_2\text{S}(\text{O})$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{S}(\text{O})$, $(\text{CH}_3)_2\text{CHS}(\text{O})$ and the different butylsulfinyl, pentylsulfinyl and hexylsulfinyl isomers. Examples of "alkylsulfonyl" include $\text{CH}_3\text{S}(\text{O})_2$, $\text{CH}_3\text{CH}_2\text{S}(\text{O})_2$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{S}(\text{O})_2$, $(\text{CH}_3)_2\text{CHS}(\text{O})_2$ and the different butylsulfonyl, pentylsulfonyl and hexylsulfonyl isomers. "Alkylamino", "dialkylamino", and the like, are defined analogously to the above examples. "Cycloalkyl" includes, for example, cyclopropyl, cyclobutyl, cyclopentyl, and cyclohexyl. The term "cycloalkylamino" includes the same groups linked through an amino atom such as cyclopentylamino and cyclohexylamino. The term "(alkyl)cycloalkylamino" denotes a straight-chain or branched alkyl moieties bonded to a cycloalkylamino group. Examples of "cycloalkylalkyl" include cyclopropylmethyl, cyclopentylethyl, and other cycloalkyl moieties bonded to straight-chain or branched alkyl groups. "Alkylcycloalkyl" denotes alkyl substitution on a cycloalkyl moiety. Examples include 4-methylcyclohexyl and 3-ethylcyclopentyl.

The term "ring system" denotes two or more fused rings. The terms "bicyclic ring system" and "fused bicyclic ring system" denote a ring system consisting of two fused rings, in which either ring can be saturated, partially unsaturated, or fully unsaturated unless otherwise indicated.

The terms "heterocyclic ring", "heteroaromatic ring" and "heterocycle" denote a ring in which at least one atom forming the ring backbone is not carbon, e.g., nitrogen, oxygen or sulfur. Typically a heterocyclic ring contains no more than 4 nitrogens, no more than 2 oxygens and no more than 2 sulfurs. The term "fused heterobicyclic ring system" denotes a fused bicyclic ring system in which at least one ring atom is not carbon (i.e. the bicyclic ring system contains at least one heteroatom).

"Aromatic" indicates that each of the ring atoms is essentially in the same plane and has a *p*-orbital perpendicular to the ring plane, and in which $(4n + 2) \pi$ electrons, where *n* is a positive integer, are associated with the ring to comply with Hückel's rule. When a fully unsaturated heterocyclic ring satisfies Hückel's rule, then said ring is also called a "heteroaromatic ring" or "aromatic heterocyclic ring". The term "aromatic fused

heterobicyclic ring system" denotes a fused heterobicyclic ring system in which at least one ring of the ring system is aromatic.

Unless otherwise indicated, heteroaromatic rings and aromatic fused heterobicyclic ring systems in compounds of Formula 1 are attached through any available carbon atom or nitrogen atom by replacement of a hydrogen atom on said carbon atom or nitrogen atom. All substituents are attached to these rings or ring systems through any available carbon atom or nitrogen atom by replacement of a hydrogen atom on said carbon atom or nitrogen atom. The term "optionally substituted" in connection with aromatic ring groups (i.e. aromatic rings and ring systems) refers to groups that are unsubstituted or have at least one non-hydrogen substituent. Commonly, the number of optional substituents (when present) ranges from one to five.

The term "halogen", either alone or in compound words such as, "haloalkyl", includes fluorine, chlorine, bromine or iodine. Further, when used in compound words such as "haloalkyl", said alkyl may be partially or fully substituted with halogen atoms which may be the same or different. Examples of "haloalkyl" include F_3C- , $ClCH_2-$, CF_3CH_2- and CF_3CCl_2- . The terms "haloalkenyl", "haloalkynyl", "halocycloalkyl", "haloalkoxy", "haloalkoxyalkyl", "haloalkylcycloalkyl", "halocycloalkylalkyl", and the like, are defined analogously to the term "haloalkyl". Examples of "haloalkenyl" include $(Cl)_2C=CHCH_2-$ and $CF_3CH_2CH=CHCH_2-$. Examples of "haloalkynyl" include $HC\equiv CCHCl-$, $CF_3C\equiv C-$, $CCl_3C\equiv C-$ and $FCH_2C\equiv CCH_2-$. Examples of "haloalkoxy" include CF_3O- , CCl_3CH_2O- , $HCF_2CH_2CH_2O-$ and CF_3CH_2O- . Examples of "haloalkylthio" include CCl_3S- , CF_3S- , CCl_3CH_2S- and $ClCH_2CH_2CH_2S-$. Examples of "haloalkoxyalkyl" include CF_3OCH_2- , $CCl_3CH_2OCH_2CH_2-$, $HCF_2CH_2CH_2OCHF-$ and $CF_3CH_2OCCl_2-$.

"Phenylmethyl" denotes $C_6H_5CH_2-$. The term "(phenyloxy)(C_1 - C_4 alkyl)" denotes a C_6H_5O- moiety bonded to straight-chain or branched alkyl groups which contain no more than 4 carbon atoms. The term "(phenylmethyloxy)(C_1 - C_4 alkyl)" denotes a $C_6H_5CH_2O-$ moiety bonded to straight-chain or branched alkyl groups which contain no more than 4 carbon atoms. It is noted that for R^2 being, inter alia, phenyl, phenylmethyl, (phenyloxy)(C_1 - C_4 alkyl) or (phenylmethyloxy)(C_1 - C_4 alkyl), each phenyl is optionally substituted with one or more R^4 ; thus in each aforesaid phenyl or phenyl-containing R^2 groups, the phenyl moiety is optionally substituted with one or more R^4 .

"Alkylcarbonyl" denotes a straight-chain or branched alkyl moiety bonded to a $C(=O)$ moiety. Examples of "alkylcarbonyl" include $CH_3C(=O)-$, $CH_3CH_2CH_2C(=O)-$ and $(CH_3)_2CHC(=O)-$. Examples of "alkoxycarbonyl" include $CH_3OC(=O)-$, $CH_3CH_2OC(=O)-$, $CH_3CH_2CH_2OC(=O)-$, $(CH_3)_2CHOC(=O)-$ and the different butoxy- or pentoxycarbonyl isomers. Examples of "alkylaminocarbonyl" include $CH_3NHC(=O)-$, $CH_3CH_2NHC(=O)-$, $CH_3CH_2CH_2NHC(=O)-$, $(CH_3)_2CHNHC(=O)-$ and the different butylamino- or pentylaminocarbonyl isomers. Examples of "dialkylaminocarbonyl" include

$(\text{CH}_3)_2\text{NC}(=\text{O})-$, $(\text{CH}_3\text{CH}_2)_2\text{NC}(=\text{O})-$, $\text{CH}_3\text{CH}_2(\text{CH}_3)\text{NC}(=\text{O})-$, $(\text{CH}_3)_2\text{CHN}(\text{CH}_3)\text{C}(=\text{O})-$ and $\text{CH}_3\text{CH}_2\text{CH}_2(\text{CH}_3)\text{NC}(=\text{O})-$.

“Trialkylsilyl” includes three branched and/or straight-chain alkyl radicals attached to and linked through a silicon atom such as trimethylsilyl, triethylsilyl and *t*-butyl-dimethylsilyl.

The total number of carbon atoms in a substituent group is indicated by the “C_i-C_j” prefix where i and j are numbers from 1 to 8. For example, C₁-C₄ alkylsulfonyl designates methylsulfonyl through butylsulfonyl; C₂ alkoxyalkyl designates CH₃OCH₂; C₃ alkoxyalkyl designates, for example, CH₃CH(OCH₃), CH₃OCH₂CH₂ or CH₃CH₂OCH₂. C₄-C₇ cycloalkylalkyl includes, for example, cyclopropylmethyl, cyclopropylethyl, cyclobutylmethyl, cyclopentylmethyl, 1-cyclobutylethyl, 2-cyclobutylethyl, 2-cyclopropylpropyl and 2-cyclopentylethyl. C₄-C₇ (alkyl)(cycloalkyl)amino designates a amino group substituted with various isomers of a cycloalkyl group and an alkyl group to include a total of four to seven carbon atoms; examples include (2,2-dimethylethyl)-(cyclopropyl)amino-, (methyl)(cyclopentyl)amino and (methyl)(cyclohexyl)amino.

The term “optionally substituted” in connection with the heteroaromatic rings refers to groups which are unsubstituted or have at least one non-hydrogen substituent that does not extinguish the biological activity possessed by the unsubstituted analog. As used herein, the following definitions shall apply unless otherwise indicated. The term “optionally substituted” is used interchangeably with the phrase “substituted or unsubstituted” or with the term “(un)substituted.” Unless otherwise indicated, an optionally substituted group may have a substituent at each substitutable position of the group, and each substitution is independent of the other.

When a compound (or a substituent) is substituted with one or more instances of a substituent, e.g., “R^x”, the instances of R^x (when they exceed 1) are independently selected from the group of possible radicals as defined in the Summary of the Invention for the substituent. In addition, R^x can also be represented as “(R^x)_k” wherein the subscript “k” indicates the number of instances of the substituent. For example, Y can be optionally substituted with 1 to 6 R², and thus one or more instances of R² can also be represented as “(R²)_k” wherein k may be selected from the integers between 0 and 6, because Y may be unsubstituted or substituted with 1 to 6 R². When a group contains a substituent which can be hydrogen, for example R¹ or R³, then, when this substituent is taken as hydrogen, it is recognized that this is equivalent to said group being unsubstituted.

Compounds of this invention can exist as one or more stereoisomers. The various stereoisomers include enantiomers, diastereomers, atropisomers and geometric isomers. One skilled in the art will appreciate that one stereoisomer may be more active and/or may exhibit beneficial effects when enriched relative to the other stereoisomer(s) or when separated from the other stereoisomer(s). Additionally, the skilled artisan knows how to

separate, enrich, and/or to selectively prepare said stereoisomers. The compounds of the invention may be present as a mixture of stereoisomers, individual stereoisomers, or as an optically active form.

One skilled in the art will appreciate that not all nitrogen-containing heterocycles can form *N*-oxides since the nitrogen requires an available lone pair for oxidation to the oxide; one skilled in the art will recognize those nitrogen containing heterocycles which can form *N*-oxides. One skilled in the art will also recognize that tertiary amines can form *N*-oxides. Synthetic methods for the preparation of *N*-oxides of heterocycles and tertiary amines are very well known by one skilled in the art including the oxidation of heterocycles and tertiary amines with peroxy acids such as peracetic and *m*-chloroperbenzoic acid (MCPBA), hydrogen peroxide, alkyl hydroperoxides such as *t*-butyl hydroperoxide, sodium perborate, and dioxiranes such as dimethyldioxirane. These methods for the preparation of *N*-oxides have been extensively described and reviewed in the literature, see for example: T. L. Gilchrist in *Comprehensive Organic Synthesis*, vol. 7, pp 748-750, S. V. Ley, Ed., Pergamon Press; M. Tisler and B. Stanovnik in *Comprehensive Heterocyclic Chemistry*, vol. 3, pp 18-20, A. J. Boulton and A. McKillop, Eds., Pergamon Press; M. R. Grimmett and B. R. T. Keene in *Advances in Heterocyclic Chemistry*, vol. 43, pp 149-161, A. R. Katritzky, Ed., Academic Press; M. Tisler and B. Stanovnik in *Advances in Heterocyclic Chemistry*, vol. 9, pp 285-291, A. R. Katritzky and A. J. Boulton, Eds., Academic Press; and G. W. H. Cheeseman and E. S. G. Werstiuk in *Advances in Heterocyclic Chemistry*, vol. 22, pp 390-392, A. R. Katritzky and A. J. Boulton, Eds., Academic Press.

The salts of the compounds of the invention include acid-addition salts with inorganic or organic acids such as hydrobromic, hydrochloric, nitric, phosphoric, sulfuric, acetic, butyric, fumaric, lactic, maleic, malonic, oxalic, propionic, salicylic, tartaric, 4-toluenesulfonic or valeric acids. The salts of the compounds of the invention also include those formed with organic bases (e.g., pyridine, ammonia, or triethylamine) or inorganic bases (e.g., hydrides, hydroxides, or carbonates of sodium, potassium, lithium, calcium, magnesium or barium) when the compound contains an acidic group such as a carboxylic acid or phenol. Accordingly, the present invention comprises compounds of Formula 1, an *N*-oxide, or a salt thereof.

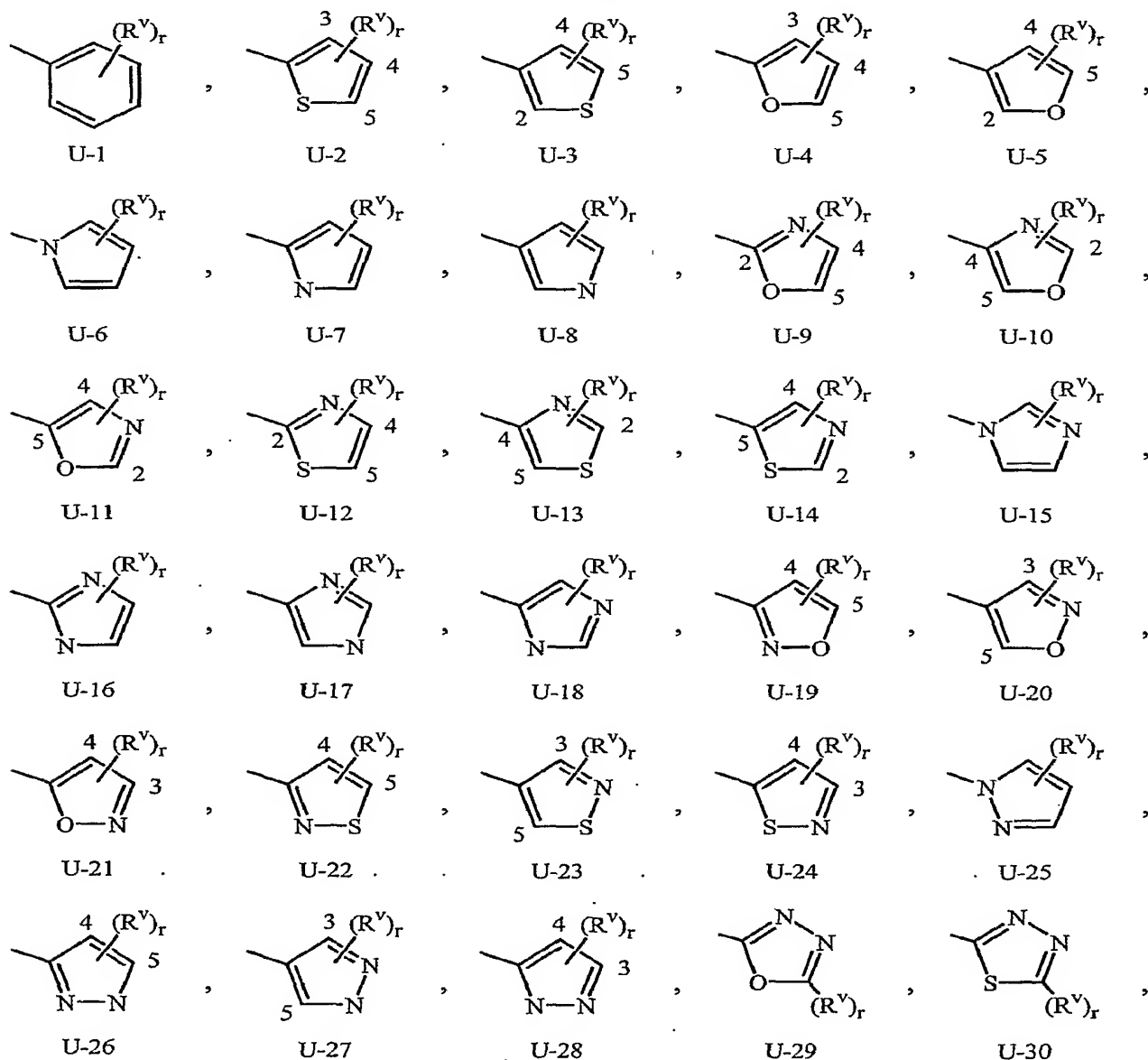
When Y or R² is a 5- or 6-membered nitrogen-containing heteroaromatic ring, it may be attached to the remainder of Formula 1 though any available carbon or nitrogen ring atom, unless otherwise described. Likewise when Y is a nitrogen-containing aromatic 8-, 9- or 10-membered fused heterobicyclic ring system, it may be attached to the remainder of Formula 1 though any available carbon or nitrogen ring atom, unless otherwise described.

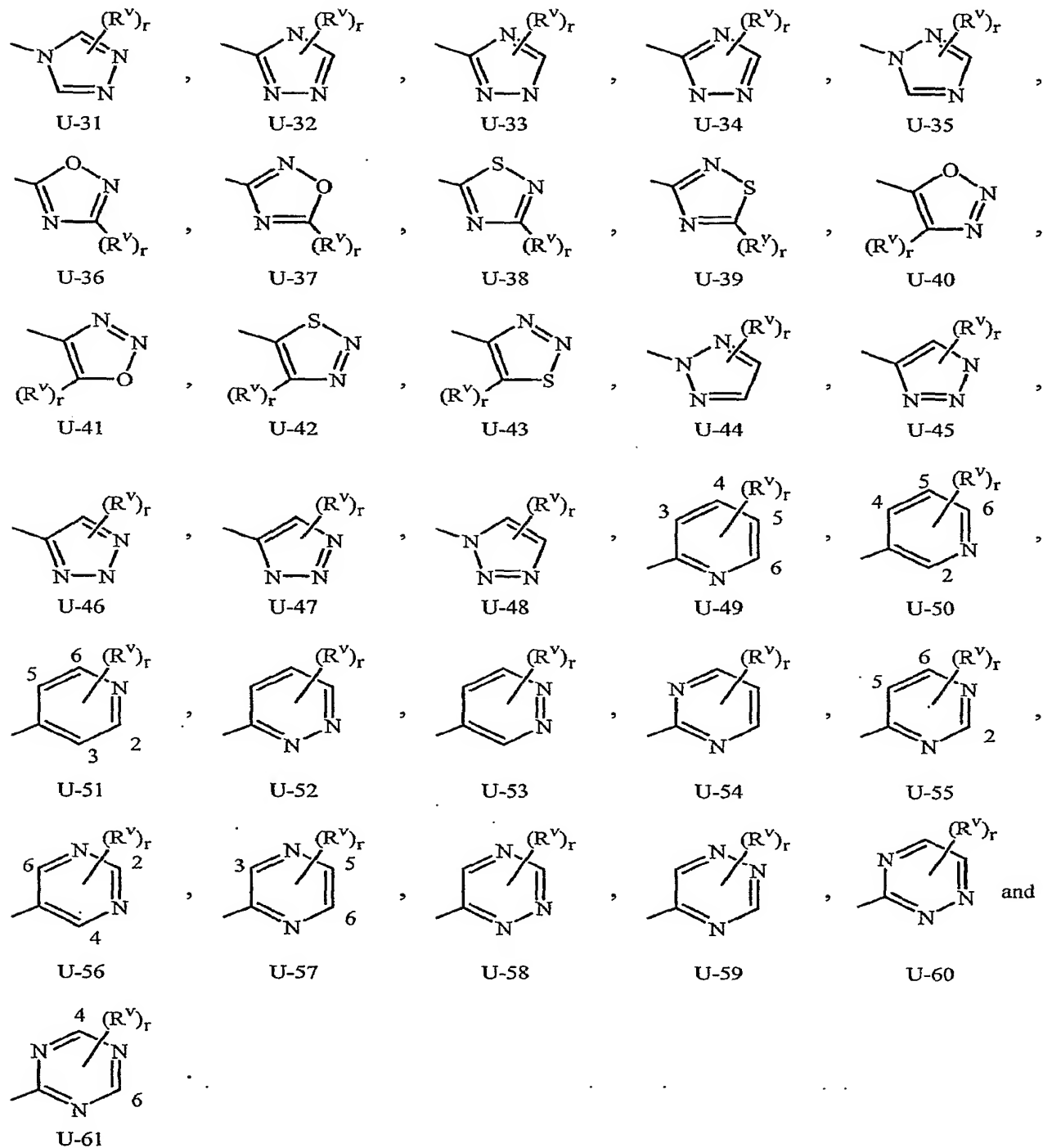
As noted above, R² or R³ can be (among others) phenyl optionally substituted with one or more substituents selected from a group of substituents as defined in the Summary of Invention (i.e. R⁴ or R⁵, respectively). An example of phenyl optionally substituted with one

to five substituents is the ring illustrated as U-1 in Exhibit 1, wherein R^v is R^4 or R^5 as defined in the Summary of the Invention for R^2 or R^3 and r is an integer from 0 to 5.

As noted above, Y or R^2 can be (among others) a 5- or 6-membered heteroaromatic ring, optionally substituted with one or more substituents selected from a group of substituents as defined in the Summary of the Invention (i.e. R^2 or R^4 , respectively).
 5 Examples of 5- or 6-membered heteroaromatic rings optionally substituted with R^v include the rings U-2 through U-61 illustrated in Exhibit 1 wherein R^v is R^2 or R^4 and r is an integer limited by the number of attachment points on the rings (i.e. from 0 to 4).

Exhibit 1

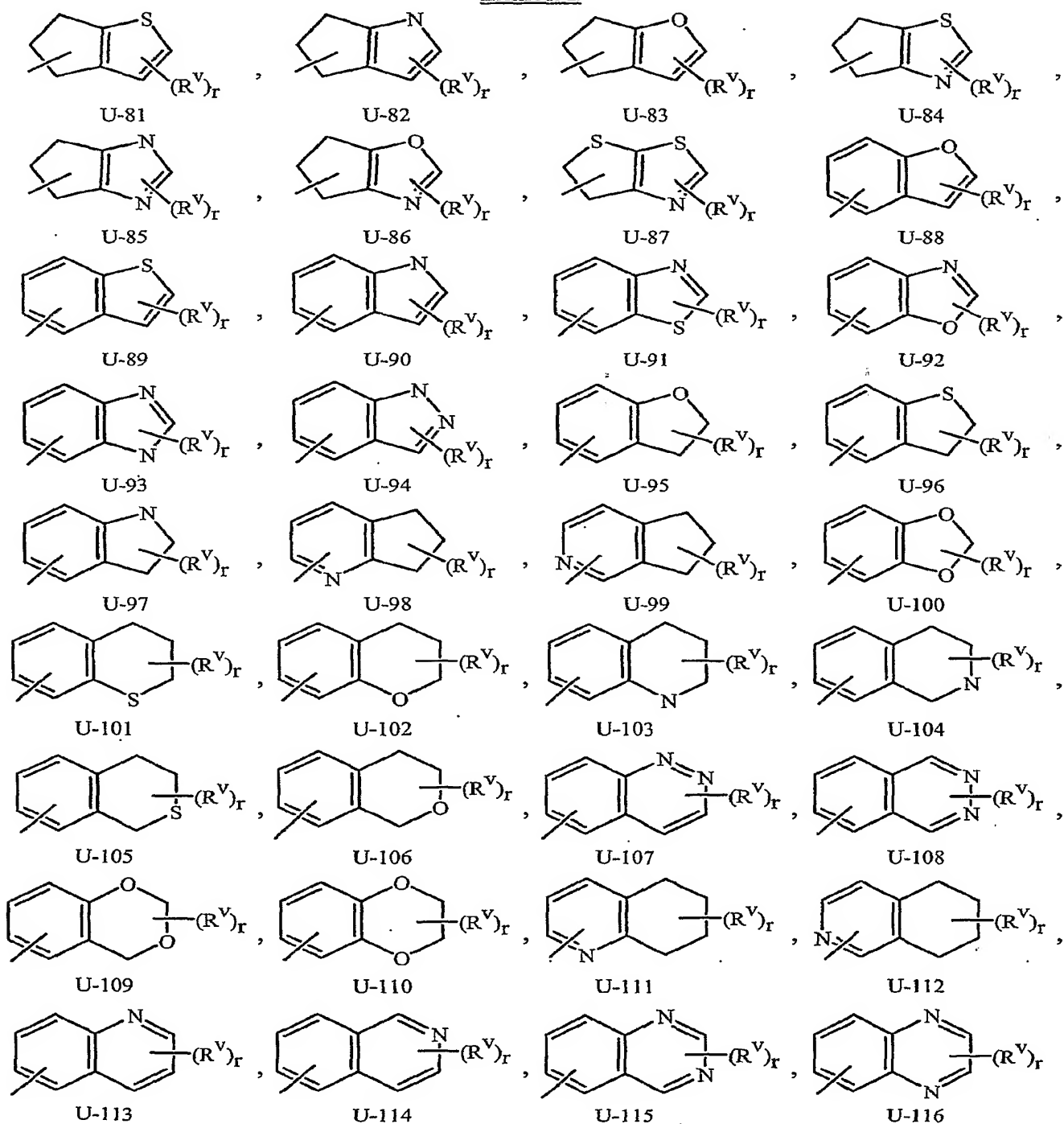


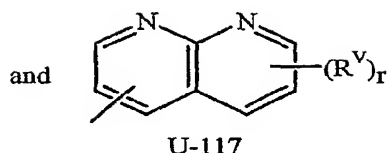


As noted above, Y can be (among others) an aromatic 8-, 9- or 10-membered fused heterobicyclic ring system optionally substituted with 1 to 6 substituents selected from a group of substituents as defined in the Summary of the Invention (i.e. R^2). Examples of aromatic 8-, 9- or 10-membered fused heterobicyclic ring system optionally substituted with

R^V include the rings U-81 through U-117 illustrated in Exhibit 2 wherein R^V is any substituent as defined in the Summary of the Invention for R^2 and r is an integer from 0 to 6 limited by the number of attachment points on the rings.

Exhibit 2





Although R^V groups are shown in the structures U-1 through U-117, it is noted that they do not need to be present since they are optional substituents. Note that when r is 0 or R^V is H when attached to an atom, this is the same as if said atom is unsubstituted. The nitrogen atoms that require substitution to fill their valence are substituted with H or R^V .
 Note that when the attachment point between $(R^V)_r$ and the U group is illustrated as floating, $(R^V)_r$ can be attached to any available carbon atom or nitrogen atom of the U group. Note that when the attachment point on the U group is illustrated as floating, the U group can be attached to the remainder of Formula 1 through any available carbon or nitrogen of the U group by replacement of a hydrogen atom. Note that some U groups can only be substituted with less than 6 R^V groups (e.g. U-1 through U-61, U-88 through U-94, U-107, U-108 and U-115 through U-117).

Embodiments of the present invention as described in the Summary of the Invention include:

Embodiment 1. A compound of Formula 1 wherein X is H, F or CH_3 .

Embodiment 2. A compound of Formula 1 wherein A is O or NR^1 .

Embodiment 3. A compound of Formula 1 wherein A is O.

Embodiment 4. A compound of Formula 1 wherein B is $\text{C}_1\text{-C}_2$ alkylene.

Embodiment 5. A compound of Formula 1 wherein Y is a 5- or 6-membered heteroaromatic ring optionally substituted with 1 to 6 substituents independently selected from R^2 .

Embodiment 6. A compound of Formula 1 wherein Y is a pyridinyl ring, a pyridazinyl, a pyrimidinyl ring, a pyrazinyl ring, a thienyl ring, a furanyl ring, a pyrazolyl ring, an imidazolyl ring, an oxazolyl ring, an isoxazolyl ring, a thiazolyl ring or an isothiazoyl ring, each ring optionally substituted with 1 to 3 substituents independently selected from R^2 .

Embodiment 7. A compound of Formula 1 wherein Y is a pyridinyl ring, a furanyl ring or a pyrazolyl ring, each ring optionally substituted with 1 to 3 substituents independently selected from R^2 .

Embodiment 8. A compound of Formula 1 wherein Y is $\text{O}(\text{CH}_2\text{CH}_2\text{O})_m\text{R}^3$.

Embodiment 9. A compound of Formula 1 wherein R^1 is H or $\text{C}_1\text{-C}_4$ alkyl.

Embodiment 10. A compound of Formula 1 wherein each R^2 is independently $\text{C}_1\text{-C}_4$ alkyl, $\text{C}_3\text{-C}_6$ cycloalkyl, $\text{C}_1\text{-C}_4$ haloalkyl, $\text{C}_3\text{-C}_6$ halocycloalkyl, halogen, $-\text{CN}$, $-\text{NO}_2$, $\text{C}_1\text{-C}_4$ alkoxy, $\text{C}_1\text{-C}_4$ haloalkoxy, $\text{C}_1\text{-C}_4$ alkylthio, $\text{C}_1\text{-C}_4$ alkylsulfinyl or $\text{C}_1\text{-C}_4$ alkylsulfonyl.

Embodiment 11. A compound of Formula 1 wherein each R² is independently a 5- or 6-membered heteroaromatic ring, phenyl, phenylmethyl, (phenyloxy)(C₁-C₄ alkyl) or (phenylmethyloxy)(C₁-C₄ alkyl), each heteroaromatic ring or phenyl optionally substituted with one or more R⁴.

Embodiment 12. A compound of Formula 1 wherein each R² is independently a 5-membered heteroaromatic ring, phenyl, (phenyloxy)(C₁-C₂ alkyl) or (phenylmethyloxy)(C₁-C₂ alkyl), each heteroaromatic ring or phenyl optionally substituted with one or more R⁴.

Embodiment 13. A compound of Formula 1 wherein each R² is independently a 5-membered heteroaromatic ring optionally substituted with one or more R⁴.

Embodiment 14. A compound of Formula 1 wherein each R² is independently a pyridinyl ring, a furanyl ring or a pyrazolyl ring, each ring optionally substituted with one or more R⁴.

Embodiment 15. A compound of Formula 1 wherein each R² is independently phenyl optionally substituted with one or more R⁴.

Embodiment 16. A compound of Formula 1 wherein each R² is independently (phenyloxy)(C₁-C₂ alkyl), each phenyl optionally substituted with one or more R⁴.

Embodiment 17. A compound of Formula 1 wherein R³ is C₁-C₄ alkyl, phenyl or phenylmethyl, each optionally substituted with one or more R⁵.

Embodiment 18. A compound of Formula 1 wherein R³ is phenyl or phenylmethyl, each phenyl optionally substituted with 1 to 5 R⁵.

Embodiment 19. A compound of Formula 1 wherein R³ is phenyl optionally substituted with 1 to 5 R⁵.

Embodiment 20. A compound of Formula 1 wherein R³ is phenylmethyl optionally substituted with 1 to 5 R⁵.

Embodiment 21. A compound of Formula 1 wherein each R⁴ is independently C₁-C₄ alkyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₃-C₆ halocycloalkyl, halogen, -CN, -NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl or C₁-C₄ alkylsulfonyl.

Embodiment 22. A compound of Formula 1 wherein each R⁴ is independently C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, -CN, C₁-C₄ alkoxy or C₁-C₄ haloalkoxy.

Embodiment 23. A compound of Formula 1 wherein each R⁴ is independently CH₃, CF₃, halogen, -CN, OCH₃ or OCF₃.

Embodiment 24. A compound of Formula 1 wherein each R⁵ is independently C₁-C₄ alkyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₃-C₆ halocycloalkyl, halogen, -CN, -NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl or C₁-C₄ alkylsulfonyl.

Embodiment 25. A compound of Formula 1 wherein each R⁵ is independently C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, -CN, C₁-C₄ alkoxy or C₁-C₄ haloalkoxy.

Embodiment 26. A compound of Formula 1 wherein each R⁵ is independently CH₃, CF₃, halogen, -CN, OCH₃ or OCF₃.

5 Embodiment 27. A compound of Formula 1 wherein n is 0 or 1.

Embodiment 28. A compound of Formula 1 wherein m is 1 or 2.

Embodiments of this invention, including Embodiments 1-28 above as well as any other embodiments described herein, can be combined in any manner, and the descriptions of variables in the embodiments pertain not only to the compounds of Formula 1 but also to
10 the starting compounds and intermediate compounds. In addition, embodiments of this invention, including Embodiments 1-28 above as well as any other embodiments described herein, and any combination thereof, pertain to the compositions and methods of the present invention which can comprise the compounds described in such embodiment and any combination thereof.

15 Combinations of Embodiments 1-28 are illustrated by:

Embodiment A. A compound of Formula 1 wherein

X is H, F or CH₃;

A is O or NR¹;

20 Y is a 5- or 6-membered heteroaromatic ring optionally substituted with 1 to 6 substituents independently selected from R²;

each R² is independently C₁-C₄ alkyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₃-C₆ halocycloalkyl, halogen, -CN, -NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl or C₁-C₄ alkylsulfonyl; or

25 each R² is independently a 5- or 6-membered heteroaromatic ring, phenyl, phenylmethyl, (phenyloxy)(C₁-C₄ alkyl) or (phenylmethyloxy)(C₁-C₄ alkyl), each heteroaromatic ring or phenyl optionally substituted with one or more R⁴;

30 each R⁴ is independently C₁-C₄ alkyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₃-C₆ halocycloalkyl, halogen, -CN, -NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl or C₁-C₄ alkylsulfonyl; and

n is 0 or 1.

Embodiment B. A compound of Formula 1 wherein

X is H, F or CH₃;

A is O or NR¹;

35 Y is O(CH₂CH₂O)_mR³;

R³ is C₁-C₄ alkyl, phenyl or phenylmethyl, each optionally substituted one or more R⁵;

each R⁵ is independently C₁-C₄ alkyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₃-C₆ halocycloalkyl, halogen, -CN, -NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl or C₁-C₄ alkylsulfonyl;

m is 1 or 2; and

n is 0 or 1.

Specific embodiments include compounds of Formula 1 selected from the group consisting of:

2-[3-(4-fluorophenyl)-1*H*-pyrazol-1-yl]ethyl 4,4-difluoro-3-butenate,
2-[3-(4-fluorophenyl)-1*H*-pyrazol-1-yl]ethyl 6,6-difluoro-5-hexenoate,
2-[3-(4-fluorophenyl)-1*H*-pyrazol-1-yl]ethyl 3,4,4-trifluoro-3-butenate,
[5-[(4-methoxyphenoxy)methyl]-2-furanyl]methyl 4,4-difluoro-3-butenate,
[5-[(4-methoxyphenoxy)methyl]-2-furanyl]methyl 6,6-difluoro-5-hexenoate,
2-[2-[4-(trifluoromethyl)phenoxy]ethoxy]ethyl 4,4-difluoro-3-butenate,
2-[2-[4-(trifluoromethyl)phenoxy]ethoxy]ethyl 6,6-difluoro-5-hexenoate, and
2-[3-(4-methoxyphenyl)-1*H*-pyrazol-1-yl]ethyl 3,4,4-trifluoro-3-butenate.

Further specific embodiments include any combination of the compounds of Formula 1 selected from the group immediately above.

Also noteworthy as embodiments of the present invention are compositions for controlling an invertebrate pest comprising a biologically effective amount of a compound of any of the preceding Embodiments, and at least one additional component selected from the group consisting of surfactants, solid diluents and liquid diluents, said composition optionally further comprising a biologically effective amount of at least one additional biologically active compound or agent. Embodiments of the invention further include methods for controlling an invertebrate pest comprising contacting the invertebrate pest or its environment with a biologically effective amount of a compound of any of the preceding Embodiments (e.g., as a composition described herein).

Of particular note, for reasons of invertebrate pest control spectrum and economic importance, protection of agronomic crops from damage or injury caused by invertebrate pests by controlling invertebrate pests are embodiments of the invention. Embodiments of the invention also include a composition comprising a compound of any of the preceding Embodiments, in the form of a soil drench liquid formulation. Embodiments of the invention further include methods for controlling an invertebrate pest comprising contacting the soil with a liquid composition as a soil drench comprising a biologically effective amount of a compound of any of the preceding Embodiments.

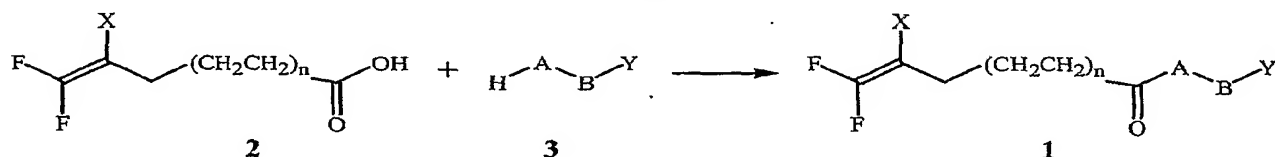
Embodiments of the invention also include a spray composition for controlling an invertebrate pest comprising a biologically effective amount of a compound of any of the preceding Embodiments and a propellant. Embodiments of the invention further include a

bait composition for controlling an invertebrate pest comprising a biologically effective amount of a compound of any of the preceding Embodiments, one or more food materials, optionally an attractant, and optionally a humectant. Embodiments of the invention also include a device for controlling an invertebrate pest comprising said bait composition and a housing adapted to receive said bait composition, wherein the housing has at least one opening sized to permit the invertebrate pest to pass through the opening so the invertebrate pest can gain access to said bait composition from a location outside the housing, and wherein the housing is further adapted to be placed in or near a locus of potential or known activity for the invertebrate pest.

Compounds of Formula 1 can be prepared by one or more of the following methods and variations as described in Schemes 1–7. The definitions of A, B, X, Y, R¹, R², R³, n and m in the compounds of Formulae 1–14 below are as defined above in the Summary of the Invention. Compounds of Formulae 3a, 3b, 3c, 3d and 3e are a subset of compounds of Formula 3.

As shown in Scheme 1, a compound of Formula 1 can be prepared by coupling of an acid of Formula 2 with a compound of Formula 3 which can be an alcohol, amine, or thiol. The general methods and reaction conditions to prepare esters and amides from an acid are well documented in chemical literature. For various methods to prepare the esters and amides, see Larock, R. C.; *Comprehensive Organic Transformations*; VCH Publishers, Inc., 1989). The method of Scheme 1 is illustrated in Examples 2, 3, 5 and 7 as well as the last step of Examples 1, 4 and 6.

Scheme 1

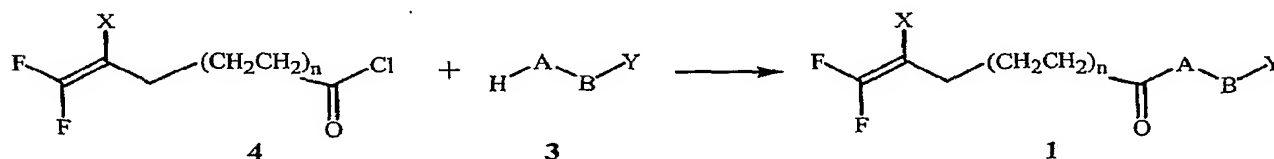


The acids of Formula 2 are known compounds and can be prepared by literature procedures (US 4,950,666; WO 2003/095401; *Chimia* 2004, 58(3), 108; and EP 1,439,169). The alcohols, amines or amides of Formula 3 are either known compounds or can be prepared by literature procedures. Methods exemplified in Schemes 3 to 7 illustrate processes for preparing specific compounds of Formula 3.

As shown in Scheme 2, an alternative procedure for the preparation of compounds of Formula 1 involves coupling of a compound of Formula 3 with an acid chloride of Formula 4 in the presence of an acid scavenger. Typical acid scavengers include amine bases such as triethylamine, *N,N*-diisopropylethylamine and pyridine; other scavengers include hydroxides such as sodium and potassium hydroxide and carbonates such as sodium carbonate and potassium carbonate. In certain instances it is useful to use polymer-

supported acid scavengers such as polymer-bound diisopropylethylamine and polymer-bound dimethylaminopyridine. The methods of Schemes 1 and 2 are representative of many general methods found in the literature which are useful for the preparation of Formula 1 compounds.

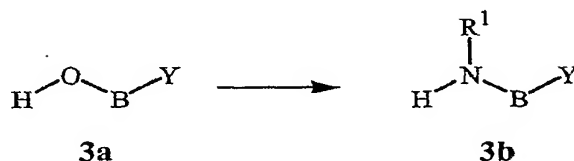
Scheme 2



One skilled in the art will also realize that acid chlorides of Formula 4 can be prepared from acids of Formula 2 by numerous well-known methods, for example but not limited to, reaction of the acid with chlorinating reagents such as oxalyl chloride and thionyl chloride.

An amine of Formula 3b (i.e. Formula 3 wherein A is NR¹) can be transformed from a compound of Formula 3a (i.e. Formula 3 wherein A is O) as illustrated in Scheme 3. There are a variety of known methods for the transformation, which are well disclosed in chemical literature. The following are several representative methods.

Scheme 3



Compounds of Formula 3b can be prepared by one or more of the following methods:

Method 1: Treatment of a compound of Formula 3a with a halogenating reagent, followed by reaction with alkali metal (such as lithium or sodium) azide or trimethylsilyl azide and then reduction of the azide intermediate. For a leading reference to this method see *Chem. Rev.* **1954**, *54*, 1; *Synthesis* **1987**, 48; and *J. Org. Chem.* **1987**, *52*, 5044.

Method 2: Treatment of a compound of Formula 3a with a halogenating reagent, followed by reaction with alkali (such as lithium or sodium) phthalimide and subsequently with hydrazine. For a leading reference to this method see, *Org. Syn.* **1943**, *Coll Vol 2*, 83; and *Synthesis* **1976**, 389.

Method 3: Oxidation of a compound of Formula 3a to an aldehyde or ketone, followed by reductive amination. See *Russ. Chem. Rev.* **1980**, *49*, 14, and the references cited therein.

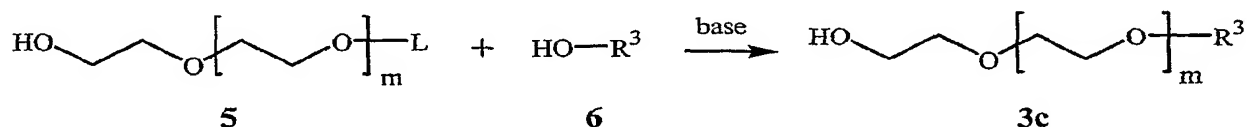
Compounds of Formula 3c (i.e. Formula 3 wherein A is O, and B is ethylene) can be prepared by the method outlined in Scheme 4. Treatment of a compound of Formula 5 with R³-OH (Formula 6) in the presence of a suitable base provides the corresponding compound of Formula 3c. In compounds of Formula 5, L is a leaving group (i.e. nucleofuge) such as

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halogen (e.g., Cl, Br, I), OS(O)₂CH₃ (methanesulfonate), OS(O)₂CF₃, OS(O)₂Ph-*p*-CH₃ (*p*-toluenesulfonate), and the like. The suitable bases can be, for example but not limited to, alkali metal (such as lithium, sodium or potassium) hydrides, carbonates and hydroxides. The method of Scheme 4 is illustrated in Example 6, Step A.

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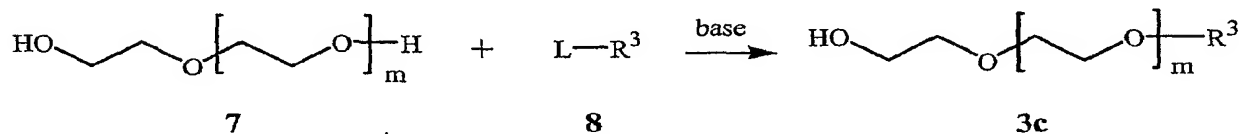
Scheme 4



wherein L is a leaving group.

Compounds of Formula 3c can also be prepared by the method outlined in Scheme 5. Treatment of a compound of Formula 7 with an alkylating agent of Formula 8 (R^3-L) in the presence of a suitable base provides the corresponding compound of Formula 3c. In the alkylating agent of Formula 8, L is a leaving group as defined for Formula 5. The suitable bases can be, for example but not limited to, alkali metal (such as lithium, sodium or potassium) hydrides, carbonates and hydroxides.

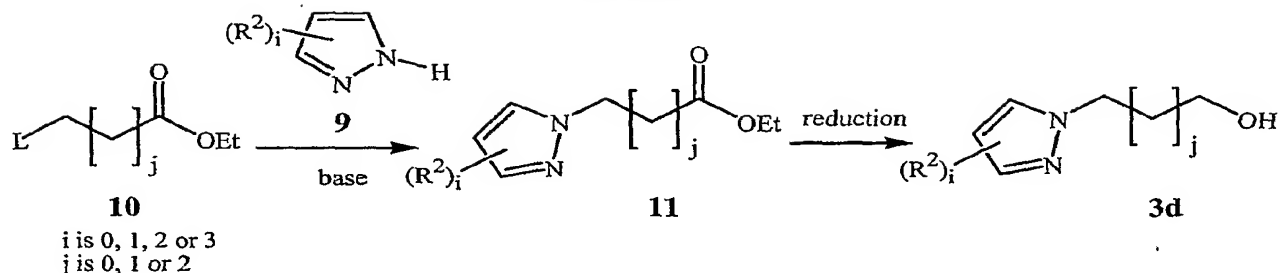
Scheme 5



Compounds of Formula **3d** (i.e. Formula **3** wherein A is O, Y is a pyrazolyl ring, optionally substituted with R²) can be prepared by the method outlined in Scheme 6. Alkylation of a pyrazole of Formula **9** with a compound of Formula **10** followed by reduction of the corresponding pyrazole ester of Formula **11** gives the pyrazole alcohol of Formula **3d**. A suitable base for the alkylation includes, but is not limited to, alkali metal (such as lithium, sodium or potassium) hydrides and carbonates. Many methods are available to reduce esters to the corresponding alcohols. Preferred reducing agents include, but are not limited to, lithium aluminum hydride, lithium borohydride, and sodium borohydride. For references to reduction methods, see *J. Org. Chem.* **1986**, *51*, 4000; *J. Org. Chem.* **1987**, *52*, 3777; and *J. Am. Chem. Soc.* **1986**, *108*, 468. The method of Scheme 6 is illustrated in Example 1, Steps A and B.

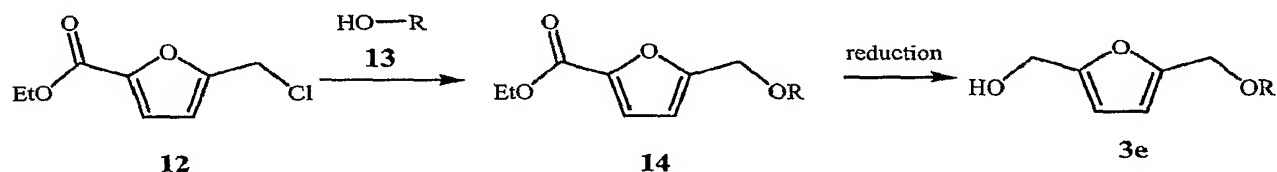
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Scheme 6



Furanyl alcohols of Formula 3e (i.e. Formula 3 wherein A is O, B is methylene, Y is a furanyl ring, substituted with one R^2 , and R^2 is (phenyloxy)(C_1 alkyl) or (phenylmethyloxy)(C_1 alkyl), each phenyl optionally substituted with one or more R^4) can be prepared as illustrated in Scheme 7. Reaction of ethyl 5-(chloromethyl)-2-furoate (Formula 12) with an alcohol of Formula 13 in the presence of a suitable base followed by reduction of the ester of Formula 14 gives the corresponding furan alcohol of Formula 3e. Suitable bases include, but are not limited to, alkali metal (such as lithium, sodium or potassium) hydrides and carbonates. The method of Scheme 7 is illustrated in Example 4, Steps A and B.

Scheme 7



It is recognized that some reagents and reaction conditions described above for preparing compounds of Formula 1 may not be compatible with certain functionalities present in the intermediates. In these instances, the incorporation of protection/deprotection sequences or functional group interconversions into the synthesis will aid in obtaining the desired products. The use and choice of the protecting groups will be apparent to one skilled in chemical synthesis (see, for example, Greene, T. W.; Wuts, P. G. M. *Protective Groups in Organic Synthesis*, 2nd ed.; Wiley: New York, 1991). One skilled in the art will recognize that, in some cases, after the introduction of a given reagent as it is depicted in any individual scheme, it may be necessary to perform additional routine synthetic steps not described in detail to complete the synthesis of compounds of Formula 1. One skilled in the art will also recognize that it may be necessary to perform a combination of the steps illustrated in the above schemes in an order other than that implied by the particular sequence presented to prepare the compounds of Formula 1.

One skilled in the art will also recognize that compounds of Formula 1 and the intermediates described herein can be subjected to various electrophilic, nucleophilic,

radical, organometallic, oxidation, and reduction reactions to add substituents or modify existing substituents.

Without further elaboration, it is believed that one skilled in the art using the preceding description can utilize the present invention to its fullest extent. The following Examples are, therefore, to be construed as merely illustrative, and not limiting of the disclosure in any way whatsoever. ¹H NMR spectra are reported in ppm downfield from tetramethylsilane; "s" means singlet, "d" means doublet, "t" means triplet, "q" means quartet, "m" means multiplet, "dd" means doublet of doublets, "dt" means doublet of triplets, "br s" means broad singlet and "br t" means broad triplet.

EXAMPLE 1

Preparation of 2-[3-(4-fluorophenyl)-1*H*-pyrazol-1-yl]ethyl 4,4-difluoro-3-butenate

Step A: Preparation of ethyl 3-(4-fluorophenyl)-1*H*-pyrazole-1-acetate

A mixture of 3-(4-fluorophenyl)-1*H*-pyrazole (1.0 g, 6.2 mmol), ethyl bromoacetate (1.38 mL, 12.4 mmol), and potassium carbonate (1.71 g, 12.4 mmol) in 6.5 mL toluene was heated to reflux for 6 hours under nitrogen. The reaction mixture was cooled to room temperature and partitioned between water and ethyl acetate. The organic extracts were dried and concentrated. The residue was purified by chromatography on silica gel using hexanes/ethyl acetate as eluent to give 1.31 g of the title compound as a yellow oil.

¹H NMR (CDCl₃): δ 1.29 (t, 3H), 4.24 (q, 2H), 4.94 (s, 2H), 6.57 (d, 1H), 7.07 (m, 2H), 7.49 (d, 2H), 7.77 (m, 2H).

Step B: Preparation of 3-(4-fluorophenyl)-1*H*-pyrazole-1-ethanol

To a solution of ethyl 3-(4-fluorophenyl)-1*H*-pyrazole-1-acetate (i.e. the product from Step A) (0.65 g, 2.62 mmol) in 9 mL of tetrahydrofuran was slowly added a solution of LiBH₄ in tetrahydrofuran (2.0 M, 2.62 mL, 5.24 mol) at room temperature under nitrogen. After stirring at ambient temperature for 1 hour, the reaction mixture was cooled to 0 °C, and 1N aqueous HCl was then added dropwise until the pH of the mixture became about 1. The mixture was partitioned between water and ethyl acetate. The organic extracts were dried and concentrated. The residual was purified by chromatography on silica gel using hexanes/ethyl acetate as eluent to give 0.41 g of the title compound as an oil.

¹H NMR (CDCl₃): δ 4.04 (t, 2H), 4.27 (t, 2H), 6.52 (d, 2H), 7.08 (m, 2H), 7.45 (d, 1H), 7.75 (m, 2H).

Step C: Preparation of 2-[3-(4-fluorophenyl)-1*H*-pyrazol-1-yl]ethyl 4,4-difluoro-3-butenate

A mixture of 3-(4-fluorophenyl)-1*H*-pyrazole-1-ethanol (i.e. the product from Step B) (84 mg, 0.41 mmol), 4,4-difluoro-2-butenic acid (50 mg, 0.41 mmol), 1,3-dicyclohexylcarbodiimide (85 mg, 0.41 mmol), and 4-(1-pyrrolidinyl)pyridine (6.1 mg, 0.041 mmol) in CH₂Cl₂ (2 mL) was stirred at room temperature overnight. To the reaction mixture was

added hexane (5 mL), and the precipitated solid was filtered off. The filtrate was concentrated and chromatographed on silica gel using hexanes/ethyl acetate as eluent to give 104 mg of the title compound, a compound of the present invention, as a colorless oil.

¹H NMR (CDCl₃): δ 2.92-3.09 (m, 2H), 4.29-4.37 (m, 1H), 4.37-4.46 (m, 2H), 4.52 (m, 2H), 6.50 (d, 1H), 7.00-7.14 (m, 2H), 7.42 (d, 1H), 7.67-7.85 (m, 2H).

EXAMPLE 2

Preparation of 2-[3-(4-fluorophenyl)-1*H*-pyrazol-1-yl]ethyl 6,6-difluoro-5-hexenoate

A mixture of 3-(4-fluorophenyl)-1*H*-pyrazole-1-ethanol (i.e. the product from Example 1, Step B) (84 mg, 0.41 mmol), 6,6-difluoro-5-hexenoic acid (62 mg, 0.41 mmol), 1,3-dicyclohexylcarbodiimide (85 mg, 0.41 mmol), and 4-(1-pyrrolidinyl)pyridine (6.1 mg, 0.041 mmol) in CH₂Cl₂ (2 mL) was stirred at room temperature overnight. To the reaction mixture was added hexane (5 mL), and the precipitated solid was filtered off. The filtrate was concentrated and chromatographed on silica gel using hexanes/ethyl acetate as eluent to give 130 mg of the title compound, a compound of the present invention, as a colorless oil.

¹H NMR (CDCl₃): δ 1.49-1.76 (m, 2H), 1.87-2.04 (m, 2H), 2.31 (t, 2H), 3.94-4.18 (m, 1H), 4.39 (t, 2H), 4.49 (t, 2H), 6.50 (d, 1H), 6.98-7.15 (m, 2H), 7.42 (d, 1H), 7.67-7.80 (m, 2H).

EXAMPLE 3

Preparation of 2-[3-(4-fluorophenyl)-1*H*-pyrazol-1-yl]ethyl 3,4,4-trifluoro-3-butenate

A mixture of 3-(4-fluorophenyl)-1*H*-pyrazole-1-ethanol (i.e. the product from Example 1, Step B) (39 mg, 0.19 mmol), 3,4,4-trifluoro-2-butenic acid (29 mg, 0.21 mmol), *N*-benzyl-*N*'-cyclohexylcarbodiimide bound to polystyrene cross-linked with 2% divinylbenzene polymer (P-DCC) (292 mg, 0.38 mmol, 1.30 mmol/g), and catalytic 4-(1-pyrrolidinyl)pyridine in CH₂Cl₂ (2 mL) was stirred at room temperature overnight. The reaction mixture was filtered and washed with CH₃CN. The filtrate was concentrated and chromatographed on silica gel using hexanes/ethyl acetate as eluent to give 45 mg of the title compound, a compound of the present invention, as a colorless oil.

¹H NMR (CDCl₃): δ 3.09-3.44 (m, 2H) 4.42 (t, 2H) 4.57 (t, 2H) 6.50 (d, 1H) 6.91-7.13 (m, 2H) 7.41 (d, 1H) 7.57-7.90 (m, 2H).

EXAMPLE 4

Preparation of [5-[(4-methoxyphenoxy)methyl]-2-furanyl]methyl 4,4-difluoro-3-butenate Step A: Preparation of ethyl 5-[(4-methoxyphenoxy)methyl]-2-furancarboxylate

To a solution of ethyl 5-(chloromethyl)-2-furancarboxylate (4.0 mL, 26.0 mmol) and 4-methoxyphenol (3.23 g, 26 mmol) in *N,N*-dimethylformamide (80 mL) at 0 °C under nitrogen was added sodium hydride (2.08 g, 52 mmol, 60% in mineral oil) in small portions. The reaction mixture was then warmed to room temperature. After stirring overnight, the mixture was cooled to 0 °C, and 1 N aqueous HCl was added until the pH of the mixture

became about 7. The mixture was partitioned between water and ethyl acetate. The organic extracts were combined, washed with water and brine, dried, and concentrated. The crude product was chromatographed on silica gel using hexanes/ethyl acetate as eluent to give 1.21 g of the title compound as a white powder.

5 ^1H NMR (CDCl_3): δ 1.38 (t, 3H), 3.77 (s, 3H), 4.36 (q, 2H), 5.01 (s, 2H), 6.48 (d, 1H), 6.88 (m, 4H), 7.14 (d, 2H).

Step B: Preparation of 5-[(4-methoxyphenoxy)methyl]-2-furanmethanol

10 A solution of ethyl 5-[(4-methoxyphenoxy)methyl]-2-furancarboxylate (i.e. the product from Step A) (0.700 g, 2.54 mmol) in diethyl ether (5 mL) was slowly added to a suspension of lithium aluminum hydride (0.19 g, 5.1 mol) in diethyl ether (5 mL) at -78°C under nitrogen. After stirring for 2 hours at -78°C , the reaction mixture was warmed to 0°C for 0.5 h. Then ethyl acetate (2 mL) was added dropwise to the reaction mixture, followed by water (3 mL). The precipitated solids were filtered through Celite[®] diatomaceous filter aid and washed with diethyl ether. The filtrate was concentrated and
15 purified by silica gel chromatography using hexanes/ethyl acetate as eluent to give 0.42 g of the title compound.

^1H NMR (CDCl_3): 1.80 (br s, 1H), 3.77 (s, 3H), 4.62 (s, 2H), 4.92 (s, 2H), 6.27 (d, 2H), 6.35 (d, 2H), 6.82 (dd, 2H), 6.90 (dd, 2H).

20 Step C: Preparation of [5-[(4-methoxyphenoxy)methyl]-2-furanyl]methyl 4,4-difluoro-3-butenolate

A mixture of 5-[(4-methoxyphenoxy)methyl]-2-furanmethanol (i.e. the product from Step B) (96 mg, 0.41 mmol), 4,4-difluoro-2-butenic acid (50 mg, 0.41 mmol), P-DCC (0.42 g, 0.82 mmol, 1.95 mmol/g), and 4-(1-pyrrolidinyl)pyridine (6.1 mg, 0.041 mmol) in CH_2Cl_2 (2 mL) was stirred at room temperature overnight. The reaction mixture was
25 filtered and rinsed with CH_2Cl_2 and methanol sequentially. The filtrate was concentrated and chromatographed on silica gel using hexanes/ethyl acetate as eluent to give 98 mg of the title compound, a compound of the present invention, as an oil.

^1H NMR (CDCl_3): δ 3.06 (m, 2H), 3.77 (s, 3H), 4.38-4.47 (m, 1H), 4.93 (s, 2H), 5.09 (s, 2H), 6.39 (dd, 2H), 6.85 (m, 2H), 6.90 (m, 2H).

30 EXAMPLE 5

Preparation of [5-[(4-methoxyphenoxy)methyl]-2-furanyl]methyl 6,6-difluoro-5-hexenoate

A mixture of 5-[(4-methoxyphenoxy)methyl]-2-furanmethanol (i.e. the product from Example 4, Step B) (96 mg, 0.41 mmol), 6,6-difluoro-5-hexenoic acid (62 mg, 0.41 mmol), P-DCC (0.42 g, 0.82 mmol, 1.95 mmol/g), and 4-(1-pyrrolidinyl)pyridine (6.1 mg, 0.041
35 mmol) in CH_2Cl_2 (2 mL) was stirred at room temperature overnight. The reaction mixture was filtered and rinsed with CH_2Cl_2 and methanol sequentially. The filtrate was

concentrated and chromatographed on silica gel to give 120 mg of the title compound, a compound of the present invention, as an oil.

^1H NMR (CDCl_3): δ 1.72 (m, 2H), 2.00 (m, 2H), 2.35 (t, 2H), 3.77 (s, 3H), 4.00-4.16 (m, 1H), 4.93 (s, 2H), 5.06 (s, 2H), 6.37 (d, 2H), 6.85 (m, 2H), 6.90 (m, 2H).

EXAMPLE 6

Preparation of 2-[2-[4-(trifluoromethyl)phenoxy]ethoxy]ethyl 4,4-difluoro-3-butenate

Step A: Preparation of 2-[2-[4-(trifluoromethyl)phenoxy]ethoxy]ethanol

A suspension of 4-(trifluoromethyl)phenol (0.97 g, 6 mmol), 2-(2-chloroethoxy)-ethanol (1.02 mL, 9.6 mmol), and potassium carbonate (1.66 g, 12 mmol) in *N,N*-dimethylformamide (6 mL) was heated to 90 °C for 18 hours. After cooling to room temperature, the mixture was partitioned between water and diethyl ether. The organic extracts were combined, dried, and concentrated. The crude product was chromatographed using hexanes/ethyl acetate as eluent to give 400 mg of the title compound as an oil.

^1H NMR (CDCl_3): 1.95 (br s, 1H), 3.68 (m, 2H), 3.76 (m, 2H), 3.89 (m, 2H), 4.18 (m, 2H), 6.97 (d, 2H), 7.54 (d, 2H).

Step B: Preparation of 2-[2-[4-(trifluoromethyl)phenoxy]ethoxy]ethyl 4,4-difluoro-3-butenate

A mixture of 2-[2-[4-(trifluoromethyl)phenoxy]ethoxy]ethanol (i.e. the product from Step A) (103 mg, 0.41 mmol), 4,4-difluoro-2-butenic acid (50 mg, 0.41 mmol), P-DCC (0.42 g, 0.82 mmol, 1.95 mmol/g), and 4-(1-pyrrolidiny)pyridine (6.1 mg, 0.041 mmol) in CH_2Cl_2 (2 mL) was stirred at room temperature overnight. The reaction mixture was filtered, and the filtered solid was rinsed with acetonitrile. The filtrate was concentrated and chromatographed on silica gel using hexanes/ethyl acetate as eluent to give 45 mg of the title compound, a compound of the present invention, as a colorless oil.

^1H NMR (CDCl_3): δ 3.04 (m, 2H), 3.78 (m, 2H), 3.87 (m, 2H), 4.17 (m, 2H), 4.30 (m, 2H), 4.35-4.48 (m, 1H), 6.96 (d, 2H), 7.56 (d, 2H).

EXAMPLE 7

Preparation of 2-[2-[4-(trifluoromethyl)phenoxy]ethoxy]ethyl 6,6-difluoro-5-hexenoate

A mixture of 2-[2-[4-(trifluoromethyl)phenoxy]ethoxy]ethanol (i.e. the product from Example 6, Step A) (103 mg, 0.41 mmol), 6,6-difluoro-5-hexenoic acid (62 mg, 0.41 mmol), P-DCC (0.42 g, 0.82 mmol, 1.95 mmol/g), and 4-(1-pyrrolidiny)pyridine (6.1 mg, 0.041 mmol) in CH_2Cl_2 (2 mL) was stirred at room temperature overnight. The reaction mixture was filtered, and the filtered solid was rinsed with acetonitrile. The filtrate was concentrated and chromatographed on silica gel using hexanes/ethyl acetate as eluent to give 130 mg of the title compound, a compound of the present invention, as colorless oil.

^1H NMR (CDCl_3): δ 1.71 (m, 2H), 2.00 (m, 2H), 2.34 (m, 2H), 3.77 (m, 2H), 3.87 (m, 2H), 4.07 (m, 1H), 4.17 (m, 2H), 4.27 (m, 2H), 6.99 (d, 2H), 7.53 (d, 2H).

By the procedures described herein together with methods known in the art, the following compounds of Tables 1 to 4 can be prepared. The following abbreviations are used in the Tables which follow: Me means methyl, Et means ethyl, Ac means acetyl, Ph means phenyl, 4-Me-Ph means 4-methylphenyl, OMe means methoxy, OEt means ethoxy, -CN means cyano, CF₃ means trifluoromethyl, and OCF₃ means trifluoromethoxy.

Table 1

$\begin{array}{c} \text{X} \\ \\ \text{F} - \text{C} = \text{C} - \text{CH}_2 - (\text{CH}_2\text{CH}_2)_n - \text{C}(=\text{O}) - \text{A} - \text{CH}_2\text{CH}_2\text{O} - \left[\text{CH}_2\text{CH}_2\text{O} \right]_m - \text{R}^3 \\ \\ \text{F} \end{array}$									
X	n	A	m	R ³	X	n	A	m	R ³
H	0	O	1	Me	H	0	O	2	Me
H	0	O	1	Et	H	0	O	2	Et
H	0	O	1	Ac	H	0	O	2	Ac
H	0	O	1	Ph	H	0	O	2	Ph
H	0	O	1	4-Me-Ph	H	0	O	2	4-Me-Ph
H	0	O	1	4-OMe-Ph	H	0	O	2	4-OMe-Ph
H	0	O	1	4-F-Ph	H	0	O	2	4-F-Ph
H	0	O	1	4-Cl-Ph	H	0	O	2	4-Cl-Ph
H	0	O	1	4-CN-Ph	H	0	O	2	4-CN-Ph
H	0	O	1	4-CF ₃ -Ph	H	0	O	2	4-CF ₃ -Ph
H	0	O	1	4-OCF ₃ -Ph	H	0	O	2	4-OCF ₃ -Ph
H	0	O	1	PhCH ₂	H	0	O	2	PhCH ₂
H	0	O	1	4-Me-PhCH ₂	H	0	O	2	4-Me-PhCH ₂
H	0	O	1	4-OMe-PhCH ₂	H	0	O	2	4-OMe-PhCH ₂
H	0	O	1	4-F-PhCH ₂	H	0	O	2	4-F-PhCH ₂
H	0	O	1	4-Cl-PhCH ₂	H	0	O	2	4-Cl-PhCH ₂
H	0	O	1	4-CN-PhCH ₂	H	0	O	2	4-CN-PhCH ₂
H	0	O	1	4-CF ₃ -PhCH ₂	H	0	O	2	4-CF ₃ -PhCH ₂
H	0	O	1	4-OCF ₃ -PhCH ₂	H	0	O	2	4-OCF ₃ -PhCH ₂
H	0	NH	1	Me	H	0	NH	2	Me
H	0	NH	1	Et	H	0	NH	2	Et
H	0	NH	1	Ac	H	0	NH	2	Ac
H	0	NH	1	Ph	H	0	NH	2	Ph
H	0	NH	1	4-Me-Ph	H	0	NH	2	4-Me-Ph
H	0	NH	1	4-OMe-Ph	H	0	NH	2	4-OMe-Ph
H	0	NH	1	4-F-Ph	H	0	NH	2	4-F-Ph

X	n	A	m	R ³
H	0	NH	1	4-Cl-Ph
H	0	NH	1	4-CN-Ph
H	0	NH	1	4-CF ₃ -Ph
H	0	NH	1	4-OCF ₃ -Ph
H	0	NH	1	PhCH ₂
H	0	NH	1	4-Me-PhCH ₂
H	0	NH	1	4-OMe-PhCH ₂
H	0	NH	1	4-F-PhCH ₂
H	0	NH	1	4-Cl-PhCH ₂
H	0	NH	1	4-CN-PhCH ₂
H	0	NH	1	4-CF ₃ -PhCH ₂
H	0	NH	1	4-OCF ₃ -PhCH ₂
H	0	NMe	1	Me
H	0	NMe	1	Et
H	0	NMe	1	Ac
H	0	NMe	1	Ph
H	0	NMe	1	4-Me-Ph
H	0	NMe	1	4-OMe-Ph
H	0	NMe	1	4-F-Ph
H	0	NMe	1	4-Cl-Ph
H	0	NMe	1	4-CN-Ph
H	0	NMe	1	4-CF ₃ -Ph
H	0	NMe	1	4-OCF ₃ -Ph
H	0	NMe	1	PhCH ₂
H	0	NMe	1	4-Me-PhCH ₂
H	0	NMe	1	4-OMe-PhCH ₂
H	0	NMe	1	4-F-PhCH ₂
H	0	NMe	1	4-Cl-PhCH ₂
H	0	NMe	1	4-CN-PhCH ₂
H	0	NMe	1	4-CF ₃ -PhCH ₂
H	0	NMe	1	4-OCF ₃ -PhCH ₂
H	1	O	1	Me
H	1	O	1	Et
H	1	O	1	Ac
H	1	O	1	Ph
H	1	O	1	4-Me-Ph
H	1	O	1	4-OMe-Ph

X	n	A	m	R ³
H	0	NH	2	4-Cl-Ph
H	0	NH	2	4-CN-Ph
H	0	NH	2	4-CF ₃ -Ph
H	0	NH	2	4-OCF ₃ -Ph
H	0	NH	2	PhCH ₂
H	0	NH	2	4-Me-PhCH ₂
H	0	NH	2	4-OMe-PhCH ₂
H	0	NH	2	4-F-PhCH ₂
H	0	NH	2	4-Cl-PhCH ₂
H	0	NH	2	4-CN-PhCH ₂
H	0	NH	2	4-CF ₃ -PhCH ₂
H	0	NH	2	4-OCF ₃ -PhCH ₂
H	0	NMe	2	Me
H	0	NMe	2	Et
H	0	NMe	2	Ac
H	0	NMe	2	Ph
H	0	NMe	2	4-Me-Ph
H	0	NMe	2	4-OMe-Ph
H	0	NMe	2	4-F-Ph
H	0	NMe	2	4-Cl-Ph
H	0	NMe	2	4-CN-Ph
H	0	NMe	2	4-CF ₃ -Ph
H	0	NMe	2	4-OCF ₃ -Ph
H	0	NMe	2	PhCH ₂
H	0	NMe	2	4-Me-PhCH ₂
H	0	NMe	2	4-OMe-PhCH ₂
H	0	NMe	2	4-F-PhCH ₂
H	0	NMe	2	4-Cl-PhCH ₂
H	0	NMe	2	4-CN-PhCH ₂
H	0	NMe	2	4-CF ₃ -PhCH ₂
H	0	NMe	2	4-OCF ₃ -PhCH ₂
H	1	O	2	Me
H	1	O	2	Et
H	1	O	2	Ac
H	1	O	2	Ph
H	1	O	2	4-Me-Ph
H	1	O	2	4-OMe-Ph

<u>X</u>	<u>n</u>	<u>A</u>	<u>m</u>	<u>R³</u>
H	1	O	1	4-F-Ph
H	1	O	1	4-Cl-Ph
H	1	O	1	4-CN-Ph
H	1	O	1	4-CF ₃ -Ph
H	1	O	1	4-OCF ₃ -Ph
H	1	O	1	PhCH ₂
H	1	O	1	4-Me-PhCH ₂
H	1	O	1	4-OMe-PhCH ₂
H	1	O	1	4-F-PhCH ₂
H	1	O	1	4-Cl-PhCH ₂
H	1	O	1	4-CN-PhCH ₂
H	1	O	1	4-CF ₃ -PhCH ₂
H	1	O	1	4-OCF ₃ -PhCH ₂
H	1	NH	1	Me
H	1	NH	1	Et
H	1	NH	1	Ac
H	1	NH	1	Ph
H	1	NH	1	4-Me-Ph
H	1	NH	1	4-OMe-Ph
H	1	NH	1	4-F-Ph
H	1	NH	1	4-Cl-Ph
H	1	NH	1	4-CN-Ph
H	1	NH	1	4-CF ₃ -Ph
H	1	NH	1	4-OCF ₃ -Ph
H	1	NH	1	PhCH ₂
H	1	NH	1	4-Me-PhCH ₂
H	1	NH	1	4-OMe-PhCH ₂
H	1	NH	1	4-F-PhCH ₂
H	1	NH	1	4-Cl-PhCH ₂
H	1	NH	1	4-CN-PhCH ₂
H	1	NH	1	4-CF ₃ -PhCH ₂
H	1	NH	1	4-OCF ₃ -PhCH ₂
H	1	NMe	1	Me
H	1	NMe	1	Et
H	1	NMe	1	Ac
H	1	NMe	1	Ph
H	1	NMe	1	4-Me-Ph

<u>X</u>	<u>n</u>	<u>A</u>	<u>m</u>	<u>R³</u>
H	1	O	2	4-F-Ph
H	1	O	2	4-Cl-Ph
H	1	O	2	4-CN-Ph
H	1	O	2	4-CF ₃ -Ph
H	1	O	2	4-OCF ₃ -Ph
H	1	O	2	PhCH ₂
H	1	O	2	4-Me-PhCH ₂
H	1	O	2	4-OMe-PhCH ₂
H	1	O	2	4-F-PhCH ₂
H	1	O	2	4-Cl-PhCH ₂
H	1	O	2	4-CN-PhCH ₂
H	1	O	2	4-CF ₃ -PhCH ₂
H	1	O	2	4-OCF ₃ -PhCH ₂
H	1	NH	2	Me
H	1	NH	2	Et
H	1	NH	2	Ac
H	1	NH	2	Ph
H	1	NH	2	4-Me-Ph
H	1	NH	2	4-OMe-Ph
H	1	NH	2	4-F-Ph
H	1	NH	2	4-Cl-Ph
H	1	NH	2	4-CN-Ph
H	1	NH	2	4-CF ₃ -Ph
H	1	NH	2	4-OCF ₃ -Ph
H	1	NH	2	PhCH ₂
H	1	NH	2	4-Me-PhCH ₂
H	1	NH	2	4-OMe-PhCH ₂
H	1	NH	2	4-F-PhCH ₂
H	1	NH	2	4-Cl-PhCH ₂
H	1	NH	2	4-CN-PhCH ₂
H	1	NH	2	4-CF ₃ -PhCH ₂
H	1	NH	2	4-OCF ₃ -PhCH ₂
H	1	NMe	2	Me
H	1	NMe	2	Et
H	1	NMe	2	Ac
H	1	NMe	2	Ph
H	1	NMe	2	4-Me-Ph

<u>X</u>	<u>n</u>	<u>A</u>	<u>m</u>	<u>R³</u>
H	1	NMe	1	4-OMe-Ph
H	1	NMe	1	4-F-Ph
H	1	NMe	1	4-Cl-Ph
H	1	NMe	1	4-CN-Ph
H	1	NMe	1	4-CF ₃ -Ph
H	1	NMe	1	4-OCF ₃ -Ph
H	1	NMe	1	PhCH ₂
H	1	NMe	1	4-Me-PhCH ₂
H	1	NMe	1	4-OMe-PhCH ₂
H	1	NMe	1	4-F-PhCH ₂
H	1	NMe	1	4-Cl-PhCH ₂
H	1	NMe	1	4-CN-PhCH ₂
H	1	NMe	1	4-CF ₃ -PhCH ₂
H	1	NMe	1	4-OCF ₃ -PhCH ₂
F	0	O	1	Me
F	0	O	1	Et
F	0	O	1	Ac
F	0	O	1	Ph
F	0	O	1	4-Me-Ph
F	0	O	1	4-OMe-Ph
F	0	O	1	4-F-Ph
F	0	O	1	4-Cl-Ph
F	0	O	1	4-CN-Ph
F	0	O	1	4-CF ₃ -Ph
F	0	O	1	4-OCF ₃ -Ph
F	0	O	1	PhCH ₂
F	0	O	1	4-Me-PhCH ₂
F	0	O	1	4-OMe-PhCH ₂
F	0	O	1	4-F-PhCH ₂
F	0	O	1	4-Cl-PhCH ₂
F	0	O	1	4-CN-PhCH ₂
F	0	O	1	4-CF ₃ -PhCH ₂
F	0	O	1	4-OCF ₃ -PhCH ₂
F	0	NH	1	Me
F	0	NH	1	Et
F	0	NH	1	Ac
F	0	NH	1	Ph

<u>X</u>	<u>n</u>	<u>A</u>	<u>m</u>	<u>R³</u>
H	1	NMe	2	4-OMe-Ph
H	1	NMe	2	4-F-Ph
H	1	NMe	2	4-Cl-Ph
H	1	NMe	2	4-CN-Ph
H	1	NMe	2	4-CF ₃ -Ph
H	1	NMe	2	4-OCF ₃ -Ph
H	1	NMe	2	PhCH ₂
H	1	NMe	2	4-Me-PhCH ₂
H	1	NMe	2	4-OMe-PhCH ₂
H	1	NMe	2	4-F-PhCH ₂
H	1	NMe	2	4-Cl-PhCH ₂
H	1	NMe	2	4-CN-PhCH ₂
H	1	NMe	2	4-CF ₃ -PhCH ₂
H	1	NMe	2	4-OCF ₃ -PhCH ₂
F	0	O	2	Me
F	0	O	2	Et
F	0	O	2	Ac
F	0	O	2	Ph
F	0	O	2	4-Me-Ph
F	0	O	2	4-OMe-Ph
F	0	O	2	4-F-Ph
F	0	O	2	4-Cl-Ph
F	0	O	2	4-CN-Ph
F	0	O	2	4-CF ₃ -Ph
F	0	O	2	4-OCF ₃ -Ph
F	0	O	2	PhCH ₂
F	0	O	2	4-Me-PhCH ₂
F	0	O	2	4-OMe-PhCH ₂
F	0	O	2	4-F-PhCH ₂
F	0	O	2	4-Cl-PhCH ₂
F	0	O	2	4-CN-PhCH ₂
F	0	O	2	4-CF ₃ -PhCH ₂
F	0	O	2	4-OCF ₃ -PhCH ₂
F	0	NH	2	Me
F	0	NH	2	Et
F	0	NH	2	Ac
F	0	NH	2	Ph

<u>X</u>	<u>n</u>	<u>A</u>	<u>m</u>	<u>R³</u>
F	0	NH	1	4-Me-Ph
F	0	NH	1	4-OMe-Ph
F	0	NH	1	4-F-Ph
F	0	NH	1	4-Cl-Ph
F	0	NH	1	4-CN-Ph
F	0	NH	1	4-CF ₃ -Ph
F	0	NH	1	4-OCF ₃ -Ph
F	0	NH	1	PhCH ₂
F	0	NH	1	4-Me-PhCH ₂
F	0	NH	1	4-OMe-PhCH ₂
F	0	NH	1	4-F-PhCH ₂
F	0	NH	1	4-Cl-PhCH ₂
F	0	NH	1	4-CN-PhCH ₂
F	0	NH	1	4-CF ₃ -PhCH ₂
F	0	NH	1	4-OCF ₃ -PhCH ₂
F	0	NMe	1	Me
F	0	NMe	1	Et
F	0	NMe	1	Ac
F	0	NMe	1	Ph
F	0	NMe	1	4-Me-Ph
F	0	NMe	1	4-OMe-Ph
F	0	NMe	1	4-F-Ph
F	0	NMe	1	4-Cl-Ph
F	0	NMe	1	4-CN-Ph
F	0	NMe	1	4-CF ₃ -Ph
F	0	NMe	1	4-OCF ₃ -Ph
F	0	NMe	1	PhCH ₂
F	0	NMe	1	4-Me-PhCH ₂
F	0	NMe	1	4-OMe-PhCH ₂
F	0	NMe	1	4-F-PhCH ₂
F	0	NMe	1	4-Cl-PhCH ₂
F	0	NMe	1	4-CN-PhCH ₂
F	0	NMe	1	4-CF ₃ -PhCH ₂
F	0	NMe	1	4-OCF ₃ -PhCH ₂
F	1	O	1	Me
F	1	O	1	Et
F	1	O	1	Ac

<u>X</u>	<u>n</u>	<u>A</u>	<u>m</u>	<u>R³</u>
F	0	NH	2	4-Me-Ph
F	0	NH	2	4-OMe-Ph
F	0	NH	2	4-F-Ph
F	0	NH	2	4-Cl-Ph
F	0	NH	2	4-CN-Ph
F	0	NH	2	4-CF ₃ -Ph
F	0	NH	2	4-OCF ₃ -Ph
F	0	NH	2	PhCH ₂
F	0	NH	2	4-Me-PhCH ₂
F	0	NH	2	4-OMe-PhCH ₂
F	0	NH	2	4-F-PhCH ₂
F	0	NH	2	4-Cl-PhCH ₂
F	0	NH	2	4-CN-PhCH ₂
F	0	NH	2	4-CF ₃ -PhCH ₂
F	0	NH	2	4-OCF ₃ -PhCH ₂
F	0	NMe	2	Me
F	0	NMe	2	Et
F	0	NMe	2	Ac
F	0	NMe	2	Ph
F	0	NMe	2	4-Me-Ph
F	0	NMe	2	4-OMe-Ph
F	0	NMe	2	4-F-Ph
F	0	NMe	2	4-Cl-Ph
F	0	NMe	2	4-CN-Ph
F	0	NMe	2	4-CF ₃ -Ph
F	0	NMe	2	4-OCF ₃ -Ph
F	0	NMe	2	PhCH ₂
F	0	NMe	2	4-Me-PhCH ₂
F	0	NMe	2	4-OMe-PhCH ₂
F	0	NMe	2	4-F-PhCH ₂
F	0	NMe	2	4-Cl-PhCH ₂
F	0	NMe	2	4-CN-PhCH ₂
F	0	NMe	2	4-CF ₃ -PhCH ₂
F	0	NMe	2	4-OCF ₃ -PhCH ₂
F	1	O	2	Me
F	1	O	2	Et
F	1	O	2	Ac

<u>X</u>	<u>n</u>	<u>A</u>	<u>m</u>	<u>R³</u>
F	1	O	1	Ph
F	1	O	1	4-Me-Ph
F	1	O	1	4-OMe-Ph
F	1	O	1	4-F-Ph
F	1	O	1	4-Cl-Ph
F	1	O	1	4-CN-Ph
F	1	O	1	4-CF ₃ -Ph
F	1	O	1	4-OCF ₃ -Ph
F	1	O	1	PhCH ₂
F	1	O	1	4-Me-PhCH ₂
F	1	O	1	4-OMe-PhCH ₂
F	1	O	1	4-F-PhCH ₂
F	1	O	1	4-Cl-PhCH ₂
F	1	O	1	4-CN-PhCH ₂
F	1	O	1	4-CF ₃ -PhCH ₂
F	1	O	1	4-OCF ₃ -PhCH ₂
F	1	NH	1	Me
F	1	NH	1	Et
F	1	NH	1	Ac
F	1	NH	1	Ph
F	1	NH	1	4-Me-Ph
F	1	NH	1	4-OMe-Ph
F	1	NH	1	4-F-Ph
F	1	NH	1	4-Cl-Ph
F	1	NH	1	4-CN-Ph
F	1	NH	1	4-CF ₃ -Ph
F	1	NH	1	4-OCF ₃ -Ph
F	1	NH	1	PhCH ₂
F	1	NH	1	4-Me-PhCH ₂
F	1	NH	1	4-OMe-PhCH ₂
F	1	NH	1	4-F-PhCH ₂
F	1	NH	1	4-Cl-PhCH ₂
F	1	NH	1	4-CN-PhCH ₂
F	1	NH	1	4-CF ₃ -PhCH ₂
F	1	NH	1	4-OCF ₃ -PhCH ₂
F	1	NMe	1	Me
F	1	NMe	1	Et

<u>X</u>	<u>n</u>	<u>A</u>	<u>m</u>	<u>R³</u>
F	1	O	2	Ph
F	1	O	2	4-Me-Ph
F	1	O	2	4-OMe-Ph
F	1	O	2	4-F-Ph
F	1	O	2	4-Cl-Ph
F	1	O	2	4-CN-Ph
F	1	O	2	4-CF ₃ -Ph
F	1	O	2	4-OCF ₃ -Ph
F	1	O	2	PhCH ₂
F	1	O	2	4-Me-PhCH ₂
F	1	O	2	4-OMe-PhCH ₂
F	1	O	2	4-F-PhCH ₂
F	1	O	2	4-Cl-PhCH ₂
F	1	O	2	4-CN-PhCH ₂
F	1	O	2	4-CF ₃ -PhCH ₂
F	1	O	2	4-OCF ₃ -PhCH ₂
F	1	NH	2	Me
F	1	NH	2	Et
F	1	NH	2	Ac
F	1	NH	2	Ph
F	1	NH	2	4-Me-Ph
F	1	NH	2	4-OMe-Ph
F	1	NH	2	4-F-Ph
F	1	NH	2	4-Cl-Ph
F	1	NH	2	4-CN-Ph
F	1	NH	2	4-CF ₃ -Ph
F	1	NH	2	4-OCF ₃ -Ph
F	1	NH	2	PhCH ₂
F	1	NH	2	4-Me-PhCH ₂
F	1	NH	2	4-OMe-PhCH ₂
F	1	NH	2	4-F-PhCH ₂
F	1	NH	2	4-Cl-PhCH ₂
F	1	NH	2	4-CN-PhCH ₂
F	1	NH	2	4-CF ₃ -PhCH ₂
F	1	NH	2	4-OCF ₃ -PhCH ₂
F	1	NMe	2	Me
F	1	NMe	2	Et

<u>X</u>	<u>n</u>	<u>A</u>	<u>m</u>	<u>R³</u>	<u>X</u>	<u>n</u>	<u>A</u>	<u>m</u>	<u>R³</u>
F	1	NMe	1	Ac	F	1	NMe	2	Ac
F	1	NMe	1	Ph	F	1	NMe	2	Ph
F	1	NMe	1	4-Me-Ph	F	1	NMe	2	4-Me-Ph
F	1	NMe	1	4-OMe-Ph	F	1	NMe	2	4-OMe-Ph
F	1	NMe	1	4-F-Ph	F	1	NMe	2	4-F-Ph
F	1	NMe	1	4-Cl-Ph	F	1	NMe	2	4-Cl-Ph
F	1	NMe	1	4-CN-Ph	F	1	NMe	2	4-CN-Ph
F	1	NMe	1	4-CF ₃ -Ph	F	1	NMe	2	4-CF ₃ -Ph
F	1	NMe	1	4-OCF ₃ -Ph	F	1	NMe	2	4-OCF ₃ -Ph
F	1	NMe	1	PhCH ₂	F	1	NMe	2	PhCH ₂
F	1	NMe	1	4-Me-PhCH ₂	F	1	NMe	2	4-Me-PhCH ₂
F	1	NMe	1	4-OMe-PhCH ₂	F	1	NMe	2	4-OMe-PhCH ₂
F	1	NMe	1	4-F-PhCH ₂	F	1	NMe	2	4-F-PhCH ₂
F	1	NMe	1	4-Cl-PhCH ₂	F	1	NMe	2	4-Cl-PhCH ₂
F	1	NMe	1	4-CN-PhCH ₂	F	1	NMe	2	4-CN-PhCH ₂
F	1	NMe	1	4-CF ₃ -PhCH ₂	F	1	NMe	2	4-CF ₃ -PhCH ₂
Me	0	O	1	4-OCF ₃ -PhCH ₂	Me	0	O	2	4-OCF ₃ -PhCH ₂
Me	0	O	1	Et	Me	0	O	2	Et
Me	0	O	1	Ac	Me	0	O	2	Ac
Me	0	O	1	Ph	Me	0	O	2	Ph
Me	0	O	1	4-Me-Ph	Me	0	O	2	4-Me-Ph
Me	0	O	1	4-OMe-Ph	Me	0	O	2	4-OMe-Ph
Me	0	O	1	4-F-Ph	Me	0	O	2	4-F-Ph
Me	0	O	1	4-Cl-Ph	Me	0	O	2	4-Cl-Ph
Me	0	O	1	4-CN-Ph	Me	0	O	2	4-CN-Ph
Me	0	O	1	4-CF ₃ -Ph	Me	0	O	2	4-CF ₃ -Ph
Me	0	O	1	4-OCF ₃ -Ph	Me	0	O	2	4-OCF ₃ -Ph
Me	0	O	1	PhCH ₂	Me	0	O	2	PhCH ₂
Me	0	O	1	4-Me-PhCH ₂	Me	0	O	2	4-Me-PhCH ₂
Me	0	O	1	4-OMe-PhCH ₂	Me	0	O	2	4-OMe-PhCH ₂
Me	0	O	1	4-F-PhCH ₂	Me	0	O	2	4-F-PhCH ₂
Me	0	O	1	4-Cl-PhCH ₂	Me	0	O	2	4-Cl-PhCH ₂
Me	0	O	1	4-CN-PhCH ₂	Me	0	O	2	4-CN-PhCH ₂
Me	0	O	1	4-CF ₃ -PhCH ₂	Me	0	O	2	4-CF ₃ -PhCH ₂
Me	0	O	1	4-OCF ₃ -PhCH ₂	Me	0	O	2	4-OCF ₃ -PhCH ₂
Me	0	NH	1	Me	Me	0	NH	2	Me
Me	0	NH	1	Et	Me	0	NH	2	Et

<u>X</u>	<u>n</u>	<u>A</u>	<u>m</u>	<u>R³</u>
Me	0	NH	1	Ac
Me	0	NH	1	Ph
Me	0	NH	1	4-Me-Ph
Me	0	NH	1	4-OMe-Ph
Me	0	NH	1	4-F-Ph
Me	0	NH	1	4-Cl-Ph
Me	0	NH	1	4-CN-Ph
Me	0	NH	1	4-CF ₃ -Ph
Me	0	NH	1	4-OCF ₃ -Ph
Me	0	NH	1	PhCH ₂
Me	0	NH	1	4-Me-PhCH ₂
Me	0	NH	1	4-OMe-PhCH ₂
Me	0	NH	1	4-F-PhCH ₂
Me	0	NH	1	4-Cl-PhCH ₂
Me	0	NH	1	4-CN-PhCH ₂
Me	0	NH	1	4-CF ₃ -PhCH ₂
Me	0	NH	1	4-OCF ₃ -PhCH ₂
Me	0	NMe	1	Me
Me	0	NMe	1	Et
Me	0	NMe	1	Ac
Me	0	NMe	1	Ph
Me	0	NMe	1	4-Me-Ph
Me	0	NMe	1	4-OMe-Ph
Me	0	NMe	1	4-F-Ph
Me	0	NMe	1	4-Cl-Ph
Me	0	NMe	1	4-CN-Ph
Me	0	NMe	1	4-CF ₃ -Ph
Me	0	NMe	1	4-OCF ₃ -Ph
Me	0	NMe	1	PhCH ₂
Me	0	NMe	1	4-Me-PhCH ₂
Me	0	NMe	1	4-OMe-PhCH ₂
Me	0	NMe	1	4-F-PhCH ₂
Me	0	NMe	1	4-Cl-PhCH ₂
Me	0	NMe	1	4-CN-PhCH ₂
Me	0	NMe	1	4-CF ₃ -PhCH ₂
Me	0	NMe	1	4-OCF ₃ -PhCH ₂
Me	1	O	1	Me

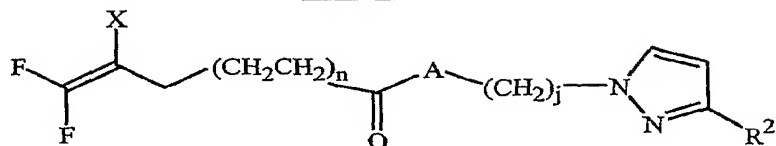
<u>X</u>	<u>n</u>	<u>A</u>	<u>m</u>	<u>R³</u>
Me	0	NH	2	Ac
Me	0	NH	2	Ph
Me	0	NH	2	4-Me-Ph
Me	0	NH	2	4-OMe-Ph
Me	0	NH	2	4-F-Ph
Me	0	NH	2	4-Cl-Ph
Me	0	NH	2	4-CN-Ph
Me	0	NH	2	4-CF ₃ -Ph
Me	0	NH	2	4-OCF ₃ -Ph
Me	0	NH	2	PhCH ₂
Me	0	NH	2	4-Me-PhCH ₂
Me	0	NH	2	4-OMe-PhCH ₂
Me	0	NH	2	4-F-PhCH ₂
Me	0	NH	2	4-Cl-PhCH ₂
Me	0	NH	2	4-CN-PhCH ₂
Me	0	NH	2	4-CF ₃ -PhCH ₂
Me	0	NH	2	4-OCF ₃ -PhCH ₂
Me	0	NMe	2	Me
Me	0	NMe	2	Et
Me	0	NMe	2	Ac
Me	0	NMe	2	Ph
Me	0	NMe	2	4-Me-Ph
Me	0	NMe	2	4-OMe-Ph
Me	0	NMe	2	4-F-Ph
Me	0	NMe	2	4-Cl-Ph
Me	0	NMe	2	4-CN-Ph
Me	0	NMe	2	4-CF ₃ -Ph
Me	0	NMe	2	4-OCF ₃ -Ph
Me	0	NMe	2	PhCH ₂
Me	0	NMe	2	4-Me-PhCH ₂
Me	0	NMe	2	4-OMe-PhCH ₂
Me	0	NMe	2	4-F-PhCH ₂
Me	0	NMe	2	4-Cl-PhCH ₂
Me	0	NMe	2	4-CN-PhCH ₂
Me	0	NMe	2	4-CF ₃ -PhCH ₂
Me	0	NMe	2	4-OCF ₃ -PhCH ₂
Me	1	O	2	Me

<u>X</u>	<u>n</u>	<u>A</u>	<u>m</u>	<u>R³</u>
Me	1	O	1	Et
Me	1	O	1	Ac
Me	1	O	1	Ph
Me	1	O	1	4-Me-Ph
Me	1	O	1	4-OMe-Ph
Me	1	O	1	4-F-Ph
Me	1	O	1	4-Cl-Ph
Me	1	O	1	4-CN-Ph
Me	1	O	1	4-CF ₃ -Ph
Me	1	O	1	4-OCF ₃ -Ph
Me	1	O	1	PhCH ₂
Me	1	O	1	4-Me-PhCH ₂
Me	1	O	1	4-OMe-PhCH ₂
Me	1	O	1	4-F-PhCH ₂
Me	1	O	1	4-Cl-PhCH ₂
Me	1	O	1	4-CN-PhCH ₂
Me	1	O	1	4-CF ₃ -PhCH ₂
Me	1	O	1	4-OCF ₃ -PhCH ₂
Me	1	NH	1	Me
Me	1	NH	1	Et
Me	1	NH	1	Ac
Me	1	NH	1	Ph
Me	1	NH	1	4-Me-Ph
Me	1	NH	1	4-OMe-Ph
Me	1	NH	1	4-F-Ph
Me	1	NH	1	4-Cl-Ph
Me	1	NH	1	4-CN-Ph
Me	1	NH	1	4-CF ₃ -Ph
Me	1	NH	1	4-OCF ₃ -Ph
Me	1	NH	1	PhCH ₂
Me	1	NH	1	4-Me-PhCH ₂
Me	1	NH	1	4-OMe-PhCH ₂
Me	1	NH	1	4-F-PhCH ₂
Me	1	NH	1	4-Cl-PhCH ₂
Me	1	NH	1	4-CN-PhCH ₂
Me	1	NH	1	4-CF ₃ -PhCH ₂
Me	1	NH	1	4-OCF ₃ -PhCH ₂

<u>X</u>	<u>n</u>	<u>A</u>	<u>m</u>	<u>R³</u>
Me	1	O	2	Et
Me	1	O	2	Ac
Me	1	O	2	Ph
Me	1	O	2	4-Me-Ph
Me	1	O	2	4-OMe-Ph
Me	1	O	2	4-F-Ph
Me	1	O	2	4-Cl-Ph
Me	1	O	2	4-CN-Ph
Me	1	O	2	4-CF ₃ -Ph
Me	1	O	2	4-OCF ₃ -Ph
Me	1	O	2	PhCH ₂
Me	1	O	2	4-Me-PhCH ₂
Me	1	O	2	4-OMe-PhCH ₂
Me	1	O	2	4-F-PhCH ₂
Me	1	O	2	4-Cl-PhCH ₂
Me	1	O	2	4-CN-PhCH ₂
Me	1	O	2	4-CF ₃ -PhCH ₂
Me	1	O	2	4-OCF ₃ -PhCH ₂
Me	1	NH	2	Me
Me	1	NH	2	Et
Me	1	NH	2	Ac
Me	1	NH	2	Ph
Me	1	NH	2	4-Me-Ph
Me	1	NH	2	4-OMe-Ph
Me	1	NH	2	4-F-Ph
Me	1	NH	2	4-Cl-Ph
Me	1	NH	2	4-CN-Ph
Me	1	NH	2	4-CF ₃ -Ph
Me	1	NH	2	4-OCF ₃ -Ph
Me	1	NH	2	PhCH ₂
Me	1	NH	2	4-Me-PhCH ₂
Me	1	NH	2	4-OMe-PhCH ₂
Me	1	NH	2	4-F-PhCH ₂
Me	1	NH	2	4-Cl-PhCH ₂
Me	1	NH	2	4-CN-PhCH ₂
Me	1	NH	2	4-CF ₃ -PhCH ₂
Me	1	NH	2	4-OCF ₃ -PhCH ₂

<u>X</u>	<u>n</u>	<u>A</u>	<u>m</u>	<u>R³</u>	<u>X</u>	<u>n</u>	<u>A</u>	<u>m</u>	<u>R³</u>
Me	1	NMe	1	Me	Me	1	NMe	2	Me
Me	1	NMe	1	Et	Me	1	NMe	2	Et
Me	1	NMe	1	Ac	Me	1	NMe	2	Ac
Me	1	NMe	1	Ph	Me	1	NMe	2	Ph
Me	1	NMe	1	4-Me-Ph	Me	1	NMe	2	4-Me-Ph
Me	1	NMe	1	4-OMe-Ph	Me	1	NMe	2	4-OMe-Ph
Me	1	NMe	1	4-F-Ph	Me	1	NMe	2	4-F-Ph
Me	1	NMe	1	4-Cl-Ph	Me	1	NMe	2	4-Cl-Ph
Me	1	NMe	1	4-CN-Ph	Me	1	NMe	2	4-CN-Ph
Me	1	NMe	1	4-CF ₃ -Ph	Me	1	NMe	2	4-CF ₃ -Ph
Me	1	NMe	1	4-OCF ₃ -Ph	Me	1	NMe	2	4-OCF ₃ -Ph
Me	1	NMe	1	PhCH ₂	Me	1	NMe	2	PhCH ₂
Me	1	NMe	1	4-Me-PhCH ₂	Me	1	NMe	2	4-Me-PhCH ₂
Me	1	NMe	1	4-OMe-PhCH ₂	Me	1	NMe	2	4-OMe-PhCH ₂
Me	1	NMe	1	4-F-PhCH ₂	Me	1	NMe	2	4-F-PhCH ₂
Me	1	NMe	1	4-Cl-PhCH ₂	Me	1	NMe	2	4-Cl-PhCH ₂
Me	1	NMe	1	4-CN-PhCH ₂	Me	1	NMe	2	4-CN-PhCH ₂
Me	1	NMe	1	4-CF ₃ -PhCH ₂	Me	1	NMe	2	4-CF ₃ -PhCH ₂
Me	1	NMe	1	4-OCF ₃ -PhCH ₂	Me	1	NMe	2	4-OCF ₃ -PhCH ₂

Table 2



<u>X</u>	<u>n</u>	<u>A</u>	<u>i</u>	<u>R²</u>	<u>X</u>	<u>n</u>	<u>A</u>	<u>i</u>	<u>R²</u>
H	0	O	2	Me	H	0	O	3	Me
H	0	O	2	Et	H	0	O	3	Et
H	0	O	2	CF ₃	H	0	O	3	CF ₃
H	0	O	2	-CN	H	0	O	3	-CN
H	0	O	2	Ph	H	0	O	3	Ph
H	0	O	2	4-F-Ph	H	0	O	3	4-F-Ph
H	0	O	2	4-Cl-Ph	H	0	O	3	4-Cl-Ph
H	0	O	2	4-Me-Ph	H	0	O	3	4-Me-Ph
H	0	O	2	4-OMe-Ph	H	0	O	3	4-OMe-Ph
H	0	O	2	4-CF ₃ -Ph	H	0	O	3	4-CF ₃ -Ph
H	0	O	2	4-OCF ₃ -Ph	H	0	O	3	4-OCF ₃ -Ph
H	0	O	2	4-CN-Ph	H	0	O	3	4-CN-Ph

<u>X</u>	<u>n</u>	<u>A</u>	<u>i</u>	<u>R²</u>
H	0	NH	2	Me
H	0	NH	2	Et
H	0	NH	2	CF ₃
H	0	NH	2	-CN
H	0	NH	2	Ph
H	0	NH	2	4-F-Ph
H	0	NH	2	4-Cl-Ph
H	0	NH	2	4-Me-Ph
H	0	NH	2	4-OMe-Ph
H	0	NH	2	4-CF ₃ -Ph
H	0	NH	2	4-OCF ₃ -Ph
H	0	NH	2	4-CN-Ph
H	0	NMe	2	Me
H	0	NMe	2	Et
H	0	NMe	2	CF ₃
H	0	NMe	2	-CN
H	0	NMe	2	Ph
H	0	NMe	2	4-F-Ph
H	0	NMe	2	4-Cl-Ph
H	0	NMe	2	4-Me-Ph
H	0	NMe	2	4-OMe-Ph
H	0	NMe	2	4-CF ₃ -Ph
H	0	NMe	2	4-OCF ₃ -Ph
H	0	NMe	2	4-CN-Ph
H	1	O	2	Me
H	1	O	2	Et
H	1	O	2	CF ₃
H	1	O	2	-CN
H	1	O	2	Ph
H	1	O	2	4-F-Ph
H	1	O	2	4-Cl-Ph
H	1	O	2	4-Me-Ph
H	1	O	2	4-OMe-Ph
H	1	O	2	4-CF ₃ -Ph
H	1	O	2	4-OCF ₃ -Ph
H	1	O	2	4-CN-Ph
H	1	NH	2	Me

<u>X</u>	<u>n</u>	<u>A</u>	<u>i</u>	<u>R²</u>
H	0	NH	3	Me
H	0	NH	3	Et
H	0	NH	3	CF ₃
H	0	NH	3	-CN
H	0	NH	3	Ph
H	0	NH	3	4-F-Ph
H	0	NH	3	4-Cl-Ph
H	0	NH	3	4-Me-Ph
H	0	NH	3	4-OMe-Ph
H	0	NH	3	4-CF ₃ -Ph
H	0	NH	3	4-OCF ₃ -Ph
H	0	NH	3	4-CN-Ph
H	0	NMe	3	Me
H	0	NMe	3	Et
H	0	NMe	3	CF ₃
H	0	NMe	3	-CN
H	0	NMe	3	Ph
H	0	NMe	3	4-F-Ph
H	0	NMe	3	4-Cl-Ph
H	0	NMe	3	4-Me-Ph
H	0	NMe	3	4-OMe-Ph
H	0	NMe	3	4-CF ₃ -Ph
H	0	NMe	3	4-OCF ₃ -Ph
H	0	NMe	3	4-CN-Ph
H	1	O	3	Me
H	1	O	3	Et
H	1	O	3	CF ₃
H	1	O	3	-CN
H	1	O	3	Ph
H	1	O	3	4-F-Ph
H	1	O	3	4-Cl-Ph
H	1	O	3	4-Me-Ph
H	1	O	3	4-OMe-Ph
H	1	O	3	4-CF ₃ -Ph
H	1	O	3	4-OCF ₃ -Ph
H	1	O	3	4-CN-Ph
H	1	NH	3	Me

<u>X</u>	<u>n</u>	<u>A</u>	<u>i</u>	<u>R²</u>
H	1	NH	2	Et
H	1	NH	2	CF ₃
H	1	NH	2	-CN
H	1	NH	2	Ph
H	1	NH	2	4-F-Ph
H	1	NH	2	4-Cl-Ph
H	1	NH	2	4-Me-Ph
H	1	NH	2	4-OMe-Ph
H	1	NH	2	4-CF ₃ -Ph
H	1	NH	2	4-OCF ₃ -Ph
H	1	NH	2	4-CN-Ph
H	1	NMe	2	Me
H	1	NMe	2	Et
H	1	NMe	2	CF ₃
H	1	NMe	2	-CN
H	1	NMe	2	Ph
H	1	NMe	2	4-F-Ph
H	1	NMe	2	4-Cl-Ph
H	1	NMe	2	4-Me-Ph
H	1	NMe	2	4-OMe-Ph
H	1	NMe	2	4-CF ₃ -Ph
H	1	NMe	2	4-OCF ₃ -Ph
H	1	NMe	2	4-CN-Ph
F	0	O	2	Me
F	0	O	2	Et
F	0	O	2	CF ₃
F	0	O	2	-CN
F	0	O	2	Ph
F	0	O	2	4-F-Ph
F	0	O	2	4-Cl-Ph
F	0	O	2	4-Me-Ph
F	0	O	2	4-OMe-Ph
F	0	O	2	4-CF ₃ -Ph
F	0	O	2	4-OCF ₃ -Ph
F	0	O	2	4-CN-Ph
F	0	NH	2	Me
F	0	NH	2	Et

<u>X</u>	<u>n</u>	<u>A</u>	<u>i</u>	<u>R²</u>
H	1	NH	3	Et
H	1	NH	3	CF ₃
H	1	NH	3	-CN
H	1	NH	3	Ph
H	1	NH	3	4-F-Ph
H	1	NH	3	4-Cl-Ph
H	1	NH	3	4-Me-Ph
H	1	NH	3	4-OMe-Ph
H	1	NH	3	4-CF ₃ -Ph
H	1	NH	3	4-OCF ₃ -Ph
H	1	NH	3	4-CN-Ph
H	1	NMe	3	Me
H	1	NMe	3	Et
H	1	NMe	3	CF ₃
H	1	NMe	3	-CN
H	1	NMe	3	Ph
H	1	NMe	3	4-F-Ph
H	1	NMe	3	4-Cl-Ph
H	1	NMe	3	4-Me-Ph
H	1	NMe	3	4-OMe-Ph
H	1	NMe	3	4-CF ₃ -Ph
H	1	NMe	3	4-OCF ₃ -Ph
H	1	NMe	3	4-CN-Ph
F	0	O	3	Me
F	0	O	3	Et
F	0	O	3	CF ₃
F	0	O	3	-CN
F	0	O	3	Ph
F	0	O	3	4-F-Ph
F	0	O	3	4-Cl-Ph
F	0	O	3	4-Me-Ph
F	0	O	3	4-OMe-Ph
F	0	O	3	4-CF ₃ -Ph
F	0	O	3	4-OCF ₃ -Ph
F	0	O	3	4-CN-Ph
F	0	NH	3	Me
F	0	NH	3	Et

X	n	A	i	R ²
F	0	NH	2	CF ₃
F	0	NH	2	-CN
F	0	NH	2	Ph
F	0	NH	2	4-F-Ph
F	0	NH	2	4-Cl-Ph
F	0	NH	2	4-Me-Ph
F	0	NH	2	4-OMe-Ph
F	0	NH	2	4-CF ₃ -Ph
F	0	NH	2	4-OCF ₃ -Ph
F	0	NH	2	4-CN-Ph
F	0	NMe	2	Me
F	0	NMe	2	Et
F	0	NMe	2	CF ₃
F	0	NMe	2	-CN
F	0	NMe	2	Ph
F	0	NMe	2	4-F-Ph
F	0	NMe	2	4-Cl-Ph
F	0	NMe	2	4-Me-Ph
F	0	NMe	2	4-OMe-Ph
F	0	NMe	2	4-CF ₃ -Ph
F	0	NMe	2	4-OCF ₃ -Ph
F	0	NMe	2	4-CN-Ph
F	1	O	2	Me
F	1	O	2	Et
F	1	O	2	CF ₃
F	1	O	2	-CN
F	1	O	2	Ph
F	1	O	2	4-F-Ph
F	1	O	2	4-Cl-Ph
F	1	O	2	4-Me-Ph
F	1	O	2	4-OMe-Ph
F	1	O	2	4-CF ₃ -Ph
F	1	O	2	4-OCF ₃ -Ph
F	1	O	2	4-CN-Ph
F	1	NH	2	Me
F	1	NH	2	Et
F	1	NH	2	CF ₃

X	n	A	i	R ²
F	0	NH	3	CF ₃
F	0	NH	3	-CN
F	0	NH	3	Ph
F	0	NH	3	4-F-Ph
F	0	NH	3	4-Cl-Ph
F	0	NH	3	4-Me-Ph
F	0	NH	3	4-OMe-Ph
F	0	NH	3	4-CF ₃ -Ph
F	0	NH	3	4-OCF ₃ -Ph
F	0	NH	3	4-CN-Ph
F	0	NMe	3	Me
F	0	NMe	3	Et
F	0	NMe	3	CF ₃
F	0	NMe	3	-CN
F	0	NMe	3	Ph
F	0	NMe	3	4-F-Ph
F	0	NMe	3	4-Cl-Ph
F	0	NMe	3	4-Me-Ph
F	0	NMe	3	4-OMe-Ph
F	0	NMe	3	4-CF ₃ -Ph
F	0	NMe	3	4-OCF ₃ -Ph
F	0	NMe	3	4-CN-Ph
F	1	O	3	Me
F	1	O	3	Et
F	1	O	3	CF ₃
F	1	O	3	-CN
F	1	O	3	Ph
F	1	O	3	4-F-Ph
F	1	O	3	4-Cl-Ph
F	1	O	3	4-Me-Ph
F	1	O	3	4-OMe-Ph
F	1	O	3	4-CF ₃ -Ph
F	1	O	3	4-OCF ₃ -Ph
F	1	O	3	4-CN-Ph
F	1	NH	3	Me
F	1	NH	3	Et
F	1	NH	3	CF ₃

<u>X</u>	<u>n</u>	<u>A</u>	<u>i</u>	<u>R²</u>
F	1	NH	2	-CN
F	1	NH	2	Ph
F	1	NH	2	4-F-Ph
F	1	NH	2	4-Cl-Ph
F	1	NH	2	4-Me-Ph
F	1	NH	2	4-OMe-Ph
F	1	NH	2	4-CF ₃ -Ph
F	1	NH	2	4-OCF ₃ -Ph
F	1	NH	2	4-CN-Ph
F	1	NMe	2	Me
F	1	NMe	2	Et
F	1	NMe	2	CF ₃
F	1	NMe	2	-CN
F	1	NMe	2	Ph
F	1	NMe	2	4-F-Ph
F	1	NMe	2	4-Cl-Ph
F	1	NMe	2	4-Me-Ph
F	1	NMe	2	4-OMe-Ph
F	1	NMe	2	4-CF ₃ -Ph
F	1	NMe	2	4-OCF ₃ -Ph
F	1	NMe	2	4-CN-Ph
Me	0	O	2	Me
Me	0	O	2	Et
Me	0	O	2	CF ₃
Me	0	O	2	-CN
Me	0	O	2	Ph
Me	0	O	2	4-F-Ph
Me	0	O	2	4-Cl-Ph
Me	0	O	2	4-Me-Ph
Me	0	O	2	4-OMe-Ph
Me	0	O	2	4-CF ₃ -Ph
Me	0	O	2	4-OCF ₃ -Ph
Me	0	O	2	4-CN-Ph
Me	0	NH	2	Me
Me	0	NH	2	Et
Me	0	NH	2	CF ₃
Me	0	NH	2	-CN

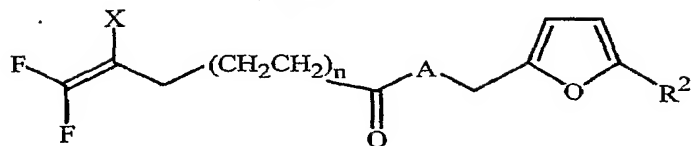
<u>X</u>	<u>n</u>	<u>A</u>	<u>i</u>	<u>R²</u>
F	1	NH	3	-CN
F	1	NH	3	Ph
F	1	NH	3	4-F-Ph
F	1	NH	3	4-Cl-Ph
F	1	NH	3	4-Me-Ph
F	1	NH	3	4-OMe-Ph
F	1	NH	3	4-CF ₃ -Ph
F	1	NH	3	4-OCF ₃ -Ph
F	1	NH	3	4-CN-Ph
F	1	NMe	3	Me
F	1	NMe	3	Et
F	1	NMe	3	CF ₃
F	1	NMe	3	-CN
F	1	NMe	3	Ph
F	1	NMe	3	4-F-Ph
F	1	NMe	3	4-Cl-Ph
F	1	NMe	3	4-Me-Ph
F	1	NMe	3	4-OMe-Ph
F	1	NMe	3	4-CF ₃ -Ph
F	1	NMe	3	4-OCF ₃ -Ph
F	1	NMe	3	4-CN-Ph
Me	0	O	3	Me
Me	0	O	3	Et
Me	0	O	3	CF ₃
Me	0	O	3	-CN
Me	0	O	3	Ph
Me	0	O	3	4-F-Ph
Me	0	O	3	4-Cl-Ph
Me	0	O	3	4-Me-Ph
Me	0	O	3	4-OMe-Ph
Me	0	O	3	4-CF ₃ -Ph
Me	0	O	3	4-OCF ₃ -Ph
Me	0	O	3	4-CN-Ph
Me	0	NH	3	Me
Me	0	NH	3	Et
Me	0	NH	3	CF ₃
Me	0	NH	3	-CN

<u>X</u>	<u>n</u>	<u>A</u>	<u>i</u>	<u>R²</u>
Me	0	NH	2	Ph
Me	0	NH	2	4-F-Ph
Me	0	NH	2	4-Cl-Ph
Me	0	NH	2	4-Me-Ph
Me	0	NH	2	4-OMe-Ph
Me	0	NH	2	4-CF ₃ -Ph
Me	0	NH	2	4-OCF ₃ -Ph
Me	0	NH	2	4-CN-Ph
Me	0	NMe	2	Me
Me	0	NMe	2	Et
Me	0	NMe	2	CF ₃
Me	0	NMe	2	-CN
Me	0	NMe	2	Ph
Me	0	NMe	2	4-F-Ph
Me	0	NMe	2	4-Cl-Ph
Me	0	NMe	2	4-Me-Ph
Me	0	NMe	2	4-OMe-Ph
Me	0	NMe	2	4-CF ₃ -Ph
Me	0	NMe	2	4-OCF ₃ -Ph
Me	0	NMe	2	4-CN-Ph
Me	1	O	2	Me
Me	1	O	2	Et
Me	1	O	2	CF ₃
Me	1	O	2	-CN
Me	1	O	2	Ph
Me	1	O	2	4-F-Ph
Me	1	O	2	4-Cl-Ph
Me	1	O	2	4-Me-Ph
Me	1	O	2	4-OMe-Ph
Me	1	O	2	4-CF ₃ -Ph
Me	1	O	2	4-OCF ₃ -Ph
Me	1	O	2	4-CN-Ph
Me	1	NH	2	Me
Me	1	NH	2	Et
Me	1	NH	2	CF ₃
Me	1	NH	2	-CN
Me	1	NH	2	Ph

<u>X</u>	<u>n</u>	<u>A</u>	<u>i</u>	<u>R²</u>
Me	0	NH	3	Ph
Me	0	NH	3	4-F-Ph
Me	0	NH	3	4-Cl-Ph
Me	0	NH	3	4-Me-Ph
Me	0	NH	3	4-OMe-Ph
Me	0	NH	3	4-CF ₃ -Ph
Me	0	NH	3	4-OCF ₃ -Ph
Me	0	NH	3	4-CN-Ph
Me	0	NMe	3	Me
Me	0	NMe	3	Et
Me	0	NMe	3	CF ₃
Me	0	NMe	3	-CN
Me	0	NMe	3	Ph
Me	0	NMe	3	4-F-Ph
Me	0	NMe	3	4-Cl-Ph
Me	0	NMe	3	4-Me-Ph
Me	0	NMe	3	4-OMe-Ph
Me	0	NMe	3	4-CF ₃ -Ph
Me	0	NMe	3	4-OCF ₃ -Ph
Me	0	NMe	3	4-CN-Ph
Me	1	O	3	Me
Me	1	O	3	Et
Me	1	O	3	CF ₃
Me	1	O	3	-CN
Me	1	O	3	Ph
Me	1	O	3	4-F-Ph
Me	1	O	3	4-Cl-Ph
Me	1	O	3	4-Me-Ph
Me	1	O	3	4-OMe-Ph
Me	1	O	3	4-CF ₃ -Ph
Me	1	O	3	4-OCF ₃ -Ph
Me	1	O	3	4-CN-Ph
Me	1	NH	3	Me
Me	1	NH	3	Et
Me	1	NH	3	CF ₃
Me	1	NH	3	-CN
Me	1	NH	3	Ph

<u>X</u>	<u>n</u>	<u>A</u>	<u>i</u>	<u>R²</u>	<u>X</u>	<u>n</u>	<u>A</u>	<u>i</u>	<u>R²</u>
Me	1	NH	2	4-F-Ph	Me	1	NH	3	4-F-Ph
Me	1	NH	2	4-Cl-Ph	Me	1	NH	3	4-Cl-Ph
Me	1	NH	2	4-Me-Ph	Me	1	NH	3	4-Me-Ph
Me	1	NH	2	4-OMe-Ph	Me	1	NH	3	4-OMe-Ph
Me	1	NH	2	4-CF ₃ -Ph	Me	1	NH	3	4-CF ₃ -Ph
Me	1	NH	2	4-OCF ₃ -Ph	Me	1	NH	3	4-OCF ₃ -Ph
Me	1	NH	2	4-CN-Ph	Me	1	NH	3	4-CN-Ph
Me	1	NMe	2	Me	Me	1	NMe	3	Me
Me	1	NMe	2	Et	Me	1	NMe	3	Et
Me	1	NMe	2	CF ₃	Me	1	NMe	3	CF ₃
Me	1	NMe	2	-CN	Me	1	NMe	3	-CN
Me	1	NMe	2	Ph	Me	1	NMe	3	Ph
Me	1	NMe	2	4-F-Ph	Me	1	NMe	3	4-F-Ph
Me	1	NMe	2	4-Cl-Ph	Me	1	NMe	3	4-Cl-Ph
Me	1	NMe	2	4-Me-Ph	Me	1	NMe	3	4-Me-Ph
Me	1	NMe	2	4-OMe-Ph	Me	1	NMe	3	4-OMe-Ph
Me	1	NMe	2	4-CF ₃ -Ph	Me	1	NMe	3	4-CF ₃ -Ph
Me	1	NMe	2	4-OCF ₃ -Ph	Me	1	NMe	3	4-OCF ₃ -Ph
Me	1	NMe	2	4-CN-Ph	Me	1	NMe	3	4-CN-Ph

Table 3



<u>X</u>	<u>n</u>	<u>A</u>	<u>R²</u>	<u>X</u>	<u>n</u>	<u>A</u>	<u>R²</u>
H	0	O	MeOCH ₂	H	1	O	MeOCH ₂
H	0	O	EtOCH ₂	H	1	O	EtOCH ₂
H	0	O	PhOCH ₂	H	1	O	PhOCH ₂
H	0	O	4-Me-PhOCH ₂	H	1	O	4-Me-PhOCH ₂
H	0	O	4-OMe-PhOCH ₂	H	1	O	4-OMe-PhOCH ₂
H	0	O	4-F-PhOCH ₂	H	1	O	4-F-PhOCH ₂
H	0	O	4-Cl-PhOCH ₂	H	1	O	4-Cl-PhOCH ₂
H	0	O	4-CN-PhOCH ₂	H	1	O	4-CN-PhOCH ₂
H	0	O	4-CF ₃ -PhOCH ₂	H	1	O	4-CF ₃ -PhOCH ₂
H	0	O	4-OCF ₃ -PhOCH ₂	H	1	O	4-OCF ₃ -PhOCH ₂
H	0	O	PhCH ₂ OCH ₂	H	1	O	PhCH ₂ OCH ₂
H	0	O	4-Me-PhCH ₂ OCH ₂	H	1	O	4-Me-PhCH ₂ OCH ₂

<u>X</u>	<u>n</u>	<u>A</u>	<u>R²</u>	<u>X</u>	<u>n</u>	<u>A</u>	<u>R²</u>
H	0	O	4-OMe-PhCH ₂ OCH ₂	H	1	O	4-OMe-PhCH ₂ OCH ₂
H	0	O	4-F-PhCH ₂ OCH ₂	H	1	O	4-F-PhCH ₂ OCH ₂
H	0	O	4-Cl-PhCH ₂ OCH ₂	H	1	O	4-Cl-PhCH ₂ OCH ₂
H	0	O	4-CN-PhCH ₂ OCH ₂	H	1	O	4-CN-PhCH ₂ OCH ₂
H	0	O	4-CF ₃ -PhCH ₂ OCH ₂	H	1	O	4-CF ₃ -PhCH ₂ OCH ₂
H	0	O	4-OCF ₃ -PhCH ₂ OCH ₂	H	1	O	4-OCF ₃ -PhCH ₂ OCH ₂
H	0	NH	MeOCH ₂	H	1	NH	MeOCH ₂
H	0	NH	EtOCH ₂	H	1	NH	EtOCH ₂
H	0	NH	PhOCH ₂	H	1	NH	PhOCH ₂
H	0	NH	4-Me-PhOCH ₂	H	1	NH	4-Me-PhOCH ₂
H	0	NH	4-OMe-PhOCH ₂	H	1	NH	4-OMe-PhOCH ₂
H	0	NH	4-F-PhOCH ₂	H	1	NH	4-F-PhOCH ₂
H	0	NH	4-Cl-PhOCH ₂	H	1	NH	4-Cl-PhOCH ₂
H	0	NH	4-CN-PhOCH ₂	H	1	NH	4-CN-PhOCH ₂
H	0	NH	4-CF ₃ -PhOCH ₂	H	1	NH	4-CF ₃ -PhOCH ₂
H	0	NH	4-OCF ₃ -PhOCH ₂	H	1	NH	4-OCF ₃ -PhOCH ₂
H	0	NH	PhCH ₂ OCH ₂	H	1	NH	PhCH ₂ OCH ₂
H	0	NH	4-Me-PhCH ₂ OCH ₂	H	1	NH	4-Me-PhCH ₂ OCH ₂
H	0	NH	4-OMe-PhCH ₂ OCH ₂	H	1	NH	4-OMe-PhCH ₂ OCH ₂
H	0	NH	4-F-PhCH ₂ OCH ₂	H	1	NH	4-F-PhCH ₂ OCH ₂
H	0	NH	4-Cl-PhCH ₂ OCH ₂	H	1	NH	4-Cl-PhCH ₂ OCH ₂
H	0	NH	4-CN-PhCH ₂ OCH ₂	H	1	NH	4-CN-PhCH ₂ OCH ₂
H	0	NH	4-CF ₃ -PhCH ₂ OCH ₂	H	1	NH	4-CF ₃ -PhCH ₂ OCH ₂
H	0	NH	4-OCF ₃ -PhCH ₂ OCH ₂	H	1	NH	4-OCF ₃ -PhCH ₂ OCH ₂
H	0	NMe	MeOCH ₂	H	1	NMe	MeOCH ₂
H	0	NMe	EtOCH ₂	H	1	NMe	EtOCH ₂
H	0	NMe	PhOCH ₂	H	1	NMe	PhOCH ₂
H	0	NMe	4-Me-PhOCH ₂	H	1	NMe	4-Me-PhOCH ₂
H	0	NMe	4-OMe-PhOCH ₂	H	1	NMe	4-OMe-PhOCH ₂
H	0	NMe	4-F-PhOCH ₂	H	1	NMe	4-F-PhOCH ₂
H	0	NMe	4-Cl-PhOCH ₂	H	1	NMe	4-Cl-PhOCH ₂
H	0	NMe	4-CN-PhOCH ₂	H	1	NMe	4-CN-PhOCH ₂
H	0	NMe	4-CF ₃ -PhOCH ₂	H	1	NMe	4-CF ₃ -PhOCH ₂
H	0	NMe	4-OCF ₃ -PhOCH ₂	H	1	NMe	4-OCF ₃ -PhOCH ₂
H	0	NMe	PhCH ₂ OCH ₂	H	1	NMe	PhCH ₂ OCH ₂
H	0	NMe	4-Me-PhCH ₂ OCH ₂	H	1	NMe	4-Me-PhCH ₂ OCH ₂
H	0	NMe	4-OMe-PhCH ₂ OCH ₂	H	1	NMe	4-OMe-PhCH ₂ OCH ₂

<u>X</u>	<u>n</u>	<u>A</u>	<u>R²</u>	<u>X</u>	<u>n</u>	<u>A</u>	<u>R²</u>
H	0	NMe	4-F-PhCH ₂ OCH ₂	H	1	NMe	4-F-PhCH ₂ OCH ₂
H	0	NMe	4-Cl-PhCH ₂ OCH ₂	H	1	NMe	4-Cl-PhCH ₂ OCH ₂
H	0	NMe	4-CN-PhCH ₂ OCH ₂	H	1	NMe	4-CN-PhCH ₂ OCH ₂
H	0	NMe	4-CF ₃ -PhCH ₂ OCH ₂	H	1	NMe	4-CF ₃ -PhCH ₂ OCH ₂
H	0	NMe	4-OCF ₃ -PhCH ₂ OCH ₂	H	1	NMe	4-OCF ₃ -PhCH ₂ OCH ₂
F	0	O	MeOCH ₂	F	1	O	MeOCH ₂
F	0	O	EtOCH ₂	F	1	O	EtOCH ₂
F	0	O	PhOCH ₂	F	1	O	PhOCH ₂
F	0	O	4-Me-PhOCH ₂	F	1	O	4-Me-PhOCH ₂
F	0	O	4-OMe-PhOCH ₂	F	1	O	4-OMe-PhOCH ₂
F	0	O	4-F-PhOCH ₂	F	1	O	4-F-PhOCH ₂
F	0	O	4-Cl-PhOCH ₂	F	1	O	4-Cl-PhOCH ₂
F	0	O	4-CN-PhOCH ₂	F	1	O	4-CN-PhOCH ₂
F	0	O	4-CF ₃ -PhOCH ₂	F	1	O	4-CF ₃ -PhOCH ₂
F	0	O	4-OCF ₃ -PhOCH ₂	F	1	O	4-OCF ₃ -PhOCH ₂
F	0	O	PhCH ₂ OCH ₂	F	1	O	PhCH ₂ OCH ₂
F	0	O	4-Me-PhCH ₂ OCH ₂	F	1	O	4-Me-PhCH ₂ OCH ₂
F	0	O	4-OMe-PhCH ₂ OCH ₂	F	1	O	4-OMe-PhCH ₂ OCH ₂
F	0	O	4-F-PhCH ₂ OCH ₂	F	1	O	4-F-PhCH ₂ OCH ₂
F	0	O	4-Cl-PhCH ₂ OCH ₂	F	1	O	4-Cl-PhCH ₂ OCH ₂
F	0	O	4-CN-PhCH ₂ OCH ₂	F	1	O	4-CN-PhCH ₂ OCH ₂
F	0	O	4-CF ₃ -PhCH ₂ OCH ₂	F	1	O	4-CF ₃ -PhCH ₂ OCH ₂
F	0	O	4-OCF ₃ -PhCH ₂ OCH ₂	F	1	O	4-OCF ₃ -PhCH ₂ OCH ₂
F	0	NH	MeOCH ₂	F	1	NH	MeOCH ₂
F	0	NH	EtOCH ₂	F	1	NH	EtOCH ₂
F	0	NH	PhOCH ₂	F	1	NH	PhOCH ₂
F	0	NH	4-Me-PhOCH ₂	F	1	NH	4-Me-PhOCH ₂
F	0	NH	4-OMe-PhOCH ₂	F	1	NH	4-OMe-PhOCH ₂
F	0	NH	4-F-PhOCH ₂	F	1	NH	4-F-PhOCH ₂
F	0	NH	4-Cl-PhOCH ₂	F	1	NH	4-Cl-PhOCH ₂
F	0	NH	4-CN-PhOCH ₂	F	1	NH	4-CN-PhOCH ₂
F	0	NH	4-CF ₃ -PhOCH ₂	F	1	NH	4-CF ₃ -PhOCH ₂
F	0	NH	4-OCF ₃ -PhOCH ₂	F	1	NH	4-OCF ₃ -PhOCH ₂
F	0	NH	PhCH ₂ OCH ₂	F	1	NH	PhCH ₂ OCH ₂
F	0	NH	4-Me-PhCH ₂ OCH ₂	F	1	NH	4-Me-PhCH ₂ OCH ₂
F	0	NH	4-OMe-PhCH ₂ OCH ₂	F	1	NH	4-OMe-PhCH ₂ OCH ₂
F	0	NH	4-F-PhCH ₂ OCH ₂	F	1	NH	4-F-PhCH ₂ OCH ₂

<u>X</u>	<u>n</u>	<u>A</u>	<u>R²</u>	<u>X</u>	<u>n</u>	<u>A</u>	<u>R²</u>
F	0	NH	4-Cl-PhCH ₂ OCH ₂	F	1	NH	4-Cl-PhCH ₂ OCH ₂
F	0	NH	4-CN-PhCH ₂ OCH ₂	F	1	NH	4-CN-PhCH ₂ OCH ₂
F	0	NH	4-CF ₃ -PhCH ₂ OCH ₂	F	1	NH	4-CF ₃ -PhCH ₂ OCH ₂
F	0	NH	4-OCF ₃ -PhCH ₂ OCH ₂	F	1	NH	4-OCF ₃ -PhCH ₂ OCH ₂
F	0	NMe	MeOCH ₂	F	1	NMe	MeOCH ₂
F	0	NMe	EtOCH ₂	F	1	NMe	EtOCH ₂
F	0	NMe	PhOCH ₂	F	1	NMe	PhOCH ₂
F	0	NMe	4-Me-PhOCH ₂	F	1	NMe	4-Me-PhOCH ₂
F	0	NMe	4-OMe-PhOCH ₂	F	1	NMe	4-OMe-PhOCH ₂
F	0	NMe	4-F-PhOCH ₂	F	1	NMe	4-F-PhOCH ₂
F	0	NMe	4-Cl-PhOCH ₂	F	1	NMe	4-Cl-PhOCH ₂
F	0	NMe	4-CN-PhOCH ₂	F	1	NMe	4-CN-PhOCH ₂
F	0	NMe	4-CF ₃ -PhOCH ₂	F	1	NMe	4-CF ₃ -PhOCH ₂
F	0	NMe	4-OCF ₃ -PhOCH ₂	F	1	NMe	4-OCF ₃ -PhOCH ₂
F	0	NMe	PhCH ₂ OCH ₂	F	1	NMe	PhCH ₂ OCH ₂
F	0	NMe	4-Me-PhCH ₂ OCH ₂	F	1	NMe	4-Me-PhCH ₂ OCH ₂
F	0	NMe	4-OMe-PhCH ₂ OCH ₂	F	1	NMe	4-OMe-PhCH ₂ OCH ₂
F	0	NMe	4-F-PhCH ₂ OCH ₂	F	1	NMe	4-F-PhCH ₂ OCH ₂
F	0	NMe	4-Cl-PhCH ₂ OCH ₂	F	1	NMe	4-Cl-PhCH ₂ OCH ₂
F	0	NMe	4-CN-PhCH ₂ OCH ₂	F	1	NMe	4-CN-PhCH ₂ OCH ₂
F	0	NMe	4-CF ₃ -PhCH ₂ OCH ₂	F	1	NMe	4-CF ₃ -PhCH ₂ OCH ₂
F	0	NMe	4-OCF ₃ -PhCH ₂ OCH ₂	F	1	NMe	4-OCF ₃ -PhCH ₂ OCH ₂
Me	0	O	MeOCH ₂	Me	1	O	MeOCH ₂
Me	0	O	EtOCH ₂	Me	1	O	EtOCH ₂
Me	0	O	PhOCH ₂	Me	1	O	PhOCH ₂
Me	0	O	4-Me-PhOCH ₂	Me	1	O	4-Me-PhOCH ₂
Me	0	O	4-OMe-PhOCH ₂	Me	1	O	4-OMe-PhOCH ₂
Me	0	O	4-F-PhOCH ₂	Me	1	O	4-F-PhOCH ₂
Me	0	O	4-Cl-PhOCH ₂	Me	1	O	4-Cl-PhOCH ₂
Me	0	O	4-CN-PhOCH ₂	Me	1	O	4-CN-PhOCH ₂
Me	0	O	4-CF ₃ -PhOCH ₂	Me	1	O	4-CF ₃ -PhOCH ₂
Me	0	O	4-OCF ₃ -PhOCH ₂	Me	1	O	4-OCF ₃ -PhOCH ₂
Me	0	O	PhCH ₂ OCH ₂	Me	1	O	PhCH ₂ OCH ₂
Me	0	O	4-Me-PhCH ₂ OCH ₂	Me	1	O	4-Me-PhCH ₂ OCH ₂
Me	0	O	4-OMe-PhCH ₂ OCH ₂	Me	1	O	4-OMe-PhCH ₂ OCH ₂
Me	0	O	4-F-PhCH ₂ OCH ₂	Me	1	O	4-F-PhCH ₂ OCH ₂
Me	0	O	4-Cl-PhCH ₂ OCH ₂	Me	1	O	4-Cl-PhCH ₂ OCH ₂

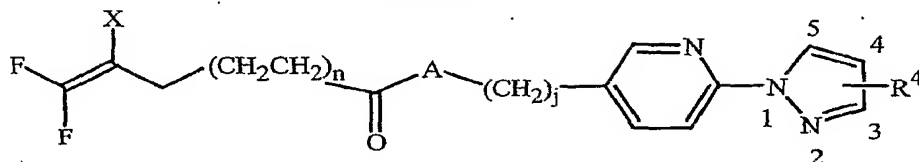
<u>X</u>	<u>n</u>	<u>A</u>	<u>R²</u>
Me	0	O	4-CN-PhCH ₂ OCH ₂
Me	0	O	4-CF ₃ -PhCH ₂ OCH ₂
Me	0	O	4-OCF ₃ -PhCH ₂ OCH ₂
Me	0	NH	MeOCH ₂
Me	0	NH	EtOCH ₂
Me	0	NH	PhOCH ₂
Me	0	NH	4-Me-PhOCH ₂
Me	0	NH	4-OMe-PhOCH ₂
Me	0	NH	4-F-PhOCH ₂
Me	0	NH	4-Cl-PhOCH ₂
Me	0	NH	4-CN-PhOCH ₂
Me	0	NH	4-CF ₃ -PhOCH ₂
Me	0	NH	4-OCF ₃ -PhOCH ₂
Me	0	NH	PhCH ₂ OCH ₂
Me	0	NH	4-Me-PhCH ₂ OCH ₂
Me	0	NH	4-OMe-PhCH ₂ OCH ₂
Me	0	NH	4-F-PhCH ₂ OCH ₂
Me	0	NH	4-Cl-PhCH ₂ OCH ₂
Me	0	NH	4-CN-PhCH ₂ OCH ₂
Me	0	NH	4-CF ₃ -PhCH ₂ OCH ₂
Me	0	NH	4-OCF ₃ -PhCH ₂ OCH ₂
Me	0	NMe	MeOCH ₂
Me	0	NMe	EtOCH ₂
Me	0	NMe	PhOCH ₂
Me	0	NMe	4-Me-PhOCH ₂
Me	0	NMe	4-OMe-PhOCH ₂
Me	0	NMe	4-F-PhOCH ₂
Me	0	NMe	4-Cl-PhOCH ₂
Me	0	NMe	4-CN-PhOCH ₂
Me	0	NMe	4-CF ₃ -PhOCH ₂
Me	0	NMe	4-OCF ₃ -PhOCH ₂
Me	0	NMe	PhCH ₂ OCH ₂
Me	0	NMe	4-Me-PhCH ₂ OCH ₂
Me	0	NMe	4-OMe-PhCH ₂ OCH ₂
Me	0	NMe	4-F-PhCH ₂ OCH ₂
Me	0	NMe	4-Cl-PhCH ₂ OCH ₂
Me	0	NMe	4-CN-PhCH ₂ OCH ₂

<u>X</u>	<u>n</u>	<u>A</u>	<u>R²</u>
Me	1	O	4-CN-PhCH ₂ OCH ₂
Me	1	O	4-CF ₃ -PhCH ₂ OCH ₂
Me	1	O	4-OCF ₃ -PhCH ₂ OCH ₂
Me	1	NH	MeOCH ₂
Me	1	NH	EtOCH ₂
Me	1	NH	PhOCH ₂
Me	1	NH	4-Me-PhOCH ₂
Me	1	NH	4-OMe-PhOCH ₂
Me	1	NH	4-F-PhOCH ₂
Me	1	NH	4-Cl-PhOCH ₂
Me	1	NH	4-CN-PhOCH ₂
Me	1	NH	4-CF ₃ -PhOCH ₂
Me	1	NH	4-OCF ₃ -PhOCH ₂
Me	1	NH	PhCH ₂ OCH ₂
Me	1	NH	4-Me-PhCH ₂ OCH ₂
Me	1	NH	4-OMe-PhCH ₂ OCH ₂
Me	1	NH	4-F-PhCH ₂ OCH ₂
Me	1	NH	4-Cl-PhCH ₂ OCH ₂
Me	1	NH	4-CN-PhCH ₂ OCH ₂
Me	1	NH	4-CF ₃ -PhCH ₂ OCH ₂
Me	1	NH	4-OCF ₃ -PhCH ₂ OCH ₂
Me	1	NMe	MeOCH ₂
Me	1	NMe	EtOCH ₂
Me	1	NMe	PhOCH ₂
Me	1	NMe	4-Me-PhOCH ₂
Me	1	NMe	4-OMe-PhOCH ₂
Me	1	NMe	4-F-PhOCH ₂
Me	1	NMe	4-Cl-PhOCH ₂
Me	1	NMe	4-CN-PhOCH ₂
Me	1	NMe	4-CF ₃ -PhOCH ₂
Me	1	NMe	4-OCF ₃ -PhOCH ₂
Me	1	NMe	PhCH ₂ OCH ₂
Me	1	NMe	4-Me-PhCH ₂ OCH ₂
Me	1	NMe	4-OMe-PhCH ₂ OCH ₂
Me	1	NMe	4-F-PhCH ₂ OCH ₂
Me	1	NMe	4-Cl-PhCH ₂ OCH ₂
Me	1	NMe	4-CN-PhCH ₂ OCH ₂

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<u>X</u>	<u>n</u>	<u>A</u>	<u>R²</u>	<u>X</u>	<u>n</u>	<u>A</u>	<u>R²</u>
Me	0	NMe	4-CF ₃ -PhCH ₂ OCH ₂	Me	1	NMe	4-CF ₃ -PhCH ₂ OCH ₂
Me	0	NMe	4-OCF ₃ -PhCH ₂ OCH ₂	Me	1	NMe	4-OCF ₃ -PhCH ₂ OCH ₂

Table 4



<u>X</u>	<u>n</u>	<u>A</u>	<u>i</u>	<u>R⁴</u>	<u>X</u>	<u>n</u>	<u>A</u>	<u>i</u>	<u>R⁴</u>
H	0	O	1	-	H	0	O	2	-
H	0	O	1	3-Me	H	0	O	2	3-Me
H	0	O	1	3-F	H	0	O	2	3-F
H	0	O	1	3-Cl	H	0	O	2	3-Cl
H	0	O	1	3-Br	H	0	O	2	3-Br
H	0	O	1	3-CF ₃	H	0	O	2	3-CF ₃
H	0	O	1	3-CN	H	0	O	2	3-CN
H	0	NH	1	-	H	0	NH	2	-
H	0	NH	1	3-Me	H	0	NH	2	3-Me
H	0	NH	1	3-F	H	0	NH	2	3-F
H	0	NH	1	3-Cl	H	0	NH	2	3-Cl
H	0	NH	1	3-Br	H	0	NH	2	3-Br
H	0	NH	1	3-CF ₃	H	0	NH	2	3-CF ₃
H	0	NH	1	3-CN	H	0	NH	2	3-CN
H	0	NMe	1	-	H	0	NMe	2	-
H	0	NMe	1	3-Me	H	0	NMe	2	3-Me
H	0	NMe	1	3-F	H	0	NMe	2	3-F
H	0	NMe	1	3-Cl	H	0	NMe	2	3-Cl
H	0	NMe	1	3-Br	H	0	NMe	2	3-Br
H	0	NMe	1	3-CF ₃	H	0	NMe	2	3-CF ₃
H	0	NMe	1	3-CN	H	0	NMe	2	3-CN
H	1	O	1	-	H	1	O	2	-
H	1	O	1	3-Me	H	1	O	2	3-Me
H	1	O	1	3-F	H	1	O	2	3-F
H	1	O	1	3-Cl	H	1	O	2	3-Cl
H	1	O	1	3-Br	H	1	O	2	3-Br
H	1	O	1	3-CF ₃	H	1	O	2	3-CF ₃
H	1	O	1	3-CN	H	1	O	2	3-CN

<u>X</u>	<u>n</u>	<u>A</u>	<u>i</u>	<u>R⁴</u>
H	1	NH	1	-
H	1	NH	1	3-Me
H	1	NH	1	3-F
H	1	NH	1	3-Cl
H	1	NH	1	3-Br
H	1	NH	1	3-CF ₃
H	1	NH	1	3-CN
H	1	NMe	1	-
H	1	NMe	1	3-Me
H	1	NMe	1	3-F
H	1	NMe	1	3-Cl
H	1	NMe	1	3-Br
H	1	NMe	1	3-CF ₃
H	1	NMe	1	3-CN
F	0	O	1	-
F	0	O	1	3-Me
F	0	O	1	3-F
F	0	O	1	3-Cl
F	0	O	1	3-Br
F	0	O	1	3-CF ₃
F	0	O	1	3-CN
F	0	NH	1	-
F	0	NH	1	3-Me
F	0	NH	1	3-F
F	0	NH	1	3-Cl
F	0	NH	1	3-Br
F	0	NH	1	3-CF ₃
F	0	NH	1	3-CN
F	0	NMe	1	-
F	0	NMe	1	3-Me
F	0	NMe	1	3-F
F	0	NMe	1	3-Cl
F	0	NMe	1	3-Br
F	0	NMe	1	3-CF ₃
F	0	NMe	1	3-CN
F	1	O	1	-
F	1	O	1	3-Me

<u>X</u>	<u>n</u>	<u>A</u>	<u>i</u>	<u>R⁴</u>
H	1	NH	2	-
H	1	NH	2	3-Me
H	1	NH	2	3-F
H	1	NH	2	3-Cl
H	1	NH	2	3-Br
H	1	NH	2	3-CF ₃
H	1	NH	2	3-CN
H	1	NMe	2	-
H	1	NMe	2	3-Me
H	1	NMe	2	3-F
H	1	NMe	2	3-Cl
H	1	NMe	2	3-Br
H	1	NMe	2	3-CF ₃
H	1	NMe	2	3-CN
F	0	O	2	-
F	0	O	2	3-Me
F	0	O	2	3-F
F	0	O	2	3-Cl
F	0	O	2	3-Br
F	0	O	2	3-CF ₃
F	0	O	2	3-CN
F	0	NH	2	-
F	0	NH	2	3-Me
F	0	NH	2	3-F
F	0	NH	2	3-Cl
F	0	NH	2	3-Br
F	0	NH	2	3-CF ₃
F	0	NH	2	3-CN
F	0	NMe	2	-
F	0	NMe	2	3-Me
F	0	NMe	2	3-F
F	0	NMe	2	3-Cl
F	0	NMe	2	3-Br
F	0	NMe	2	3-CF ₃
F	0	NMe	2	3-CN
F	1	O	2	-
F	1	O	2	3-Me

<u>X</u>	<u>n</u>	<u>A</u>	<u>i</u>	<u>R⁴</u>
F	1	O	1	3-F
F	1	O	1	3-Cl
F	1	O	1	3-Br
F	1	O	1	3-CF ₃
F	1	O	1	3-CN
F	1	NH	1	-
F	1	NH	1	3-Me
F	1	NH	1	3-F
F	1	NH	1	3-Cl
F	1	NH	1	3-Br
F	1	NH	1	3-CF ₃
F	1	NH	1	3-CN
F	1	NMe	1	-
F	1	NMe	1	3-Me
F	1	NMe	1	3-F
F	1	NMe	1	3-Cl
F	1	NMe	1	3-Br
F	1	NMe	1	3-CF ₃
F	1	NMe	1	3-CN
Me	0	O	1	-
Me	0	O	1	3-Me
Me	0	O	1	3-F
Me	0	O	1	3-Cl
Me	0	O	1	3-Br
Me	0	O	1	3-CF ₃
Me	0	O	1	3-CN
Me	0	NH	1	-
Me	0	NH	1	3-Me
Me	0	NH	1	3-F
Me	0	NH	1	3-Cl
Me	0	NH	1	3-Br
Me	0	NH	1	3-CF ₃
Me	0	NH	1	3-CN
Me	0	NMe	1	-
Me	0	NMe	1	3-Me
Me	0	NMe	1	3-F
Me	0	NMe	1	3-Cl

<u>X</u>	<u>n</u>	<u>A</u>	<u>i</u>	<u>R⁴</u>
F	1	O	2	3-F
F	1	O	2	3-Cl
F	1	O	2	3-Br
F	1	O	2	3-CF ₃
F	1	O	2	3-CN
F	1	NH	2	-
F	1	NH	2	3-Me
F	1	NH	2	3-F
F	1	NH	2	3-Cl
F	1	NH	2	3-Br
F	1	NH	2	3-CF ₃
F	1	NH	2	3-CN
F	1	NMe	2	-
F	1	NMe	2	3-Me
F	1	NMe	2	3-F
F	1	NMe	2	3-Cl
F	1	NMe	2	3-Br
F	1	NMe	2	3-CF ₃
F	1	NMe	2	3-CN
Me	0	O	2	-
Me	0	O	2	3-Me
Me	0	O	2	3-F
Me	0	O	2	3-Cl
Me	0	O	2	3-Br
Me	0	O	2	3-CF ₃
Me	0	O	2	3-CN
Me	0	NH	2	-
Me	0	NH	2	3-Me
Me	0	NH	2	3-F
Me	0	NH	2	3-Cl
Me	0	NH	2	3-Br
Me	0	NH	2	3-CF ₃
Me	0	NH	2	3-CN
Me	0	NMe	2	-
Me	0	NMe	2	3-Me
Me	0	NMe	2	3-F
Me	0	NMe	2	3-Cl

<u>X</u>	<u>n</u>	<u>A</u>	<u>i</u>	<u>R⁴</u>	<u>X</u>	<u>n</u>	<u>A</u>	<u>i</u>	<u>R⁴</u>
Me	0	NMe	1	3-Br	Me	0	NMe	2	3-Br
Me	0	NMe	1	3-CF ₃	Me	0	NMe	2	3-CF ₃
Me	0	NMe	1	3-CN	Me	0	NMe	2	3-CN
Me	1	O	1	-	Me	1	O	2	---
Me	1	O	1	3-Me	Me	1	O	2	3-Me
Me	1	O	1	3-F	Me	1	O	2	3-F
Me	1	O	1	3-Cl	Me	1	O	2	3-Cl
Me	1	O	1	3-Br	Me	1	O	2	3-Br
Me	1	O	1	3-CF ₃	Me	1	O	2	3-CF ₃
Me	1	O	1	3-CN	Me	1	O	2	3-CN
Me	1	NH	1	-	Me	1	NH	2	-
Me	1	NH	1	3-Me	Me	1	NH	2	3-Me
Me	1	NH	1	3-F	Me	1	NH	2	3-F
Me	1	NH	1	3-Cl	Me	1	NH	2	3-Cl
Me	1	NH	1	3-Br	Me	1	NH	2	3-Br
Me	1	NH	1	3-CF ₃	Me	1	NH	2	3-CF ₃
Me	1	NH	1	3-CN	Me	1	NH	2	3-CN
Me	1	NMe	1	-	Me	1	NMe	2	-
Me	1	NMe	1	3-Me	Me	1	NMe	2	3-Me
Me	1	NMe	1	3-F	Me	1	NMe	2	3-F
Me	1	NMe	1	3-Cl	Me	1	NMe	2	3-Cl
Me	1	NMe	1	3-Br	Me	1	NMe	2	3-Br
Me	1	NMe	1	3-CF ₃	Me	1	NMe	2	3-CF ₃
Me	1	NMe	1	3-CN	Me	1	NMe	2	3-CN

A hyphen (-) means no R⁴ substituent.

Formulation/Utility

Compounds of this invention can generally be used as a formulation or a composition with a carrier suitable for agronomic or nonagronomic uses comprising at least one of a liquid diluent, a solid diluent or a surfactant. The formulation or composition ingredients are selected to be consistent with the physical properties of the active ingredient, mode of application and environmental factors such as soil type, moisture and temperature. Useful formulations include liquids such as solutions (including emulsifiable concentrates), suspensions, emulsions (including microemulsions and/or suspoemulsions) and the like which optionally can be thickened into gels. Useful formulations further include solids such as dusts, powders, granules, pellets, tablets, films (including seed coatings), and the like which can be water-dispersible ("wetttable") or water-soluble. Active ingredient can be

(micro)encapsulated and further formed into a suspension or solid formulation; alternatively the entire formulation of active ingredient can be encapsulated (or "overcoated"). Encapsulation can control or delay release of the active ingredient. Sprayable formulations can be extended in suitable media and used at spray volumes from about one to several hundred liters per hectare. High-strength compositions can be primarily used as intermediates for further formulation.

The formulations will typically contain effective amounts of active ingredient, diluent and surfactant within the following approximate ranges which add up to 100 percent by weight.

	Weight Percent		
	<u>Active Ingredient</u>	<u>Diluent</u>	<u>Surfactant</u>
Water-Dispersible and Water-soluble Granules, Tablets and Powders.	0.001-90	0-99.999	0-15
Suspensions, Emulsions, Solutions (including Emulsifiable Concentrates)	1-50	40-99	0-50
Dusts	1-25	70-99	0-5
Granules and Pellets	0.001-99	5-99.999	0-15
High Strength Compositions	90-99	0-10	0-2

Typical solid diluents are described in Watkins, et al., *Handbook of Insecticide Dust Diluents and Carriers*, 2nd Ed., Dorland Books, Caldwell, New Jersey. Typical liquid diluents are described in Marsden, *Solvents Guide*, 2nd Ed., Interscience, New York, 1950. *McCutcheon's Detergents and Emulsifiers Annual*, Allured Publ. Corp., Ridgewood, New Jersey, as well as Sisely and Wood, *Encyclopedia of Surface Active Agents*, Chemical Publ. Co., Inc., New York, 1964, list surfactants and recommended uses. All formulations can contain minor amounts of additives to reduce foam, caking, corrosion, microbiological growth and the like, or thickeners to increase viscosity.

Surfactants include, for example, polyethoxylated alcohols, polyethoxylated alkylphenols, polyethoxylated sorbitan fatty acid esters, dialkyl sulfosuccinates, alkyl sulfates, alkylbenzene sulfonates, organosilicones, *N,N*-dialkyltaurates, lignin sulfonates, naphthalene sulfonate formaldehyde condensates, polycarboxylates, glycerol esters, polyoxyethylene/polyoxypropylene block copolymers, and alkylpolyglycosides where the number of glucose units, referred to as degree of polymerization (D.P.), can range from 1 to 3 and the alkyl units can range from C₆ to C₁₄ (see *Pure and Applied Chemistry* 72, 1255 - 1264). Solid diluents include, for example, clays such as bentonite, montmorillonite, attapulgite and kaolin, starch, sugar, silica, talc, diatomaceous earth, urea, calcium carbonate, sodium carbonate and bicarbonate, and sodium sulfate. Liquid diluents include, for example, water, *N,N*-dimethylformamide, dimethyl sulfoxide, *N*-alkylpyrrolidone, ethylene glycol, polypropylene glycol, propylene carbonate, dibasic esters, paraffins, alkylbenzenes,

alkylnaphthalenes, glycerine, triacetine, oils of olive, castor, linseed, tung, sesame, corn, peanut, cotton-seed, soybean, rape-seed and coconut, fatty acid esters, ketones such as cyclohexanone, 2-heptanone, isophorone and 4-hydroxy-4-methyl-2-pentanone, acetates such as hexyl acetate, heptyl acetate and octyl acetate, and alcohols such as methanol, cyclohexanol, decanol, benzyl alcohol and tetrahydrofurfuryl alcohol.

Useful formulations of this invention can also contain materials well known to those skilled in the art as formulation aids including antifoams, film formers and dyes. Antifoams can include water dispersible liquids comprising polyorganosiloxanes such as Rhodorsil® 416. The film formers can include polyvinyl acetates, polyvinyl acetate copolymers, polyvinylpyrrolidone-vinyl acetate copolymer, polyvinyl alcohols, polyvinyl alcohol copolymers and waxes. Dyes can include water dispersible liquid colorant compositions such as Pro-lzed® Colorant Red. One skilled in the art will appreciate that this is a non-exhaustive list of formulation aids. Suitable examples of formulation aids include those listed herein and those listed in *McCutcheon's 2001, Volume 2: Functional Materials*, published by MC Publishing Company and PCT Publication WO 03/024222.

Solutions, including emulsifiable concentrates, can be prepared by simply mixing the ingredients. Dusts and powders can be prepared by blending and, usually, grinding as in a hammer mill or fluid-energy mill. Suspensions are usually prepared by wet-milling; see, for example, U.S. 3,060,084. Granules and pellets can be prepared by spraying the active material upon preformed granular carriers or by agglomeration techniques. See Browning, "Agglomeration", *Chemical Engineering*, December 4, 1967, pp 147-48, *Perry's Chemical Engineer's Handbook*, 4th Ed., McGraw-Hill, New York, 1963, pages 8-57 and following, and WO 91/13546. Pellets can be prepared as described in U.S. 4,172,714. Water-dispersible and water-soluble granules can be prepared as taught in U.S. 4,144,050, U.S. 3,920,442 and DE 3,246,493. Tablets can be prepared as taught in U.S. 5,180,587, U.S. 5,232,701 and U.S. 5,208,030. Films can be prepared as taught in GB 2,095,558 and U.S. 3,299,566.

Compositions of this invention can also optionally comprise plant nutrients, e.g., a fertilizer composition comprising at least one plant nutrient selected from nitrogen, phosphorus, potassium, sulfur, calcium, magnesium, iron, copper, boron, manganese, zinc, and molybdenum. Of note are compositions comprising at least one fertilizer composition comprising at least one plant nutrient selected from nitrogen, phosphorus, potassium, sulfur, calcium and magnesium. Compositions of the present invention which further comprise at least one plant nutrient can be in the form of liquids or solids. Of note are solid formulations in the form of granules, small sticks or tablets. Solid formulations comprising a fertilizer composition can be prepared by mixing the compound or composition of the present invention with the fertilizer composition together with formulating ingredients and then preparing the formulation by methods such as granulation or extrusion. Alternatively solid

formulations can be prepared by spraying a solution or suspension of a compound or composition of the present invention in a volatile solvent onto a previously prepared fertilizer composition in the form of dimensionally stable mixtures, e.g., granules, small sticks or tablets, and then evaporating the solvent.

- 5 For further information regarding the art of formulation, see T. S. Woods, "The Formulator's Toolbox – Product Forms for Modern Agriculture" in *Pesticide Chemistry and Bioscience, The Food-Environment Challenge*, T. Brooks and T. R. Roberts, Eds., Proceedings of the 9th International Congress on Pesticide Chemistry, The Royal Society of Chemistry, Cambridge, 1999, pp. 120–133. See also U.S. 3,235,361, Col. 6, line 16 through
 10 Col. 7, line 19 and Examples 10–41; U.S. 3,309,192, Col. 5, line 43 through Col. 7, line 62 and Examples 8, 12, 15, 39, 41, 52, 53, 58, 132, 138–140, 162–164, 166, 167 and 169–182; U.S. 2,891,855, Col. 3, line 66 through Col. 5, line 17 and Examples 1–4; Klingman, *Weed Control as a Science*, John Wiley and Sons, Inc., New York, 1961, pp 81–96; Hance et al., *Weed Control Handbook*, 8th Ed., Blackwell Scientific Publications, Oxford, 1989; and
 15 *Developments in formulation technology*, PJB Publications, Richmond, UK, 2000.

In the following Examples, all percentages are by weight and all formulations are prepared in conventional ways. Compound numbers refer to compounds in Index Tables A-E. Without further elaboration, it is believed that one skilled in the art using the preceding description can utilize the present invention to its fullest extent. The following Examples
 20 are, therefore, to be constructed as merely illustrative, and not limiting of the disclosure in any way whatsoever. Percentages are by weight except where otherwise indicated.

Example A

Wettable Powder

Compound 109	65.0%
dodecylphenol polyethylene glycol ether	2.0%
sodium ligninsulfonate	4.0%
sodium silicoaluminate	6.0%
montmorillonite (calcined)	23.0%

Example B

Granule

Compound 114	10.0%
attapulgite granules (low volatile matter, 0.71/0.30 mm; U.S.S. No. 25–50 sieves)	90.0%

Example C

Extruded Pellet

Compound 204	25.0%
anhydrous sodium sulfate	10.0%
crude calcium ligninsulfonate	5.0%

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sodium alkyl naphthalenesulfonate	1.0%
calcium/magnesium bentonite	59.0%

Example DEmulsifiable Concentrate

Compound 208	20.0%
blend of oil soluble sulfonates and polyoxyethylene ethers	10.0%
isophorone	70.0%

Example EMicroemulsion

Compound 9	5.0%
polyvinylpyrrolidone-vinyl acetate copolymer	30.0%
alkylpolyglycoside	30.0%
glyceryl monooleate	15.0%
water	20.0%

Example FSeed Treatment

Compound 10	20.00%
polyvinylpyrrolidone-vinyl acetate copolymer	5.00%
montan acid wax	5.00%
calcium ligninsulfonate	1.00%
polyoxyethylene/polyoxypropylene block copolymers	1.00%
stearyl alcohol (POE 20)	2.00%
polyorganosilane	0.20%
colorant red dye	0.05%
water	65.75%

Example GFertilizer Stick

Compound 110	2.50%
pyrrolidone-styrene copolymer	4.80%
tristyrylphenyl 16-ethoxylate	2.30%
talc	0.80%
corn starch	5.00%
Nitrophoska® Permanent 15-9-15 slow-release fertilizer (BASF)	36.00%
kaolin	38.00%
water	10.60%

5 Compounds of this invention exhibit activity against a wide spectrum of invertebrate pests. These pests include invertebrates inhabiting a variety of environments such as, for

example, plant foliage, roots, soil, harvested crops or other foodstuffs, building structures or animal integuments. These pests include, for example, invertebrates feeding on foliage (including leaves, stems, flowers and fruits), seeds, wood, textile fibers or animal blood or tissues, and thereby causing injury or damage to, for example, growing or stored agronomic crops, forests, greenhouse crops, ornamentals, nursery crops, stored foodstuffs or fiber products, or houses or other structures or their contents, or being harmful to animal health or public health. Those skilled in the art will appreciate that not all compounds are equally effective against all growth stages of all pests.

These present compounds and compositions are thus useful agronomically for protecting field crops from phytophagous invertebrate pests, and also nonagronomically for protecting other horticultural crops and plants from phytophagous invertebrate pests. This utility includes protecting crops and other plants (i.e. both agronomic and nonagronomic) that contain genetic material introduced by genetic engineering (i.e. transgenic) or modified by mutagenesis to provide advantageous traits. Examples of such traits include tolerance to herbicides, resistance to phytophagous pests (e.g., insects, mites, aphids, spiders, nematodes, snails, plant-pathogenic fungi, bacteria and viruses), improved plant growth, increased tolerance of adverse growing conditions such as high or low temperatures, low or high soil moisture, and high salinity, increased flowering or fruiting, greater harvest yields, more rapid maturation, higher quality and/or nutritional value of the harvested product, or improved storage or process properties of the harvested products. Transgenic plants can be modified to express multiple traits. Examples of plants containing traits provided by genetic engineering or mutagenesis include varieties of corn, cotton, soybean and potato expressing an insecticidal *Bacillus thuringiensis* toxin such as YIELD GARD[®], KNOCKOUT[®], STARLINK[®], BOLLGARD[®], NuCOTN[®] and NEWLEAF[®], and herbicide-tolerant varieties of corn, cotton, soybean and rapeseed such as ROUNDUP READY[®], LIBERTY LINK[®], IMI[®], STS[®] and CLEARFIELD[®], as well as crops expressing *N*-acetyltransferase (GAT) to provide resistance to glyphosate herbicide, or crops containing the HRA gene providing resistance to herbicides inhibiting acetolactate synthase (ALS). The present compounds and compositions may interact synergistically with traits introduced by genetic engineering or modified by mutagenesis, thus enhancing phenotypic expression or effectiveness of the traits or increasing the invertebrate pest control effectiveness of the present compounds and compositions. In particular, the present compounds and compositions may interact synergistically with the phenotypic expression of proteins or other natural products toxic to invertebrate pests to provide greater-than-additive control of these pests.

Nonagronomic uses refer to invertebrate pest control in the areas other than fields of crop plants. Nonagronomic uses of the present compounds and compositions include control of invertebrate pests in stored grains, beans and other foodstuffs, and in textiles such as clothing and carpets. Nonagronomic uses of the present compounds and compositions also

include invertebrate pest control in ornamental plants, forests, in yards, along roadsides and railroad rights of way, and on turf such as lawns, golf courses and pastures. Nonagronomic uses of the present compounds and compositions also include invertebrate pest control in houses and other buildings which may be occupied by humans and/or companion, farm, ranch, zoo or other animals. Nonagronomic uses of the present compounds and compositions also include the control of pests such as termites that can damage wood or other structural materials used in buildings.

Agronomic or nonagronomic pests include eggs, larvae and adults of the order Lepidoptera, such as armyworms, cutworms, loopers, and heliothines in the family Noctuidae (e.g., fall armyworm (*Spodoptera fugiperda* J. E. Smith), beet armyworm (*Spodoptera exigua* Hübner), black cutworm (*Agrotis ipsilon* Hufnagel), cabbage looper (*Trichoplusia ni* Hübner), tobacco budworm (*Heliothis virescens* Fabricius)); borers, casebearers, webworms, coneworms, cabbageworms and skeletonizers from the family Pyralidae (e.g., European corn borer (*Ostrinia nubilalis* Hübner), navel orangeworm (*Amyelois transitella* Walker), corn root webworm (*Crambus caliginosellus* Clemens), sod webworms (Pyralidae: *Crambinae*) such as sod worm (*Herpetogramma licarsisalis* Walker)); leafrollers, budworms, seed worms, and fruit worms in the family Tortricidae (e.g., codling moth (*Cydia pomonella* Linnaeus), grape berry moth (*Endopiza viteana* Clemens), oriental fruit moth (*Grapholita molesta* Busck)); and many other economically important lepidoptera (e.g., diamondback moth (*Plutella xylostella* Linnaeus), pink bollworm (*Pectinophora gossypiella* Saunders), gypsy moth (*Lymantria dispar* Linnaeus)); eggs, nymphs and adults of the order Blattodea including cockroaches from the families Blattellidae and Blattidae (e.g., oriental cockroach (*Blatta orientalis* Linnaeus), Asian cockroach (*Blatella asahinai* Mizukubo), German cockroach (*Blattella germanica* Linnaeus), brownbanded cockroach (*Supella longipalpa* Fabricius), American cockroach (*Periplaneta americana* Linnaeus), brown cockroach (*Periplaneta brunnea* Burmeister), Madeira cockroach (*Leucophaea maderae* Fabricius)), smoky brown cockroach (*Periplaneta fuliginosa* Service), Australian Cockroach (*Periplaneta australasiae* Fabr.), lobster cockroach (*Nauphoeta cinerea* Olivier) and smooth cockroach (*Symploce pallens* Stephens)); eggs, foliar-feeding, fruit-feeding, root-feeding, seed-feeding and vesicular tissue feeding larvae and adults of the order Coleoptera including weevils from the families Anthribidae, Bruchidae, and Curculionidae (e.g., boll weevil (*Anthonomus grandis* Boheman), rice water weevil (*Lissorhoptrus oryzophilus* Kuschel), granary weevil (*Sitophilus granarius* Linnaeus), rice weevil (*Sitophilus oryzae* Linnaeus)), annual bluegrass weevil (*Listronotus maculicollis* Dietz), bluegrass billbug (*Sphenophorus parvulus* Gyllenhal), hunting billbug (*Sphenophorus venatus vestitus*), Denver billbug (*Sphenophorus cicatristriatus* Fahraeus)); flea beetles, cucumber beetles, rootworms, leaf beetles, potato beetles, and leafminers in the family Chrysomelidae (e.g., Colorado potato beetle

(*Leptinotarsa decemlineata* Say), western corn rootworm (*Diabrotica virgifera virgifera* LeConte)); chafers and other beetles from the family Scarabaeidae (e.g., Japanese beetle (*Popillia japonica* Newman), oriental beetle (*Anomala orientalis* Waterhouse), northern masked chafer (*Cyclocephala borealis* Arrow), southern masked chafer (*Cyclocephala* 5 *immaculata* Olivier), black turfgrass ataenius (*Ataenius spretulus* Haldeman), green June beetle (*Cotinis nitida* Linnaeus), Asiatic garden beetle (*Maladera castanea* Arrow), May/June beetles (*Phyllophaga* spp.) and European chafer (*Rhizotrogus majalis* Razoumowsky)); carpet beetles from the family Dermestidae; wireworms from the family Elateridae; bark beetles from the family Scolytidae and flour beetles from the family Tenebrionidae.. In addition, agronomic and nonagronomic pests include: eggs, adults and 10 larvae of the order Dermaptera including earwigs from the family Forficulidae (e.g., European earwig (*Forficula auricularia* Linnaeus), black earwig (*Chelisoches morio* Fabricius)); eggs, immatures, adults and nymphs of the orders Hemiptera and Homoptera such as, plant bugs from the family Miridae, cicadas from the family Cicadidae, leafhoppers 15 (e.g. *Empoasca* spp.) from the family Cicadellidae, planthoppers from the families Fulgoroidae and Delphacidae, treehoppers from the family Membracidae, psyllids from the family Psyllidae, whiteflies from the family Aleyrodidae, aphids from the family Aphididae, phylloxera from the family Phylloxeridae, mealybugs from the family Pseudococcidae, scales from the families Coccidae, Diaspididae and Margarodidae, lace bugs from the family Tingidae, stink bugs from the family Pentatomidae, chinch bugs (e.g., hairy chinch bug 20 (*Blissus leucopterus hirtus* Montandon) and southern chinch bug (*Blissus insularis* Barber)) and other seed bugs from the family Lygaeidae, spittlebugs from the family Cercopidae, squash bugs from the family Coreidae, and red bugs and cotton stainers from the family Pyrrhocoridae. Also included are eggs, larvae, nymphs and adults of the order Acari (mites) 25 such as spider mites and red mites in the family Tetranychidae (e.g., European red mite (*Panonychus ulmi* Koch), two spotted spider mite (*Tetranychus urticae* Koch), McDaniel mite (*Tetranychus mcdanieli* McGregor)); flat mites in the family Tenuipalpidae (e.g., citrus flat mite (*Brevipalpus lewisi* McGregor)); rust and bud mites in the family Eriophyidae and other foliar feeding mites and mites important in human and animal health, i.e. dust mites in 30 the family Epidermoptidae, follicle mites in the family Demodicidae, grain mites in the family Glycyphagidae, ticks in the order Ixodidae (e.g., deer tick (*Ixodes scapularis* Say), Australian paralysis tick (*Ixodes holocyclus* Neumann), American dog tick (*Dermacentor variabilis* Say), lone star tick (*Amblyomma americanum* Linnaeus)) and scab and itch mites in the families Psoroptidae, Pyemotidae, and Sarcoptidae; eggs, adults and immatures of the 35 order Orthoptera including grasshoppers, locusts and crickets (e.g., migratory grasshoppers (e.g., *Melanoplus sanguinipes* Fabricius, *M. differentialis* Thomas), American grasshoppers (e.g., *Schistocerca americana* Drury), desert locust (*Schistocerca gregaria* Forskal), migratory locust (*Locusta migratoria* Linnaeus), bush locust (*Zonocerus* spp.), house cricket

(*Acheta domesticus* Linnaeus), mole crickets (e.g., tawny mole cricket (*Scapteriscus vicinus* Scudder) and southern mole cricket (*Scapteriscus borellii* Giglio-Tos)); eggs, adults and immatures of the order Diptera including leafminers, midges, fruit flies (Tephritidae), frit flies (e.g., *Oscinella frit* Linnaeus), soil maggots, house flies (e.g., *Musca domestica* Linnaeus), lesser house flies (e.g., *Fannia canicularis* Linnaeus, *F. femoralis* Stein), stable flies (e.g., *Stomoxys calcitrans* Linnaeus), face flies, horn flies, blow flies (e.g., *Chrysomya* spp., *Phormia* spp.), and other muscoid fly pests, horse flies (e.g., *Tabanus* spp.), bot flies (e.g., *Gastrophilus* spp., *Oestrus* spp.), cattle grubs (e.g., *Hypoderma* spp.), deer flies (e.g., *Chrysops* spp.), keds (e.g., *Melophagus ovinus* Linnaeus) and other Brachycera, mosquitoes (e.g., *Aedes* spp., *Anopheles* spp., *Culex* spp.), black flies (e.g., *Prosimulium* spp., *Simulium* spp.), biting midges, sand flies, sciarids, and other Nematocera; eggs, immatures and adults of the order Thysanoptera including onion thrips (*Thrips tabaci* Lindeman), flower thrips (*Frankliniella* spp.), and other foliar feeding thrips; insect pests of the order Hymenoptera including ants (e.g., red carpenter ant (*Camponotus ferrugineus* Fabricius), black carpenter ant (*Camponotus pennsylvanicus* De Geer), Pharaoh ant (*Monomorium pharaonis* Linnaeus), little fire ant (*Wasmannia auropunctata* Roger), fire ant (*Solenopsis geminata* Fabricius), red imported fire ant (*Solenopsis invicta* Buren), Argentine ant (*Iridomyrmex humilis* Mayr), crazy ant (*Paratrechina longicornis* Latreille), pavement ant (*Tetramorium caespitum* Linnaeus), cornfield ant (*Lasius alienus* Förster), odorous house ant (*Tapinoma sessile* Say), bees (including carpenter bees), hornets, yellow jackets, wasps, and sawflies (*Neodiprion* spp.; *Cephus* spp.); insect pests of the Family Formicidae including the Florida carpenter ant (*Camponotus floridanus* Buckley), white-footed ant (*Technomyrmex albipes* fr. Smith), big headed ants (*Pheidole* sp.) and ghost ant (*Tapinoma melanocephalum* Fabricius); insect pests of the order Isoptera including termites in the Termitidae (ex. *Macrotermes* sp.), Kalotermitidae (ex. *Cryptotermes* sp.), and Rhinotermitidae (ex. *Reticulitermes* sp., *Coptotermes* sp.) families, the eastern subterranean termite (*Reticulitermes flavipes* Kollar), western subterranean termite (*Reticulitermes hesperus* Banks), Formosan subterranean termite (*Coptotermes formosanus* Shiraki), West Indian drywood termite (*Incisitermes immigrans* Snyder), powder post termite (*Cryptotermes brevis* Walker), drywood termite (*Incisitermes snyderi* Light), southeastern subterranean termite (*Reticulitermes virginicus* Banks), western drywood termite (*Incisitermes minor* Hagen), arboreal termites such as *Nasutitermes* sp. and other termites of economic importance; insect pests of the order Thysanura such as silverfish (*Lepisma saccharina* Linnaeus) and firebrat (*Thermobia domestica* Packard); insect pests of the order Mallophaga and including the head louse (*Pediculus humanus capitis* De Geer), body louse (*Pediculus humanus* Linnaeus), chicken body louse (*Menacanthus stramineus* Nitzsch), dog biting louse (*Trichodectes canis* De Geer), fluff louse (*Goniocotes gallinae* De Geer), sheep body louse (*Bovicola ovis* Schrank), short-nosed cattle louse (*Haematopinus euryesternus* Nitzsch), long-nosed cattle louse

(*Linognathus vituli* Linnaeus) and other sucking and chewing parasitic lice that attack man and animals; insect pests of the order Siphonoptera including the oriental rat flea (*Xenopsylla cheopis* Rothschild), cat flea (*Ctenocephalides felis* Bouche), dog flea (*Ctenocephalides canis* Curtis), hen flea (*Ceratophyllus gallinae* Schrank), sticktight flea (*Echidnophaga gallinacea* Westwood), human flea (*Pulex irritans* Linnaeus) and other fleas afflicting mammals and birds. Additional arthropod pests covered include: spiders in the order Araneae such as the brown recluse spider (*Loxosceles reclusa* Gertsch & Mulaik) and the black widow spider (*Latrodectus mactans* Fabricius), and centipedes in the order Scutigleromorpha such as the house centipede (*Scutigera coleoptrata* Linnaeus).

Compounds of the present invention also have activity on members of the Phylum *Platyhelminthes*, classes *Cestoda* (Tapeworms) and *Trematoda* (Flukes); and the Phylum *Nematoda*, classes *Adenophorea* and *Secernentea*, including economically important members of the orders *Enoplida*, *Dorylaimida*, *Rhabdita*, *Strongylida*, *Ascarida*, *Oxyurida*, *Spirurida*, *Tylenchida* and *Aphelenchida*, such as but not limited to economically important agricultural pests such as root knot nematodes of the genus *Meloidogyne*, cyst nematodes of the genus *Heterodera* and *Globodera*, lesion nematodes of the genus *Pratylenchus*, reniform nematodes of the genus *Rotylenchulus*, sting nematodes of the genus *Belonolaimis*, spiral nematodes of the genus *Helicotylenchus* and *Tylenchulus*, stubby root nematodes of the genus *Trichodorus*, and lance nematodes of the genus *Hoplolaimus*; and animal and human health pests (i.e. all economically important flukes, tapeworms, and roundworms, such as *Strongylus vulgaris* in horses, *Toxocara canis* in dogs, *Haemonchus contortus* in sheep, *Dirofilaria immitis* Leidy in dogs, *Anoplocephala perfoliata* in horses, *Fasciola hepatica* Linnaeus in ruminants, etc.).

Compounds of the present invention show particularly high activity against nematode pests of the orders Tylenchida and Dorylaimida including: root gall nematodes of the genus *Meloidogyne*, such as *Meloidogyne acrona* (sorghum root-knot nematode), *Meloidogyne arenaria* (peanut root-knot nematode), *Meloidogyne brevicauda* (tea root-knot nematode), *Meloidogyne chitwoodi* (Columbia root-knot nematode), *Meloidogyne exigua* (Brazilian root-knot nematode), *Meloidogyne graminicola* (rice root-knot nematode), *Meloidogyne hapla* (Northern root-knot nematode), *Meloidogyne incognita* (Southern root-knot nematode), *Meloidogyne incognita acrita* (cotton root-knot nematode), *Meloidogyne indica* (citrus root-knot nematode), *Meloidogyne javanica* (Javanese root-knot nematode) and *Meloidogyne mali* (apple root-knot nematode); cyst nematodes of the genus *Heterodera*, such as *Heterodera amygdali* (almond cyst nematode), *Heterodera avenae* (cereal cyst nematode), *Heterodera carotae* (carrot cyst nematode), *Heterodera cruciferae* (Brassica root eelworm), *Heterodera elachista* (Japanese cyst nematode), *Heterodera fici* (fig cyst nematode), *Heterodera glycines* (soybean cyst nematode), *Heterodera goettingiana* (pea cyst nematode), *Heterodera oryzae* (rice cyst nematode), *Heterodera sacchari* (sugar cane cyst nematode),

Heterodera schachtii (sugar beet cyst nematode) and *Heterodera zae* (corn cyst nematode); cyst nematodes of the genus *Globodera*, such as *Globodera mali* (apple cyst nematode), *Globodera pallida* (potato cyst nematode), *Globodera rostochiensis* (golden nematode) and *Globodera tabacum* (tobacco cyst nematode); lesion nematodes of the genus *Pratylenchus*,
 5 such as *Pratylenchus brachyurus* (Godfrey's root-lesion nematode), *Pratylenchus coffeae* (banana meadow nematode), *Pratylenchus musicola* (banana nematode), *Pratylenchus penetrans* (Cobb's meadow nematode), *Pratylenchus pratensis* (De Man's root-lesion nematode), *Pratylenchus scribneri* (Scribner's lesion nematode), *Pratylenchus thornei* (Thorne's root-lesion nematode), *Pratylenchus vulnus* (walnut root-lesion nematode) and
 10 *Pratylenchus zae* (corn root-lesion nematode); stem nematodes of the genus *Ditylenchus*, such as *Ditylenchus angustus* (rice stem nematode), *Ditylenchus destructor* (potato tuber nematode), *Ditylenchus dipsaci* (beet stem nematode) and *Ditylenchus myceliophagus* (mushroom spawn nematode); sting or dagger nematodes of the genus *Xiphinema*, such as *Xiphinema americanum* (American dagger nematode), *Xiphinema chambersi* (Chamber's
 15 dagger nematode), *Xiphinema diversicaudatum* (European dagger nematode), *Xiphinema index* (California dagger nematode) and *Xiphinema radicum* (Pacific dagger nematode); seed and leaf nematodes of the genus *Aphelenchoides*, such as *Aphelenchoides besseyi* (rice white-tip nematode), *Aphelenchoides composticola* (mushroom nematode), *Aphelenchoides fragariae* (strawberry nematode), *Aphelenchoides oryzae* (rice nematode) and
 20 *Aphelenchoides ritzemabosi* (chrysanthemum nematode).

Compounds of the invention show particularly high activity against pests in the order Lepidoptera (e.g., *Alabama argillacea* Hübner (cotton leaf worm), *Archips argyrospila* Walker (fruit tree leaf roller), *A. rosana* Linnaeus (European leaf roller) and other *Archips* species, *Chilo suppressalis* Walker (rice stem borer), *Cnaphalocrosis medinalis* Guenee (rice
 25 leaf roller), *Crambus caliginosellus* Clemens (corn root webworm), *Crambus teterrellus* Zincken (bluegrass webworm), *Cydia pomonella* Linnaeus (codling moth), *Earias insulana* Boisduval (spiny bollworm), *Earias vittella* Fabricius (spotted bollworm), *Helicoverpa armigera* Hübner (American bollworm), *Helicoverpa zea* Boddie (corn earworm), *Heliothis virescens* Fabricius (tobacco budworm), *Herpetogramma licarsisalis* Walker (sod
 30 webworm), *Lobesia botrana* Denis & Schiffermüller (grape berry moth), *Pectinophora gossypiella* Saunders (pink bollworm), *Phyllocnistis citrella* Stainton (citrus leafminer), *Pieris brassicae* Linnaeus (large white butterfly), *Pieris rapae* Linnaeus (small white butterfly), *Plutella xylostella* Linnaeus (diamondback moth), *Spodoptera exigua* Hübner (beet armyworm), *Spodoptera litura* Fabricius (tobacco cutworm, cluster caterpillar),
 35 *Spodoptera frugiperda* J. E. Smith (fall armyworm), *Trichoplusia ni* Hübner (cabbage looper) and *Tuta absoluta* Meyrick (tomato leafminer)).

Compounds of the invention also have significant activity on members from the order Homoptera including: *Acyrtosiphon pisum* Harris (pea aphid), *Aphis craccivora* Koch

(cowpea aphid), *Aphis fabae* Scopoli (black bean aphid), *Aphis gossypii* Glover (cotton aphid, melon aphid), *Aphis pomi* De Geer (apple aphid), *Aphis spiraecola* Patch (spirea aphid), *Aulacorthum solani* Kaltenbach (foxglove aphid), *Chaetosiphon fragaefolii* Cockerell (strawberry aphid), *Diuraphis noxia* Kurdjumov/Mordvilko (Russian wheat aphid), *Dysaphis plantaginea* Paaserini (rosy apple aphid), *Eriosoma lanigerum* Hausmann (woolly apple aphid), *Hyalopterus pruni* Geoffroy (mealy plum aphid), *Lipaphis erysimi* Kaltenbach (turnip aphid), *Metopolophium dirrhodum* Walker (cereal aphid), *Macrosipum euphorbiae* Thomas (potato aphid), *Myzus persicae* Sulzer (peach-potato aphid, green peach aphid), *Nasonovia ribisnigri* Mosley (lettuce aphid), *Pemphigus* spp. (root aphids and gall aphids), *Rhopalosiphum maidis* Fitch (corn leaf aphid), *Rhopalosiphum padi* Linnaeus (bird cherry-oat aphid), *Schizaphis graminum* Rondani (greenbug), *Sitobion avenae* Fabricius (English grain aphid), *Therioaphis maculata* Buckton (spotted alfalfa aphid), *Toxoptera aurantii* Boyer de Fonscolombe (black citrus aphid), and *Toxoptera citricida* Kirkaldy (brown citrus aphid); *Adelges* spp. (adelgids); *Phylloxera devastatrix* Pergande (pecan phylloxera); *Bemisia tabaci* Gennadius (tobacco whitefly, sweetpotato whitefly), *Bemisia argentifolii* Bellows & Perring (silverleaf whitefly), *Dialeurodes citri* Ashmead (citrus whitefly) and *Trialeurodes vaporariorum* Westwood (greenhouse whitefly); *Empoasca fabae* Harris (potato leafhopper), *Laodelphax striatellus* Fallen (smaller brown planthopper), *Macrolestes quadrilineatus* Forbes (aster leafhopper), *Nephotettix cincticeps* Uhler (green leafhopper), *Nephotettix nigropictus* Stål (rice leafhopper), *Nilaparvata lugens* Stål (brown planthopper), *Peregrinus maidis* Ashmead (corn planthopper), *Sogatella furcifera* Horvath (white-backed planthopper), *Sogatodes orizicola* Muir (rice delphacid), *Typhlocyba pomaria* McAtee white apple leafhopper, *Erythroneoura* spp. (grape leafhoppers); *Magicidada septendecim* Linnaeus (periodical cicada); *Icerya purchasi* Maskell (cottony cushion scale), *Quadraspidiotus perniciosus* Comstock (San Jose scale); *Planococcus citri* Risso (citrus mealybug); *Pseudococcus* spp. (other mealybug complex); *Cacopsylla pyricola* Foerster (pear psylla), *Trioza diospyri* Ashmead (persimmon psylla).

Compounds of this invention also have activity on members from the order Hemiptera including: *Acrosternum hilare* Say (green stink bug), *Anasa tristis* De Geer (squash bug), *Blissus leucopterus leucopterus* Say (chinch bug), *Corythuca gossypii* Fabricius (cotton lace bug), *Cyrtopeltis modesta* Distant (tomato bug), *Dysdercus suturellus* Herrich-Schäffer (cotton stainer), *Euchistus servus* Say (brown stink bug), *Euchistus variolarius* Palisot de Beauvois (one-spotted stink bug), *Graptosthetus* spp. (complex of seed bugs), *Leptoglossus corculus* Say (leaf-footed pine seed bug), *Lygus lineolaris* Palisot de Beauvois (tarnished plant bug), *Nezara viridula* Linnaeus (southern green stink bug), *Oebalus pugnax* Fabricius (rice stink bug), *Oncopeltus fasciatus* Dallas (large milkweed bug), *Pseudatomoscelis seriatus* Reuter (cotton fleahopper). Other insect orders controlled by compounds of the invention include Thysanoptera (e.g., *Frankliniella occidentalis* Pergande (western flower

thrip), *Scirtothrips citri* Moulton (citrus thrip), *Sericothrips variabilis* Beach (soybean thrip), and *Thrips tabaci* Lindeman (onion thrip); and the order Coleoptera (e.g., *Leptinotarsa decemlineata* Say (Colorado potato beetle), *Epilachna varivestis* Mulsant (Mexican bean beetle) and wireworms of the genera *Agriotes*, *Athous* or *Limonius*).

5 Of note is use of compounds of this invention for controlling silverleaf whitefly (*Bemisia argentifolii*). Of note is use of compounds of this invention for controlling western flower thrip (*Frankliniella occidentalis*). Of note is use of compounds of this invention for controlling potato leafhopper (*Empoasca fabae*). Of note is use of compounds of this invention for controlling corn planthopper (*Peregrinus maidis*). Of note is use of compounds of this invention for controlling cotton melon aphid (*Aphis gossypii*). Of note is use of compounds of this invention for controlling green peach aphid (*Myzus persicae*). Of note is use of compounds of this invention for controlling diamondback moth (*Plutella xylostella*). Of note is use of compounds of this invention for controlling southern root knot nematode (*Meloidogyne incognita*). Of note is use of compounds of this invention for controlling northern root knot nematode (*Meloidogyne hapla*). Of note is use of compounds of this invention for controlling peanut root knot nematode (*Meloidogyne arenaria*). Of note is use of compounds of this invention for controlling Columbia root knot nematode (*Meloidogyne chitwoodi*). Of note is use of compounds of this invention for controlling Javanese root knot nematode (*Meloidogyne javanica*). Of note is use of compounds of this invention for controlling soybean cyst nematode (*Heterodera glycines*). Of note is use of compounds of this invention for controlling sugar beet cyst nematode (*Heterodera schachtii*). Of note is use of compounds of this invention for controlling potato cyst nematode (*Globodera pallida*). Of note is use of compounds of this invention for controlling Cobb's meadow nematode (*Pratylenchus penetrans*). Of note is use of compounds of this invention for controlling beet stem nematode (*Ditylenchus dipsaci*). Of note is use of compounds of this invention for controlling American dagger nematode (*Xiphinema americanum*). Of note is use of compounds of this invention for controlling strawberry nematode (*Aphelenchoides fragariae*). Of note is use of compounds of this invention for controlling rice nematode (*Aphelenchoides oryzae*). Of note is use of compounds of this invention for controlling reniform nematode (*Rotylenchulus reniformis*). Of note is use of compounds of this invention for controlling sting nematode (*Belonolaimus longicaudatus*).

Compounds of this invention can also be mixed with one or more other biologically active compounds or agents including insecticides, fungicides, nematocides, bactericides, acaricides, herbicides, growth regulators such as rooting stimulants, chemosterilants, semiochemicals, repellents, attractants, pheromones, feeding stimulants, other biologically active compounds or entomopathogenic bacteria, virus or fungi to form a multi-component pesticide giving an even broader spectrum of agronomic and nonagronomic utility. Thus the present invention also pertains to a composition comprising a biologically effective amount

of a compound of Formula 1, an *N*-oxide or salt thereof, and an effective amount of at least one additional biologically active compound or agent and can further comprise at least one of surfactants, solid diluents or liquid diluents.

5 The additional biologically active compounds or agents can be in a commercial formulation or in application forms prepared from the formulations in a mixture with other biologically active compounds or agents. It is also possible to mix compounds of this invention with fertilizers. For mixtures of the present invention, the other biologically active compounds or agents can be formulated together with the present compounds, including the compounds of Formula 1, to form a premix, or the other biologically active compounds or agents can be formulated separately from the present compounds, including the compounds of Formula 1, and the two formulations combined together before application (e.g., in a spray tank) or, alternatively, applied in succession.

10 In one mixture embodiment, granules of a solid composition comprising a compound of Formula 1 is mixed with granules of a solid composition comprising another biologically active ingredient. These granule mixtures can be in accordance with the general granule mixture disclosure of PCT Patent Publication WO 94/24861 or more preferably the homogenous granule mixture teaching of U.S. Patent 6,022,552.

Examples of such additional biologically active compounds or agents with which compounds of this invention can be formulated are: insecticides such as abamectin, acephate, acetamiprid, amidoflomet (S-1955), avermectin, azadirachtin, azinphos-methyl, benfuracarb, bifenthrin, bifenazate, bistrifluron, buprofezin, carbaryl, carbofuran, carbosulfan, cartap, chlorfenapyr, chlorfluazuron, chlorantraniliprole (DPX-E2Y45), chlorpyrifos, chlorpyrifos-methyl, chromafenozide, clothianidin, cyflumetofen, cyfluthrin, beta-cyfluthrin, cyhalothrin, gamma-cyhalothrin, lambda-cyhalothrin, cypermethrin, alpha-cypermethrin, zeta-cypermethrin, cyromazine, deltamethrin, diafenthiuron, diazinon, dieldrin, diflubenzuron, dimefluthrin, dimethoate, dinotefuran, diofenolan, emamectin, emamectin benzoate, endosulfan, esfenvalerate, ethiprole, fenitrothion, fenothiocarb, fenoxycarb, fenpropathrin, fenvalerate, fipronil, flonicamid, flubendiamide, flucythrinate, tau-fluvalinate, flufenimer (UR-50701), flufenoxuron, fonophos, halofenozide, hexaflumuron, hydramethylnon, imidacloprid, indoxacarb, isofenphos, lufenuron, malathion, metaflumizone, metaldehyde, methamidophos, methidathion, methiocarb, methomyl, methoprene, methoxychlor, methoxyfenozide, metofluthrin, monocrotophos, nitenpyram, nithiazine, . novaluron, noviflumuron (XDE-007), oxamyl, parathion, parathion-methyl, permethrin, phorate, phosalone, phosmet, phosphamidon, pirimicarb, profenofos, profluthrin, protrifenbute, pymetrozine, pyrafluprole, pyrethrin, pyridalyl, pyrifluquinazon, pyriprole, pyriproxyfen, rotenone, ryanodine, spinetoram, spinosad, spirotetramat, spirotetramat, sulprofos, tebufenozide, teflubenzuron, tefluthrin, terbufos, tetrachlorvinphos, thiacloprid, thiamethoxam, thiodicarb, thiosultap-sodium, tolfenpyrad, tralomethrin,

triazamate, trichlorfon and triflumuron; fungicides such as acibenzolar, aldimorph, amisulbrom, azaconazole, azoxystrobin, benalaxyl, benomyl, benthiavalicarb, benthiavalicarb-isopropyl, binomial, biphenyl, bitertanol, blasticidin-S, Bordeaux mixture (tribasic copper sulfate), boscalid/nicobifen, bromuconazole, bupirimate, buthiobate,
 5 carboxin, carpropamid, captafol, captan, carbendazim, chloroneb, chlorothalonil, chlozolate, clotrimazole, copper oxychloride, copper salts such as copper sulfate and copper hydroxide, cyflufenamid, cymoxanil, cyproconazole, cyprodinil, dichlofluanid, diclocymet, diclomezine, dicloran, difenoconazole, difenoconazole, dimethomorph, dimoxystrobin, diniconazole, diniconazole-M, dinocap, discostrobin, dithianon, dodemorph,
 10 dodine, econazole, edifenphos, epoxiconazole, etaconazole, ethaboxam, ethirimol, etridiazole, famoxadone, fenamidone, fenarimol, fenbuconazole, fencaramid, fenfuram, fenhexamide, fenoxanil, fenpiclonil, fenpropidin, fenpropimorph, fentin acetate, fentin hydroxide, ferbam, ferimzone, fluazinam, fludioxonil, flumetover, fluopicolide,, fluoxastrobin, fluquinconazole, flusilazole, flusulfamide, flutolanil, flutriafol, folpet, fosetyl-
 15 aluminum, fuberidazole, furalaxyl, furametapyr, hexaconazole, hymexazole, guazatine, imazalil, imibenconazole, iminoctadine, iodocarb, ipconazole, iprobenfos, iprodione, iprovalicarb, isoconazole, isoprothiolane, kasugamycin, kresoxim-methyl, mancozeb, mandipropamid, maneb, mefenoxam, mepronil, metalaxyl, metconazole, methasulfocarb, metiram, metominostrobin/fenominostrobin, mepanipyrim, metrafenone, miconazole,
 20 myclobutanil, neo-asozin (ferric methanearsonate), nuarimol, octhilinone, ofurace, orysastrobin, oxadixyl, oxolinic acid, oxpoconazole, oxycarboxin, paclobutrazol, penconazole, pencycuron, penthiopyrad, perfurazoate, phosphonic acid, phthalide, picobenzamid, picoxystrobin, polyoxorim, probenazole, prochloraz, procymidone, propamocarb, propamocarb-hydrochloride, propiconazole, propineb, proquinazid
 25 prothioconazole, pyraclostrobin, pryazophos, pyrifenox, pyrimethanil, pyrrolnitrin, pyroquilon, quinconazole, quinoxifen, quintozone, silthiofam, simeconazole, spiroxamine, streptomycin, sulfur, tebuconazole, tecloftalam, tecnazene, tetraconazole, thiabendazole, thifluzamide, thiophanate, thiophanate-methyl, thiram, tiadinil, tolclofos-methyl, tolyfluanid, triadimefon, triadimenol, triarimol, triazoxide, tridemorph, trimorphamide, tricyclazole,
 30 trifloxystrobin, triforine, triticonazole, uniconazole, validamycin, vinclozolin, zineb, ziram, and zoxamide; nematicides such as aldicarb, imicyafos, oxamyl and fenamiphos; bactericides such as streptomycin; acaricides such as amitraz, chinomethionat, chlorobenzilate, cyhexatin, dicofol, dienochlor, etoxazole, fenazaquin, fenbutatin oxide, fenpropathrin, fenpyroximate, hexythiazox, propargite, pyridaben and tebufenpyrad; and
 35 biological agents including entomopathogenic bacteria, such as *Bacillus thuringiensis* subsp. *aizawai*, *Bacillus thuringiensis* subsp. *kurstaki*, and the encapsulated delta-endotoxins of *Bacillus thuringiensis* (e.g., Cellcap, MPV, MPVII); entomopathogenic fungi, such as green muscardine fungus; and entomopathogenic virus including baculovirus,

nucleopolyhedrovirus (NPV) such as *Helicoverpa zea* nucleopolyhedrovirus (HzNPV), *Anagrapha falcifera* nucleopolyhedrovirus (AfNPV); and granulosis virus (GV) such as *Cydia pomonella* granulosis virus (CpGV).

Compounds of this invention and compositions thereof can be applied to plants genetically transformed to express proteins toxic to invertebrate pests (such as *Bacillus thuringiensis* delta-endotoxins). The effect of the exogenously applied invertebrate pest control compounds of this invention may be synergistic with the expressed toxin proteins.

General references for these agricultural protectants (i.e. insecticides, fungicides, nematocides, acaricides, herbicides and biological agents) include *The Pesticide Manual*, 13th Edition, C. D. S. Tomlin, Ed., British Crop Protection Council, Farnham, Surrey, U.K., 2003, *The BioPesticide Manual*, 2nd Edition, L. G. Copping, Ed., British Crop Protection Council, Farnham, Surrey, U.K., 2001, *Insect and Disease Control Guide, Vol. 1*, R. T. Meister Ed., Meister Publishing Company, Willoughby, OH, USA, 1999; *Global Insecticide Directory*, 3rd Edition, R. Bryant and M. G. Bite, Ed., Agranova, Orpington, Kent, UK., 2003; or the "Crop Protection Reference" at the following Web address: <http://www.greenbook.net>.

Of note is a composition of the present invention wherein at least one additional biologically active compound or agent is selected from the group consisting of abamectin, acephate, acetamiprid, acetoprole, aldicarb, amidoflumet, amitraz, avermectin, azadirachtin, azinphos-methyl, bifenthrin, bifenazate, bistrifluron, buprofezin, carbofuran, cartap, chinomethionat, chlorfenapyr, chlorfluazuron, chlorantraniliprole, chlorpyrifos, chlorpyrifos-methyl, chlorobenzilate, chromafenozide, clothianidin, cyflumetofen, cyfluthrin, beta-cyfluthrin, cyhalothrin, gamma-cyhalothrin, lambda-cyhalothrin, cyhexatin, cypermethrin, alpha-cypermethrin, zeta-cypermethrin, cyromazine, deltamethrin, diafenthiuron, diazinon, dicofol, dieldrin, dienochlor, diflubenzuron, dimefluthrin, dimethoate, dinotefuran, diofenolan, emamectin, emamectin benzoate, endosulfan, esfenvalerate, ethiprole, etoxazole, fenamiphos, fenazaquin, fenbutatin oxide, fenothiocarb, fenoxycarb, fenpropathrin, fenpyroximate, fenvalerate, fipronil, flonicamid, flubendiamide, flucythrinate, tau-fluvalinate, flufenimer, flufenoxuron, fonophos, halofenozide, hexaflumuron, hexythiazox, hydramethylnon, imicyafos, imidacloprid, indoxacarb, isofenphos, lufenuron, malathion, metaflumizone, metaldehyde, methamidophos, methidathion, methomyl, methoprene, methoxychlor, methoxyfenozide, metofluthrin, monocrotophos, nitenpyram, nithiazine, novaluron, noviflumuron, oxamyl, parathion, parathion-methyl, permethrin, phorate, phosalone, phosmet, phosphamidon, pirimicarb, profenofos, profluthrin, propargite, protrifenbute, pymetrozine, pyrafluprole, pyrethrin, pyridaben, pyridalyl, pyrifluquinazon, pyriprole, pyriproxyfen, rotenone, ryanodine, spinetoram, spinosad, spirotetramat, spiromesifen, spirotetramat, sulprofos, tebufenozide, tebufenpyrad, teflubenzuron, tefluthrin, terbufos, tetrachlorvinphos, thiacloprid,

thiamethoxam, thiodicarb, thiosultap-sodium, tolfenpyrad, tralomethrin, triazamate, trichlorfon, triflumuron, *Bacillus thuringiensis* subsp. *aizawai*, *Bacillus thuringiensis* subsp. *kurstaki*, nucleopolyhedrovirus, an encapsulated delta-endotoxin of *Bacillus thuringiensis*, baculovirus, entomopathogenic bacteria, entomopathogenic virus and entomopathogenic
 5 fungi.

Also of note is a composition of the present invention wherein at least one additional biologically active compound or agent is selected from the group consisting of abamectin, acetamiprid, amitraz, avermectin, azadirachtin, bifenthrin, buprofezin, cartap, chlorantraniliprole, chlorfenapyr, chlorpyrifos, clothianidin, cyfluthrin, beta-cyfluthrin,
 10 cyhalothrin, lambda-cyhalothrin, cypermethrin, cyromazine, deltamethrin, dieldrin, dinotefuran, diofenolan, emamectin, endosulfan, esfenvalerate, ethiprole, fenothiocarb, fenoxycarb, fenvalerate, fipronil, flonicamid, flubendiamide, flufenoxuron, hexaflumuron, hydramethylnon, imidacloprid, indoxacarb, lufenuron, metaflumizone, methomyl, methoprene, methoxyfenozide, nitenpyram, nithiazine, novaluron, oxamyl, pymetrozine,
 15 pyrethrin, pyridaben, pyridalyl, pyriproxyfen, ryanodine, spinetoram, spinosad, spiroticlofen, spiromesifen, tebufenozide, thiacloprid, thiamethoxam, thiodicarb, thiosultap-sodium, tralomethrin, triazamate, triflumuron, *Bacillus thuringiensis* subsp. *aizawai*, *Bacillus thuringiensis* subsp. *kurstaki*, nucleopolyhedrovirus and an encapsulated delta-endotoxin of *Bacillus thuringiensis*.

Of particular note is a composition of the present invention wherein at least one additional biologically active compound or agent is selected from the group consisting of abamectin, acephate, acetamiprid, acetoprole, amidoflumet (S-1955), avermectin, azadirachtin, azinphos-methyl, benfuracarb, bifenthrin, bifenazate, bistrifluron, buprofezin, carbaryl, carbofuran, carbosulfan, cartap, chlorfenapyr, chlorfluazuron, chlorpyrifos,
 25 chlorpyrifos-methyl, chromafenozide, clothianidin, cyfluthrin, beta-cyfluthrin, cyhalothrin, lambda-cyhalothrin, cypermethrin, alpha-cypermethrin, zeta-cypermethrin, cyromazine, deltamethrin, diafenthiuron, diazinon, dieldrin, diflubenzuron, dimethoate, dinotefuran, diofenolan, emamectin, emamectin benzoate, endosulfan, esfenvalerate, ethiprole, fenitrothion, fenothiocarb, fenoxycarb, fenpropathrin, fenvalerate, fipronil, flonicamid, flubendiamide, flucythrinate, tau-fluvalinate, flufenerim (UR-50701), flufenoxuron, gamma-cyhalothrin, halofenozide, hexaflumuron, hydramethylnon, imidacloprid, indoxacarb,
 30 isofenphos, lufenuron, malathion, metaflumizone, metaldehyde, methamidophos, methidathion, methiocarb, methomyl, methoprene, methoxychlor, methoxyfenozide, metofluthrin, monocrotophos, methoxyfenozide, nitenpyram, nithiazine, novaluron, noviflumuron (XDE-007), oxamyl, parathion, parathion-methyl, permethrin, phorate, phosalone, phosmet, phosphamidon, pirimicarb, profenofos, profluthrin, protrifenbute, pymetrozine, pyrethrin, pyridalyl, pyriproxyfen, rotenone, ryanodine, S1812 (Valent), spinosad, spiroticlofen, spiromesifen (BSN 2060), sulprofos, tebufenozide, teflubenzuron,

tefluthrin, terbufos, tetrachlorvinphos, thiacloprid, thiamethoxam, thiodicarb, thiosultap-sodium, tolfenpyrad, tralomethrin, triazamate, trichlorfon, triflumuron, aldicarb, fenamiphos, amitraz, chinomethionat, chlorobenzilate, cyhexatin, dicofol, dienochlor, etoxazole, fenazaquin, fenbutatin oxide, fenpyroximate, hexythiazox, propargite, pyridaben,
5 tebufenpyrad, *Bacillus thuringiensis aizawai*, *Bacillus thuringiensis kurstaki*, *Bacillus thuringiensis* delta endotoxin, baculovirus, entomopathogenic bacteria, entomopathogenic virus and entomopathogenic fungi.

Of further note is a composition of the present invention wherein at least one additional biologically active compound or agent is selected from the group consisting of
10 abamectin, acephate, acetamiprid, aldicarb, amitraz, avermectin, bifenthrin, carbaryl, carbofuran, chlorpyrifos, clothianidin, chromafenozide, cypermethrin, alpha-cypermethrin, lambda-cyhalothrin, deltamethrin, dimethoate, emamectin benzoate, endosulfan, esfenvalerate, ethiprole, fenvalerate, fipronil, flonicamid, flubendiamide, flufenoxuron, indoxacarb, imidacloprid, lufenuron, malathion, metaflumizone, methomyl,
15 methoxyfenozide, novaluron, oxamyl, profenofos, pymetrozine, pyridalyl, spinosad, spiroadoclofen, spiromesifen, thiamethoxam, thiodicarb, *Bacillus thuringiensis aizawai*, *Bacillus thuringiensis kurstaki*, *Bacillus thuringiensis* delta endotoxin and entomophagous fungi.

For embodiments where one or more of these various mixing partners are used, the
20 weight ratio of these various mixing partners (in total) to the compound of Formula 1 is typically between about 1:3000 and about 3000:1. Of note are weight ratios between about 1:300 and about 300:1 (for example ratios between about 1:30 and about 30:1). One skilled in the art can easily determine through simple experimentation the biologically effective amounts of active ingredients necessary for the desired spectrum of biological activity. It
25 will be evident that including these additional components may expand the spectrum of invertebrate pests controlled beyond the spectrum controlled by the compound of Formula 1 alone.

In certain instances, combinations with other arthropodicides having a similar spectrum of control but a different mode of action will be particularly advantageous for
30 resistance management. Thus, compositions of the present invention can further comprise a biologically effective amount of at least one additional invertebrate pest control compound or agent having a similar spectrum of control but a different mode of action. Contacting a plant genetically modified to express a plant protection compound (e.g., protein) or the locus of the plant with a biologically effective amount of a compound of this invention can also
35 provide a broader spectrum of plant protection and be advantageous for resistance management.

In certain instances, combinations of a compound of this invention with other biologically active (particularly invertebrate pest control) compounds or agents (i.e. active

ingredients) can result in a greater-than-additive (i.e. synergistic) effect. Reducing the quantity of active ingredients released in the environment while ensuring effective pest control is always desirable. When synergism of invertebrate pest control active ingredients occurs at application rates giving agronomically satisfactory levels of invertebrate pest control, such combinations can be advantageous for reducing crop production cost and decreasing environmental load.

Table A lists specific invertebrate pest control agents which can be combined with a compound of Formula 1, an *N*-oxide, or a salt thereof, and thus are illustrative of specific combinations that can be utilized in the compositions and methods of the present invention. The first column of Table A lists the specific invertebrate pest control agent (e.g., "Abamectin" in the first line). The second column of Table A lists the mode of action (if known) of the invertebrate pest control agent. The third column of Table A lists embodiment(s) of ranges of weight ratios of a compound of Formula 1, an *N*-oxide, or a salt thereof, relative to the invertebrate pest control agent for rates at which the invertebrate pest control agent can be applied. Thus, for example, the first line of Table A specifically discloses that the combination of a compound of Formula 1, an *N*-oxide, or a salt thereof, and abamectin can be applied in a weight ratio of the compound of Formula 1, an *N*-oxide, or salt thereof, relative to abamectin ranging from 50:1 to 1:50. The remaining lines of Table 1 are to be construed similarly. Of further note Table A lists specific combinations of a compound of Formula 1, an *N*-oxide, or a salt thereof, with other invertebrate pest control agents illustrative of the compositions and methods of the present invention and includes additional embodiments of weight ratio ranges for application rates, some of the specific mixtures possessing notable synergistic effect.

TABLE A

Invertebrate Pest Control Agent	Mode of Action or Chemical Class	Typical Weight Ratio
Abamectin	macrocyclic lactones	50:1 to 1:50
Acetamiprid	neonicotinoids	150:1 to 1:200
Amitraz	octopamine receptor ligands	200:1 to 1:100
Avermectin	macrocyclic lactones	50:1 to 1:50
Azadirachtin	ecdysone agonists	100:1 to 1:120
Beta-cyfluthrin	sodium channel modulators	150:1 to 1:200
Bifenthrin	sodium channel modulators	100:1 to 1:10
Buprofezin	chitin synthesis inhibitors	500:1 to 1:50
Cartap	nereistoxin analogs	100:1 to 1:200
Chlorantraniliprole	ryanodine receptor ligands	100:1 to 1:120
Chlorfenapyr	mitochondrial electron transport inhibitors	300:1 to 1:200

Invertebrate Pest Control Agent	Mode of Action or Chemical Class	Typical Weight Ratio
Chlorpyrifos	cholinesterase inhibitors	500:1 to 1:200
Clothianidin	neonicotinoids	100:1 to 1:400
Cyfluthrin	sodium channel modulators	150:1 to 1:200
Cyhalothrin	sodium channel modulators	150:1 to 1:200
Cypermethrin	sodium channel modulators	150:1 to 1:200
Cyromazine	chitin synthesis inhibitors	400:1 to 1:50
Deltamethrin	sodium channel modulators	50:1 to 1:400
Dieldrin	cyclodiene insecticides	200:1 to 1:100
Dinotefuran	neonicotinoids	150:1 to 1:200
Diofenolan	molting inhibitor	150:1 to 1:200
Emamectin	macrocyclic lactones	50:1 to 1:10
Endosulfan	cyclodiene insecticides	200:1 to 1:100
Esfenvalerate	sodium channel modulators	100:1 to 1:400
Ethiprole	GABA-regulated chloride channel blockers	200:1 to 1:100
Fenothiocarb		150:1 to 1:200
Fenoxycarb	juvenile hormone mimics	500:1 to 1:100
Fenvalerate	sodium channel modulators	150:1 to 1:200
Fipronil	GABA-regulated chloride channel blockers	150:1 to 1:100
Flonicamid		200:1 to 1:100
Flubendiamide	ryanodine receptor ligands	100:1 to 1:120
Flufenoxuron	chitin synthesis inhibitors	200:1 to 1:100
Hexaflumuron	chitin synthesis inhibitors	300:1 to 1:50
Hydramethylnon	mitochondrial electron transport inhibitors	150:1 to 1:250
Imidacloprid	neonicotinoids	1000:1 to 1:1000
Indoxacarb	sodium channel modulators	200:1 to 1:50
Lambda-cyhalothrin	sodium channel modulators	50:1 to 1:250
Lufenuron	chitin synthesis inhibitors	500:1 to 1:250
Metaflumizone		200:1 to 1:200
Methomyl	cholinesterase inhibitors	500:1 to 1:100
Methoprene	juvenile hormone mimics	500:1 to 1:100
Methoxyfenozide	ecdysone agonists	50:1 to 1:50
Nitenpyram	neonicotinoids	150:1 to 1:200

Invertebrate Pest Control Agent	Mode of Action or Chemical Class	Typical Weight Ratio
Nithiazine	neonicotinoids	150:1 to 1:200
Novaluron	chitin synthesis inhibitors	500:1 to 1:150
Oxamyl	cholinesterase inhibitors	200:1 to 1:200
Pymetrozine		200:1 to 1:100
Pyrethrin	sodium channel modulators	100:1 to 1:10
Pyridaben	mitochondrial electron transport inhibitors	200:1 to 1:100
Pyridalyl		200:1 to 1:100
Pyriproxyfen	juvenile hormone mimics	500:1 to 1:100
Ryanodine	ryanodine receptor ligands	100:1 to 1:120
Spinetoram	macrocyclic lactones	150:1 to 1:100
Spinosad	macrocyclic lactones	500:1 to 1:10
Spirodiclofen	lipid biosynthesis inhibitors	200:1 to 1:200
Spiromesifen	lipid biosynthesis inhibitors	200:1 to 1:200
Tebufenozide	ecdysone agonists	500:1 to 1:250
Thiacloprid	neonicotinoids	100:1 to 1:200
Thiamethoxam	neonicotinoids	1250:1 to 1:1000
Thiodicarb	cholinesterase inhibitors	500:1 to 1:400
Thiosultap-sodium		150:1 to 1:100
Tralomethrin	sodium channel modulators	150:1 to 1:200
Triazamate	cholinesterase inhibitors	250:1 to 1:100
Triflumuron	chitin synthesis inhibitors	200:1 to 1:100
<i>Bacillus thuringiensis</i>	biological agents	50:1 to 1:10
<i>Bacillus thuringiensis</i> delta-endotoxin	biological agents	50:1 to 1:10
NPV (e.g., Gemstar)	biological agents	50:1 to 1:10

One embodiment of invertebrate pest control agents (e.g., insecticides and acaricides) for mixing with compounds of this invention includes sodium channel modulators such as bifenthrin, cypermethrin, cyhalothrin, lambda-cyhalothrin, cyfluthrin, beta-cyfluthrin, deltamethrin, dimefluthrin, esfenvalerate, fenvalerate, indoxacarb, metofluthrin, profluthrin, pyrethrin and tralomethrin; cholinesterase inhibitors such as chlorpyrifos, methomyl, oxamyl, thiodicarb and triazamate; neonicotinic receptor modulators (i.e. neonicotinoids) such as acetamiprid, clothianidin, dinotefuran, imidacloprid, nitenpyram, nithiazine, thiacloprid and thiamethoxam; insecticidal macrocyclic lactones such as spinetoram, spinosad, abamectin, avermectin and emamectin; GABA (γ -aminobutyric acid)-regulated

chloride channel modulators such as endosulfan, ethiprole and fipronil; chitin synthesis inhibitors such as buprofezin, cyromazine, flufenoxuron, hexaflumuron, lufenuron, novaluron, noviflumuron and triflumuron; juvenile hormone mimics such as diofenolan, fenoxycarb, methoprene and pyriproxyfen; octopamine receptor modulators such as amitraz; 5 ecdysone receptor agonists such as azadirachtin, methoxyfenozide and tebufenozide; ryanodine receptor ligands such as ryanodine, anthranilic diamides such as chlorantraniliprole (see U.S. Patent 6,747,047, PCT Publications WO 2003/015518 and WO 2004/067528) and flubendiamide (see U.S. Patent 6,603,044); nereistoxin analogs such as cartap; mitochondrial electron transport inhibitors such as chlorfenapyr, hydramethylnon and 10 pyridaben; lipid biosynthesis inhibitors such as spirotetramat and spiromesifen; cyclodiene insecticides such as dieldrin; cyflumetofen; fenothiocarb; flonicamid; metaflumizone; pyrafluprole; pyridalyl; pyriprole; pymetrozine; spirotetramat; and thiosultap-sodium. One embodiment of biological agents for mixing with compounds of this invention includes nucleopolyhedrovirus such as HzNPV and AfNPV; *Bacillus thuringiensis* and encapsulated 15 delta-endotoxins of *Bacillus thuringiensis* such as Cellcap, MPV and MPVII; as well as naturally occurring and genetically modified viral insecticides including members of the family Baculoviridae as well as entomophagous fungi.

Of note is a composition of the present invention wherein the at least one additional biologically active compound or agent is selected from the Invertebrate Pest Control Agents 20 listed in Table A above. Of particular note is a composition of the present invention wherein the at least one additional biologically active compound or agent has different site of action from the compound of Formula 1. Also of note is a composition of the present invention wherein the at least one additional biologically active compound or agent is selected from insecticides and acaricides of the group consisting of sodium channel modulators; 25 cholinesterase inhibitors; nicotinic receptor modulators; insecticidal macrocyclic lactones; GABA-regulated chloride channel modulators; chitin synthesis inhibitors; juvenile hormone mimics; octopamine receptor modulators; ecdysone receptor agonists; ryanodine receptor ligands; nereistoxin analogs; mitochondrial electron transport inhibitors; lipid biosynthesis inhibitors; cyclodiene insecticides; a member of *Bacillus thuringiensis*; an encapsulated 30 delta-endotoxin of *Bacillus thuringiensis*; and a naturally occurring or a genetically modified viral insecticide.

Of further note is a composition of the present invention wherein the at least one additional biologically active compound or agent is selected from insecticides and acaricides of the group consisting of neuronal sodium channel modulators; acetylcholinesterase 35 inhibitors; nicotinic receptor modulators; insecticidal macrocyclic lactones; γ -aminobutyric acid (GABA)-gated chloride channel modulators; chitin synthesis inhibitors; juvenile hormone mimics; octopamine receptor modulators; ecdysone receptor agonists; ryanodine receptor ligands; lipid biosynthesis inhibitors; a member of *Bacillus thuringiensis*; a *Bacillus*

thuringiensis delta-endotoxin; and a naturally occurring or a genetically modified viral insecticide.

The weight ratios of a compound, including a compound of Formula 1, an *N*-oxide or a salt thereof, to the additional invertebrate pest control agent typically range from about 1000:1 to about 1:1000, with one embodiment being from about 500:1 to about 1:500, another embodiment being from about 250:1 to about 1:200 and another embodiment being from about 100:1 to about 1:50.

Listed below in Table B are embodiments of specific compositions comprising a compound of Formula 1, an *N*-oxide, or a salt thereof, and an additional invertebrate pest control agent as the additional biologically active compound or agent. The specific mixtures listed in Table B typically combine a compound of Formula 1 as indicated by the compound number (Comp. No.) listed in Index Tables A-E and a known invertebrate pest control agent with the weight ratios as specified in Table A.

TABLE B

<u>Mixture No.</u>	<u>Comp. No.</u>		<u>Invertebrate Pest Control Agent</u>	<u>Mixture No.</u>	<u>Comp. No.</u>		<u>Invertebrate Pest Control Agent</u>
1-1	9	and	Abamectin	2-1	10	and	Abamectin
1-2	9	and	Acephate	2-2	10	and	Acephate
1-3	9	and	Acetamiprid	2-3	10	and	Acetamiprid
1-4	9	and	Aldicarb	2-4	10	and	Aldicarb
1-5	9	and	Alpha-cypermethrin	2-5	10	and	Alpha-cypermethrin
1-6	9	and	Bifenthrin	2-6	10	and	Bifenthrin
1-7	9	and	Carbofuran	2-7	10	and	Carbofuran
1-8	9	and	Chlorantraniliprole	2-8	10	and	Chlorantraniliprole
1-9	9	and	Chlorpyrifos	2-9	10	and	Chlorpyrifos
1-10	9	and	Chromafenozide	2-10	10	and	Chromafenozide
1-11	9	and	Clothianidin	2-11	10	and	Clothianidin
1-12	9	and	Cypermethrin	2-12	10	and	Cypermethrin
1-13	9	and	Deltamethrin	2-13	10	and	Deltamethrin
1-14	9	and	Emamectin benzoate	2-14	10	and	Emamectin benzoate
1-15	9	and	Esfenvalerate	2-15	10	and	Esfenvalerate
1-16	9	and	Fipronil	2-16	10	and	Fipronil
1-17	9	and	Flubendiamide	2-17	10	and	Flubendiamide
1-18	9	and	Flufenoxuron	2-18	10	and	Flufenoxuron
1-19	9	and	Imidacloprid	2-19	10	and	Imidacloprid
1-20	9	and	Indoxacarb	2-20	10	and	Indoxacarb
1-21	9	and	Lambda-cyhalothrin	2-21	10	and	Lambda-cyhalothrin
1-22	9	and	Lufenuron	2-22	10	and	Lufenuron
1-23	9	and	Methomyl	2-23	10	and	Methomyl

<u>Mixture No.</u>	<u>Comp. No.</u>		<u>Invertebrate Pest Control Agent</u>
1-24	9	and	Methoxyfenozide
1-25	9	and	Novaluron
1-26	9	and	Oxamyl
1-27	9	and	Profenofos
1-28	9	and	Spinosad
1-29	9	and	Spirodiclofen
1-30	9	and	Spiromesifen
1-31	9	and	Thiamethoxam
1-32	9	and	<i>Bacillus thuringiensis</i>
1-33	9	and	<i>Bacillus thuringiensis</i> delta toxin
3-1	109	and	Abamectin
3-2	109	and	Acephate
3-3	109	and	Acetamiprid
3-4	109	and	Aldicarb
3-5	109	and	Alpha-cypermethrin
3-6	109	and	Bifenthrin
3-7	109	and	Carbofuran
3-8	109	and	Chlorantraniliprole
3-9	109	and	Chlorpyrifos
3-10	109	and	Chromafenozide
3-11	109	and	Clothianidin
3-12	109	and	Cypermethrin
3-13	109	and	Deltamethrin
3-14	109	and	Emamectin benzoate
3-15	109	and	Esfenvalerate
3-16	109	and	Fipronil
3-17	109	and	Flubendiamide
3-18	109	and	Flufenoxuron
3-19	109	and	Imidacloprid
3-20	109	and	Indoxacarb
3-21	109	and	Lambda-cyhalothrin
3-22	109	and	Lufenuron
3-23	109	and	Methomyl
3-24	109	and	Methoxyfenozide
3-25	109	and	Novaluron

<u>Mixture No.</u>	<u>Comp. No.</u>		<u>Invertebrate Pest Control Agent</u>
2-24	10	and	Methoxyfenozide
2-25	10	and	Novaluron
2-26	10	and	Oxamyl
2-27	10	and	Profenofos
2-28	10	and	Spinosad
2-29	10	and	Spirodiclofen
2-30	10	and	Spiromesifen
2-31	10	and	Thiamethoxam
2-32	10	and	<i>Bacillus thuringiensis</i>
2-33	10	and	<i>Bacillus thuringiensis</i> delta toxin
4-1	110	and	Abamectin
4-2	110	and	Acephate
4-3	110	and	Acetamiprid
4-4	110	and	Aldicarb
4-5	110	and	Alpha-cypermethrin
4-6	110	and	Bifenthrin
4-7	110	and	Carbofuran
4-8	110	and	Chlorantraniliprole
4-9	110	and	Chlorpyrifos
4-10	110	and	Chromafenozide
4-11	110	and	Clothianidin
4-12	110	and	Cypermethrin
4-13	110	and	Deltamethrin
4-14	110	and	Emamectin benzoate
4-15	110	and	Esfenvalerate
4-16	110	and	Fipronil
4-17	110	and	Flubendiamide
4-18	110	and	Flufenoxuron
4-19	110	and	Imidacloprid
4-20	110	and	Indoxacarb
4-21	110	and	Lambda-cyhalothrin
4-22	110	and	Lufenuron
4-23	110	and	Methomyl
4-24	110	and	Methoxyfenozide
4-25	110	and	Novaluron

<u>Mixture No.</u>	<u>Comp. No.</u>		<u>Invertebrate Pest Control Agent</u>
3-26	109	and	Oxamyl
3-27	109	and	Profenofos
3-28	109	and	Spinosad
3-29	109	and	Spirodiclofen
3-30	109	and	Spiromesifen
3-31	109	and	Thiamethoxam
3-32	109	and	<i>Bacillus thuringiensis</i>
3-33	109	and	<i>Bacillus thuringiensis</i> delta toxin
5-1	113	and	Abamectin
5-2	113	and	Acephate
5-3	113	and	Acetamiprid
5-4	113	and	Aldicarb
5-5	113	and	Alpha-cypermethrin
5-6	113	and	Bifenthrin
5-7	113	and	Carbofuran
5-8	113	and	Chlorantraniliprole
5-9	113	and	Chlorpyrifos
5-10	113	and	Chromafenozide
5-11	113	and	Clothianidin
5-12	113	and	Cypermethrin
5-13	113	and	Deltamethrin
5-14	113	and	Emamectin benzoate
5-15	113	and	Esfenvalerate
5-16	113	and	Fipronil
5-17	113	and	Flubendiamide
5-18	113	and	Flufenoxuron
5-19	113	and	Imidacloprid
5-20	113	and	Indoxacarb
5-21	113	and	Lambda-cyhalothrin
5-22	113	and	Lufenuron
5-23	113	and	Methomyl
5-24	113	and	Methoxyfenozide
5-25	113	and	Novaluron
5-26	113	and	Oxamyl
5-27	113	and	Profenofos

<u>Mixture No.</u>	<u>Comp. No.</u>		<u>Invertebrate Pest Control Agent</u>
4-26	110	and	Oxamyl
4-27	110	and	Profenofos
4-28	110	and	Spinosad
4-29	110	and	Spirodiclofen
4-30	110	and	Spiromesifen
4-31	110	and	Thiamethoxam
4-32	110	and	<i>Bacillus thuringiensis</i>
4-33	110	and	<i>Bacillus thuringiensis</i> delta toxin
6-1	114	and	Abamectin
6-2	114	and	Acephate
6-3	114	and	Acetamiprid
6-4	114	and	Aldicarb
6-5	114	and	Alpha-cypermethrin
6-6	114	and	Bifenthrin
6-7	114	and	Carbofuran
6-8	114	and	Chlorantraniliprole
6-9	114	and	Chlorpyrifos
6-10	114	and	Chromafenozide
6-11	114	and	Clothianidin
6-12	114	and	Cypermethrin
6-13	114	and	Deltamethrin
6-14	114	and	Emamectin benzoate
6-15	114	and	Esfenvalerate
6-16	114	and	Fipronil
6-17	114	and	Flubendiamide
6-18	114	and	Flufenoxuron
6-19	114	and	Imidacloprid
6-20	114	and	Indoxacarb
6-21	114	and	Lambda-cyhalothrin
6-22	114	and	Lufenuron
6-23	114	and	Methomyl
6-24	114	and	Methoxyfenozide
6-25	114	and	Novaluron
6-26	114	and	Oxamyl
6-27	114	and	Profenofos

<u>Mixture No.</u>	<u>Comp. No.</u>		<u>Invertebrate Pest Control Agent</u>
5-28	113	and	Spinosad
5-29	113	and	Spirodiclofen
5-30	113	and	Spiromesifen
5-31	113	and	Thiamethoxam
5-32	113	and	<i>Bacillus thuringiensis</i>
5-33	113	and	<i>Bacillus thuringiensis</i> delta toxin
7-1	204	and	Abamectin
7-2	204	and	Acephate
7-3	204	and	Acetamiprid
7-4	204	and	Aldicarb
7-5	204	and	Alpha-cypermethrin
7-6	204	and	Bifenthrin
7-7	204	and	Carbofuran
7-8	204	and	Chlorantraniliprole
7-9	204	and	Chlorpyrifos
7-10	204	and	Chromafenozide
7-11	204	and	Clothianidin
7-12	204	and	Cypermethrin
7-13	204	and	Deltamethrin
7-14	204	and	Emamectin benzoate
7-15	204	and	Esfenvalerate
7-16	204	and	Fipronil
7-17	204	and	Flubendiamide
7-18	204	and	Flufenoxuron
7-19	204	and	Imidacloprid
7-20	204	and	Indoxacarb
7-21	204	and	Lambda-cyhalothrin
7-22	204	and	Lufenuron
7-23	204	and	Methomyl
7-24	204	and	Methoxyfenozide
7-25	204	and	Novaluron
7-26	204	and	Oxamyl
7-27	204	and	Profenofos
7-28	204	and	Spinosad
7-29	204	and	Spirodiclofen

<u>Mixture No.</u>	<u>Comp. No.</u>		<u>Invertebrate Pest Control Agent</u>
6-28	114	and	Spinosad
6-29	114	and	Spirodiclofen
6-30	114	and	Spiromesifen
6-31	114	and	Thiamethoxam
6-32	114	and	<i>Bacillus thuringiensis</i>
6-33	114	and	<i>Bacillus thuringiensis</i> delta toxin
8-1	208	and	Abamectin
8-2	208	and	Acephate
8-3	208	and	Acetamiprid
8-4	208	and	Aldicarb
8-5	208	and	Alpha-cypermethrin
8-6	208	and	Bifenthrin
8-7	208	and	Carbofuran
8-8	208	and	Chlorantraniliprole
8-9	208	and	Chlorpyrifos
8-10	208	and	Chromafenozide
8-11	208	and	Clothianidin
8-12	208	and	Cypermethrin
8-13	208	and	Deltamethrin
8-14	208	and	Emamectin benzoate
8-15	208	and	Esfenvalerate
8-16	208	and	Fipronil
8-17	208	and	Flubendiamide
8-18	208	and	Flufenoxuron
8-19	208	and	Imidacloprid
8-20	208	and	Indoxacarb
8-21	208	and	Lambda-cyhalothrin
8-22	208	and	Lufenuron
8-23	208	and	Methomyl
8-24	208	and	Methoxyfenozide
8-25	208	and	Novaluron
8-26	208	and	Oxamyl
8-27	208	and	Profenofos
8-28	208	and	Spinosad
8-29	208	and	Spirodiclofen

<u>Mixture No.</u>	<u>Comp. No.</u>		<u>Invertebrate Pest Control Agent</u>	<u>Mixture No.</u>	<u>Comp. No.</u>		<u>Invertebrate Pest Control Agent</u>
7-30	204	and	Spiromesifen	8-30	208	and	Spiromesifen
7-31	204	and	Thiamethoxam	8-31	208	and	Thiamethoxam
7-32	204	and	<i>Bacillus thuringiensis</i>	8-32	208	and	<i>Bacillus thuringiensis</i>
7-33	204	and	<i>Bacillus thuringiensis</i> delta toxin	8-33	208	and	<i>Bacillus thuringiensis</i> delta toxin

Invertebrate pests are controlled in agronomic and nonagronomic applications by applying a composition comprising a compound of this invention, in a biologically effective amount, to the environment of the pests, including the agronomic and/or nonagronomic locus of infestation, to the area to be protected, or directly on the pests to be controlled.

5 Thus the present invention comprises a method for controlling an invertebrate pest in agronomic and/or nonagronomic applications, comprising contacting the invertebrate pest or its environment with a biologically effective amount of one or more of the compounds of the invention, or with a composition comprising at least one such compound or a composition comprising at least one such compound and a biologically effective amount of at least one additional biologically active compound or agent. Examples of suitable compositions comprising a compound of the invention and a biologically effective amount of at least one additional biologically active compound or agent include granular compositions wherein the additional active compound is present on the same granule as the compound of the invention or on granules separate from those of the compound of the invention.

10 To achieve contact with a compound or composition of the invention to protect a field crop from invertebrate pests, the compound or composition is typically applied to the seed of the crop before planting, to the foliage (e.g., leaves, stems, flowers, fruits) of crop plants, or to the soil or other growth medium before or after the crop is planted.

15 One embodiment of a method of contact is by spraying. Alternatively, a granular composition comprising a compound of the invention can be applied to the plant foliage or the soil. Compounds of this invention can also be effectively delivered through plant uptake by contacting the plant with a composition comprising a compound of this invention applied as a soil drench of a liquid formulation, a granular formulation to the soil, a nursery box treatment or a dip of transplants. Of note is a composition of the present invention in the form of a soil drench liquid formulation. Also of note is a method for controlling an invertebrate pest comprising contacting the invertebrate pest or its environment with a biologically effective amount of a compound of the present invention or with a composition comprising a biologically effective amount of a compound of the present invention. Of further note is this method wherein the environment is soil and the composition is applied to the soil as a soil drench formulation. Of further note is that compounds of this invention are also effective by localized application to the locus of infestation. Other methods of contact

include application of a compound or a composition of the invention by direct and residual sprays, aerial sprays, gels, seed coatings, microencapsulations, systemic uptake, baits, ear tags, boluses, foggers, fumigants, aerosols, dusts and many others. One embodiment of a method of contact is a dimensionally stable fertilizer granule, stick or tablet comprising a compound or composition of the invention. The compounds of this invention can also be

Compounds of this invention are also useful in seed treatments for protecting seeds from invertebrate pests. In the context of the present disclosure and claims, treating a seed means contacting the seed with a biologically effective amount of a compound of this invention, which is typically formulated as a composition of the invention. This seed treatment protects the seed from invertebrate soil pests and generally can also protect roots and other plant parts in contact with the soil of the seedling developing from the germinating seed. The seed treatment may also provide protection of foliage by translocation of the compound of this invention or a second active ingredient within the developing plant. Seed treatments can be applied to all types of seeds, including those from which plants genetically transformed to express specialized traits will germinate. Representative examples include those expressing proteins toxic to invertebrate pests, such as *Bacillus thuringiensis* toxin or those expressing herbicide resistance such as glyphosate acetyltransferase, which provides resistance to glyphosate.

One method of seed treatment is by spraying or dusting the seed with a compound of the invention (i.e. as a formulated composition) before sowing the seeds. Compositions formulated for seed treatment generally comprise a film former or adhesive agent. Therefore typically a seed coating composition of the present invention comprises a biologically effective amount of a compound of Formula 1, an *N*-oxide or salt thereof, and a film former or adhesive agent. Seed can be coated by spraying a flowable suspension concentrate directly into a tumbling bed of seeds and then drying the seeds. Alternatively, other formulation types such as wetted powders, solutions, suspoemulsions, emulsifiable concentrates and emulsions in water can be sprayed on the seed. This process is particularly useful for applying film coatings on seeds. Various coating machines and processes are available to one skilled in the art. Suitable processes include those listed in P. Kusters et al., *Seed Treatment: Progress and Prospects*, 1994 BCPC Mongraph No. 57, and references listed therein.

The treated seed typically comprises a compound of the present invention in an amount from about 0.1 g to 1 kg per 100 kg of seed (i.e. from about 0.0001 to 1% by weight of the seed before treatment). A flowable suspension formulated for seed treatment typically comprises from about 0.5 to about 70% of the active ingredient, from about 0.5 to about 30% of a film-forming adhesive, from about 0.5 to about 20% of a dispersing agent, from 0 to about 5% of a thickener, from 0 to about 5% of a pigment and/or dye, from 0 to about 2% of

an antifoaming agent, from 0 to about 1% of a preservative, and from 0 to about 75% of a volatile liquid diluent.

The compounds of this invention can be incorporated into a bait composition that is consumed by an invertebrate pest or used within a device such as a trap, bait station, and the like. Such a bait composition can be in the form of granules which comprise (a) active ingredients, namely a biologically effective amount of a compound of Formula 1, an *N*-oxide, or salt thereof; (b) one or more food materials; optionally (c) an attractant, and optionally (d) one or more humectants. Of note are granules or bait compositions which comprise between about 0.001-5% active ingredients, about 40-99% food material and/or attractant; and optionally about 0.05-10% humectants, which are effective in controlling soil invertebrate pests at very low application rates, particularly at doses of active ingredient that are lethal by ingestion rather than by direct contact. Some food materials can function both as a food source and an attractant. Food materials include carbohydrates, proteins and lipids. Examples of food materials are vegetable flour, sugar, starches, animal fat, vegetable oil, yeast extracts and milk solids. Examples of attractants are odorants and flavorants, such as fruit or plant extracts, perfume, or other animal or plant component, pheromones or other agents known to attract a target invertebrate pest. Examples of humectants, i.e. moisture retaining agents, are glycols and other polyols, glycerine and sorbitol. Of note is a bait composition (and a method utilizing such a bait composition) used to control at least one invertebrate pest selected from the group consisting of ants, termites and cockroaches. A device for controlling an invertebrate pest can comprise the present bait composition and a housing adapted to receive the bait composition, wherein the housing has at least one opening sized to permit the invertebrate pest to pass through the opening so the invertebrate pest can gain access to the bait composition from a location outside the housing, and wherein the housing is further adapted to be placed in or near a locus of potential or known activity for the invertebrate pest.

The compounds of this invention can be applied without other adjuvants, but most often application will be of a formulation comprising one or more active ingredients with suitable carriers, diluents, and surfactants and possibly in combination with a food depending on the contemplated end use. One method of application involves spraying a water dispersion or refined oil solution of a compound of the present invention. Combinations with spray oils, spray oil concentrations, spreader stickers, adjuvants, other solvents, and synergists such as piperonyl butoxide often enhance compound efficacy. For nonagronomic uses such sprays can be applied from spray containers such as a can, a bottle or other container, either by means of a pump or by releasing it from a pressurized container, e.g., a pressurized aerosol spray can. Such spray compositions can take various forms, for example, sprays, mists, foams, fumes or fog. Such spray compositions thus can further comprise propellants, foaming agents, etc. Of note is a spray composition comprising a

biologically effective amount of a compound or a composition of the present invention and a carrier. One embodiment of such a spray composition comprises a biologically effective amount of a compound or a composition of the present invention and a propellant. Representative propellants include, but are not limited to, methane, ethane, propane, butane, isobutane, butene, pentane, isopentane, neopentane, pentene, hydrofluorocarbons, chlorofluorocarbons, dimethyl ether, and mixtures of the foregoing. Of note is a spray composition (and a method utilizing such a spray composition dispensed from a spray container) used to control at least one invertebrate pest selected from the group consisting of mosquitoes, black flies, stable flies, deer flies, horse flies, wasps, yellow jackets, hornets, ticks, spiders, ants, gnats, and the like, including individually or in combinations.

The rate of application required for effective control (i.e. "biologically effective amount") will depend on such factors as the species of invertebrate to be controlled, the pest's life cycle, life stage, its size, location, time of year, host crop or animal, feeding behavior, mating behavior, ambient moisture, temperature, and the like. Under normal circumstances, application rates of about 0.01 to 2 kg of active ingredients per hectare are sufficient to control pests in agronomic ecosystems, but as little as 0.0001 kg/hectare may be sufficient or as much as 8 kg/hectare may be required. For nonagronomic applications, effective use rates will range from about 1.0 to 50 mg/square meter but as little as 0.1 mg/square meter may be sufficient or as much as 150 mg/square meter may be required. One skilled in the art can easily determine the biologically effective amount necessary for the desired level of invertebrate pest control.

The following abbreviations are used in the Index Tables which follow: *i* means iso, Me means methyl, Et means ethyl, Pr means propyl, Ph means phenyl, *i*-Pr means isopropyl, OMe means methoxy, -CN means cyano, CF₃ means trifluoromethyl, and OCF₃ means trifluoromethoxy. The abbreviation "Ex." stands for "Example" and is followed by a number indicating in which example the compound was prepared.

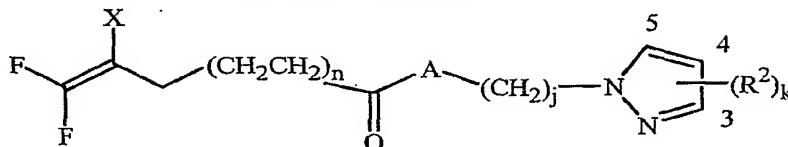
INDEX TABLE A

$ \begin{array}{c} \text{X} \\ \\ \text{F} \quad \text{C} = \text{C} \quad \text{CH}_2 \quad (\text{CH}_2\text{CH}_2)_n \quad \text{C}(=\text{O}) \quad \text{A} \quad \text{CH}_2 \quad \text{CH}_2 \quad \text{O} \quad \left[\text{CH}_2 \quad \text{CH}_2 \quad \text{O} \right]_m \quad \text{R}^3 \end{array} $						
<u>Compound No.</u>	<u>X</u>	<u>n</u>	<u>A</u>	<u>m</u>	<u>R³</u>	<u>NMR</u>
1	H	1	O	1	4-Cl-Ph	*
2	H	1	O	1	4-CN-Ph	*
3	H	1	O	1	4-Me-Ph	*
4	H	0	O	1	4-Cl-Ph	*
5	H	0	O	1	4-CN-Ph	*
6	H	0	O	1	4-Me-Ph	*

Compound No.	X	n	A	m	R ³	NMR
7	H	0	O	1	4-OCF ₃ -Ph	*
8	H	1	O	1	4-OCF ₃ -Ph	*
9 (Ex. 6)	H	0	O	1	4-CF ₃ -Ph	*
10 (Ex. 7)	H	1	O	1	4-CF ₃ -Ph	*
11	H	0	O	1	4-CF ₃ -PhCH ₂ -	*
12	H	1	O	1	4-CF ₃ -PhCH ₂ -	*
13	F	0	O	2	Me	*
14	F	0	O	1	4-CN-Ph	*
15	F	0	O	1	4-Cl-Ph	*
16	F	0	O	1	4-Me-Ph	*
17	F	0	O	1	4-OCF ₃ -Ph	*
18	F	0	O	1	4-CF ₃ -Ph	*
19	F	0	O	1	Me	*

*See Index Table F for ¹H NMR data.

INDEX TABLE B

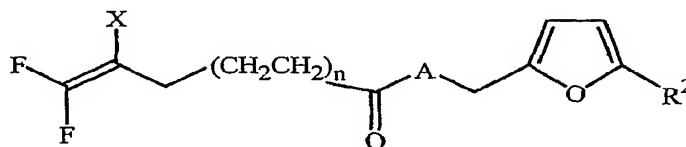


Compound No.	X	n	A	i	(R ²) _k	NMR
101	H	0	O	2	3-(4-Me-Ph)	*
102	H	1	O	2	3-(4-Me-Ph)	*
103	H	0	O	2	3-Ph	*
104	H	1	O	2	3-Ph	*
105	H	0	O	2	3-(4-Cl-Ph)	*
106	H	1	O	2	3-(4-Cl-Ph)	*
107	H	0	O	2	3-(4-OMe-Ph)	*
108	H	1	O	2	3-(4-OMe-Ph)	*
109 (Ex. 1)	H	0	O	2	3-(4-F-Ph)	*
111	H	0	O	2	3-(4-CN-Ph)	*
112	H	1	O	2	3-(4-CN-Ph)	*
110 (Ex. 2)	H	1	O	2	3-(4-F-Ph)	*
113	F	0	O	2	3-(4-OMe-Ph)	*
114 (Ex. 3)	F	0	O	2	3-(4-F-Ph)	*
115	F	0	NH	2	3-(4-F-Ph)	*
116	F	0	NH	2	5-(4-F-Ph)	*
117	F	0	Q	2	3-Ph	*

Compound No.	X	n	A	i	(R ²) _k	NMR
118	F	0	O	2	3-(4-Cl-Ph)	*
119	F	0	O	2	3-(4-Me-Ph)	*
120	F	0	O	1	3-(4-F-Ph)	*
121	F	0	O	1	3-Me, 5-Me	*
122	F	0	O	2	3-Me, 5-Me	*
123	F	0	O	2	4-I, 3-Me, 5-Me	*
124	F	0	O	1	3-(4-Cl-Ph)	*
125	F	0	NH	2	5-(4-Me-Ph)	*
126	F	0	NH	2	3-(4-Me-Ph)	*
127	F	0	NH	2	3-CF ₃	*
128	H	1	NH	2	3-(4-F-Ph)	*
129	H	0	NH	2	3-(4-F-Ph)	*
130	H	0	NH	2	5-(4-F-Ph)	*
131	H	0	NH	2	3-(4-Me-Ph)	*
132	H	0	NH	2	5-(4-Me-Ph)	*

*See Index Table F for ¹H NMR data.

INDEX TABLE C

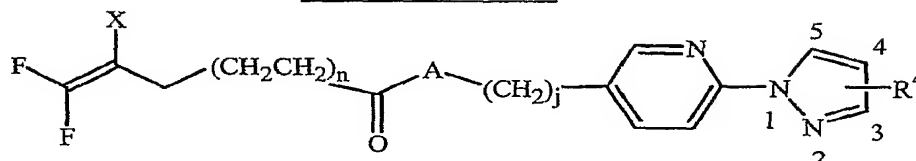


Compound No.	X	n	A	R ²	NMR
201	H	0	O	(4-CF ₃ -Ph)OCH ₂ -	*
202	H	1	O	(4-CF ₃ -Ph)OCH ₂ -	*
203	H	0	O	(4-Me-Ph)OCH ₂ -	*
204 (Ex. 4)	H	0	O	(4-OMe-Ph)OCH ₂ -	*
205	H	0	O	(4-CN-Ph)OCH ₂ -	*
206	H	1	O	(4-Cl-Ph)OCH ₂ -	
207	H	1	O	(4-Me-Ph)OCH ₂ -	*
208 (Ex. 5)	H	1	O	(4-OMe-Ph)OCH ₂ -	*
209	H	1	O	(4-CN-Ph)OCH ₂ -	*
210	H	0	O	(4-Cl-Ph)OCH ₂ -	*
211	H	0	O	(4-OCF ₃ -Ph)OCH ₂ -	*
212	H	1	O	(4-OCF ₃ -Ph)OCH ₂ -	*
213	H	0	O	(4- <i>i</i> -Pr-Ph)OCH ₂ -	*
214	H	1	O	(4- <i>i</i> -Pr-Ph)OCH ₂ -	*

<u>Compound No.</u>	<u>X</u>	<u>n</u>	<u>A</u>	<u>R²</u>	<u>NMR</u>
215	H	0	O	PhOCH ₂ -	*
216	H	1	O	PhOCH ₂ -	*
217	F	0	O	(4- <i>i</i> -Pr-Ph)OCH ₂ -	*
218	F	0	O	(4-Me-Ph)OCH ₂ -	*
219	F	0	O	(4-OMe-Ph)OCH ₂ -	*
220	F	0	O	CH ₃ OCH ₂ -	*
221	F	0	NH	EtOC(=O)-	*

*See Index Table F for ¹H NMR data.

INDEX TABLE D

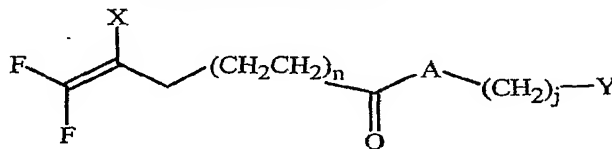


<u>Compound No.</u>	<u>X</u>	<u>n</u>	<u>A</u>	<u>i</u>	<u>R⁴</u>	<u>NMR</u>
301	H	0	O	1	-	*
302	H	1	O	1	-	*
303	H	0	O	1	3-CF ₃	*
304	H	1	O	1	3-CF ₃	*
305	F	0	O	1	3-CF ₃	*

A hyphen (-) means no R⁴ substituent.

*See Index Table F for ¹H NMR data.

INDEX TABLE E



<u>Compound No.</u>	<u>X</u>	<u>n</u>	<u>A</u>	<u>i</u>	<u>Y</u>	<u>NMR</u>
401	F	0	NH	1	3-pyridinyl	*
402	F	0	NH	1	2-pyridinyl	*
403	F	0	NH	1	4-pyridinyl	*

INDEX TABLE FComp. ¹H NMR Data (CDCl₃ solution unless indicated otherwise)^a

No.

1	δ 1.71 (m, 2H), 2.00 (m, 2H), 2.34 (t, 2H), 3.76 (m, 2H), 3.84 (m, 2H), 4.08 (m, 2H), 4.15 (m, 1H), 6.84 (m, 2H), 7.24 (m, 2H).
2	δ 1.71 (m, 2H), 2.04 (m, 2H), 2.34 (t, 2H), 3.76 (m, 2H), 3.86 (m, 2H), 4.08 (m, 1H), 4.17 (m, 2H), 4.26 (m, 2H), 6.98 (dd, 2H), 7.59 (dd, 2H).
3	δ 1.71 (m, 2H), 2.03 (m, 2H), 2.29 (s, 3H), 2.35 (t, 2H), 3.77 (m, 2H), 3.84 (m, 2H), 4.10 (m, 2H), 4.15 (m, 1H), 4.27 (m, 2H), 6.82 (dd, 2H), 7.09 (dd, 2H).
4	δ 3.05 (m, 2H), 3.77 (m, 2H), 3.85 (m, 2H), 4.10 (m, 2H), 4.29 (m, 2H), 4.42 (m, 1H), 6.84 (m, 2H), 7.25 (m, 2H).
5	δ 3.05 (m, 2H), 3.77 (m, 2H), 3.87 (m, 2H), 4.17 (dd, 2H), 4.29 (dd, 2H), 4.37-4.46 (m, 1H), 6.96 (dd, 2H), 7.59 (dd, 2H).
6	δ 2.28 (s, 3H), 3.05 (m, 2H), 3.78 (m, 2H), 3.85 (m, 2H), 4.10 (m, 2H), 4.28 (m, 2H), 4.37-4.46 (m, 1H), 6.93 (m, 2H), 7.07 (m, 2H).
7	δ 3.07 (m, 2H), 3.78 (m, 2H), 3.85 (m, 2H), 4.12 (m, 2H), 4.28 (m, 2H), 4.35-4.48 (m, 1H), 6.89 (m, 2H), 7.13 (m, 2H).
8	δ 1.73 (m, 2H), 2.01 (m, 2H), 2.37 (m, 2H), 3.77 (m, 2H), 3.85 (m, 2H), 4.12 (m, 3H), 4.26 (m, 2H), 6.89 (m, 2H), 7.12 (m, 2H).
9	δ 3.04 (m, 2H), 3.78 (m, 2H), 3.87 (m, 2H), 4.17 (m, 2H), 4.30 (m, 2H), 4.35-4.48 (m, 1H), 6.96 (d, 2H), 7.56 (d, 2H).
10	δ 1.71 (m, 2H), 2.00 (m, 2H), 2.34 (m, 2H), 3.77 (m, 2H), 3.87 (m, 2H), 4.07 (m, 1H), 4.17 (m, 2H), 4.27 (m, 2H), 6.99 (d, 2H), 7.53 (d, 2H).
11	δ 3.06 (m, 2H), 3.69 (m, 6H), 4.28 (m, 2H), 4.36-4.49 (m, 1H), 4.62 (s, 2H), 7.45 (d, 2H), 7.59 (d, 2H).
12	δ 1.71 (m, 2H), 2.03 (m, 2H), 2.35 (m, 2H), 3.68 (m, 6H), 4.06-4.16 (m, 1H), 4.26 (m, 2H), 4.63 (s, 2H), 7.45 (d, 2H), 7.59 (d, 2H).
13	δ 3.30-3.36 (m, 1H), 3.39 (s, 3H), 3.40-3.44 (m, 1H), 3.50-3.58 (m, 2H), 3.60-3.69 (m, 6H), 3.70 - 3.76 (m, 2H), 4.27-4.37 (m, 2H).
14	δ 3.28-3.40 (m, 2H), 3.75-3.82 (m, 2H), 3.85-3.90 (m, 2H), 4.15-4.20 (m, 2H), 4.30-4.39 (m, 2H), 6.84-7.03 (m, 2H), 7.43-0.67 (m, 2H).
15	δ 3.26-3.41 (m, 2H), 3.73-3.81 (m, 2H), 3.81-3.89 (m, 2H), 4.05-4.12 (m, 2H), 4.29-4.40 (m, 2H), 6.81-6.87 (m, 2H), 7.19-7.25 (m, 2H).
16	δ 2.28 (s, 3H), 3.16-3.48 (m, 2H), 3.67-3.94 (m, 4H), 3.95-4.19 (m, 2H), 4.34 (dd, 2H), 6.51-6.89 (m, 2H), 7.07 (d, 2H).
17	δ 3.06 - 3.47 (m, 2H), 3.70-3.83 (m, 2H), 3.81-3.95 (m, 2H), 3.95-4.23 (m, 2H), 4.24-4.43 (m, 2H), 6.80-6.98 (m, 2H), 7.14 (d, 2H).

Comp. ¹H NMR Data (CDCl₃ solution unless indicated otherwise)^a

No.

18	δ 3.12-3.51 (m, 2H), 3.71-3.81 (m, 2H), 3.80-3.93 (m, 2H), 4.01-4.23 (m, 2H), 4.23-4.50 (m, 2H), 6.98 (d, 2H), 7.54 (d, 2H).
19	δ 3.31-3.41 (m, 2H), 3.39 (s, 3H), 3.52-3.58 (m, 2H), 3.61-3.67 (m, 2H), 3.69-3.75 (m, 2H), 4.28-4.35 (m, 2H).
101	δ 2.34 (s, 3H), 3.02 (m, 2H), 4.35-4.49 (m, 1H), 4.42 (m, 2H), 4.51 (m, 2H), 6.52 (d, 1H), 7.21 (dd, 2H), 4.51 (m, 2H), 6.52 (d, 1H), 7.21 (dd, 2H), 7.41 (d, 1H), 7.68 (d, 2H).
102	δ 1.67 (m, 2H), 2.04 (m, 2H), 2.31 (t, 2H), 2.37 (s, 3H), 4.00-4.15 (m, 1H), 4.40 (m, 2H), 4.49 (m, 2H), 6.52 (d, 1H), 7.18 (d, 2H), 7.41 (d, 1H), 7.66 (d, 2H).
103	δ 3.04 (m, 2H), 4.35-4.49 (m, 1H), 4.42 (m, 2H), 4.53 (m, 2H), 6.56 (d, 1H), 7.30-7.43 (m, 4H), 7.78 (m, 2H).
104	δ 1.70 (m, 2H), 2.02 (m, 2H), 2.34 (m, 2H), 4.00-4.19 (m, 1H), 4.13 (m, 2H), 4.50 (m, 2H), 6.55 (d, 1H), 7.25-7.43 (m, 4H), 7.79 (m, 2H).
105	δ 3.03 (m, 2H), 4.35-4.49 (m, 1H), 4.40 (m, 2H), 4.52 (m, 2H), 6.52 (d, 1H), 7.36 (dd, 2H), 7.42 (d, 1H), 7.26 (dd, 2H).
106	δ 1.69 (m, 2H), 2.00 (m, 2H), 2.31 (m, 2H), 4.00-4.19 (m, 1H), 4.40 (m, 2H), 4.49 (m, 2H), 6.52 (d, 1H), 7.35 (dd, 2H), 7.42 (d, 1H), 7.72 (dd, 2H).
107	δ 3.03 (m, 2H), 3.83 (s, 3H), 4.35-4.49 (m, 1H), 4.39 (m, 2H), 4.50 (m, 2H), 6.48 (d, 1H), 6.94 (dd, 2H), 7.39 (d, 1H), 7.70 (dd, 2H).
108	δ 1.56-1.75 (m, 2H), 1.89-2.10 (m, 2H), 2.31 (t, 2H), 3.83 (s, 3H), 3.97-4.17 (m, 1H), 4.32-4.43 (m, 2H), 4.43-4.54 (m, 2H), 6.48 (d, 1H), 6.83-6.98 (m, 2H), 7.40 (d, 1H), 7.62-7.79 (m, 2H).
109	δ 2.92-3.09 (m, 2H), 4.29-4.37 (m, 1H), 4.37-4.46 (m, 2H), 4.52 (m, 2H), 6.50 (d, 1H), 7.00-7.14 (m, 2H), 7.42 (d, 1H), 7.67-7.85 (m, 2H).
110	δ 1.49-1.76 (m, 2H), 1.87-2.04 (m, 2H), 2.31 (t, 2H), 3.94-4.18 (m, 1H), 4.39 (t, 2H), 4.49 (t, 2H), 6.50 (d, 1H), 6.98-7.15 (m, 2H), 7.42 (d, 1H), 7.67-7.80 (m, 2H).
111	δ 2.89-3.14 (m, 2H), 4.26-4.39 (m, 1H), 4.40-4.46 (m, 2H), 4.48-4.59 (m, 2H), 6.55-6.73 (m, 1H), 7.40-7.53 (m, 1H), 7.62-7.76 (m, 2H), 7.84-7.95 (m, 2H).
112	δ 1.59-1.76 (m, 2H), 1.88-2.04 (m, 2H), 2.31 (t, 2H), 3.86-4.20 (m, 1H), 4.33-4.46 (m, 2H), 4.44-4.59 (m, 2H), 6.62 (d, 1H), 7.47 (d, 1H), 7.57-7.78 (m, 2H), 7.76-8.01 (m, 2H).
113	δ 3.19-3.37 (m, 2H), 3.84 (s, 3H), 4.43 (t, 2H), 4.56 (t, 2H), 6.48 (d, 1H), 6.79-7.06 (m, 2H), 7.39 (d, 1H), 7.58-7.85 (m, 2H).
114	δ 3.09-3.44 (m, 2H), 4.42 (t, 2H), 4.57 (t, 2H), 6.50 (d, 1H), 6.91-7.13 (m, 2H), 7.41 (d, 1H), 7.57-7.90 (m, 2H).
115	δ 3.01-3.41 (m, 2H), 3.70-3.85 (m, 2H), 4.20-4.38 (m, 2H), 6.51 (d, 1H), 6.67 (s, 1H), 6.99-7.18 (m, 2H), 7.39 (d, 1H), 7.59-7.86 (m, 2H).
116	δ 2.95-3.35 (m, 2H), 3.69 (q, 2H), 4.17-4.32 (m, 2H), 6.30 (d, 1H), 6.88 (s, 1H), 7.02-7.22 (m, 2H), 7.28-7.40 (m, 2H), 7.55 (d, 1H).

Comp. ¹H NMR Data (CDCl₃ solution unless indicated otherwise)^a

No.

117	δ 3.32 (m, 2H), 4.43 (m, 2H), 4.58 (m, 2H), 6.56 (m, 1H), 7.30 (m, 1H), 7.38-7.42 (m, 3H), 7.79 (m, 2H).
118	δ 3.31 (m, 2H), 4.42 (m, 2H), 4.57 (m, 2H), 6.53 (m, 2H), 7.36 (m, 1H), 7.42 (m, 1H), 7.72 (m, 2H).
119	δ 2.37 (s, 3H), 3.31 (m, 2H), 4.42 (m, 2H), 4.57 (m, 2H), 6.53 (m, 1H), 7.20 (m, 2H), 7.40 (m, 1H), 7.68 (m, 2H).
120	δ 3.29-3.47 (m, 2H) 6.10 (s, 2H) 6.58 (d, 1H) 7.10 (t, 2H) 7.68 (d, 1H) 7.79 (dd, 2H).
121	δ 2.23 (s, 3H), 2.31 (s, 3H), 3.31 - 3.44 (m, 2H), 5.88 (s, 1H), 5.98 (s, 2H).
122	δ 2.20 (s, 3H), 2.23 (s, 3H), 3.23 - 3.37 (m, 2H), 4.23 (t, 2H), 4.48 (t, 2H), 5.79 (s, 1H).
123	δ 2.21 (s, 3H), 2.28 (s, 3H), 3.24-3.36 (m, 2H), 4.31 (t, 2H), 4.46 (t, 2H).
124	δ 3.31-3.46 (m, 2H), 6.11 (s, 2H), 6.60 (d, 1H), 7.32-7.44 (m, 2H), 7.69 (d, 1H), 7.72-7.81 (m, 2H).
125	δ 2.37-2.46 (m, 3H), 3.08-3.22 (m, 2H), 3.67 (q, 2H), 4.24 (dd, 2H), 6.29 (d, 1H), 7.16-7.34 (m, 4H), 7.54 (d, 1H).
126	δ 2.38 (s, 3H), 3.14-3.29 (m, 2H), 3.71-3.83 (m, 2H), 4.21-4.34 (m, 2H), 6.53 (d, 1H), 6.72 (s, 1H), 7.21 (d, 2H), 7.38 (d, 1H), 7.67 (d, 2H).
127	δ 3.13-3.29 (m, 2H), 3.75 (q, 2H), 4.28-4.40 (m, 2H), 6.54 (d, 2H), 7.45 (d, 1H).
128	(Acetone- <i>d</i> ₆) δ 1.99 (q, 2H), 2.18 (t, 2H), 3.65 (q, 2H), 4.23-4.42 (m, 3H), 6.62 (d, 1H), 7.14 (t, 2H), 7.28 (d, 1H), 7.63 (d, 1H), 7.76-7.95 (m, 2H).
129	(Acetone- <i>d</i> ₆) δ 2.86-2.98 (m, 2H), 3.65 (q, 2H), 4.19-4.34 (m, 2H), 4.44-4.68 (m, 1H), 6.62 (d, 1H), 7.04-7.23 (m, 2H), 7.38 (s, 1H), 7.65 (d, 1H), 7.77-7.96 (m, 2H).
130	(Acetone- <i>d</i> ₆) δ 2.72-2.86 (m, 2H), 3.57 (q, 2H), 4.22 (t, 2H), 4.39-4.56 (m, 1H), 6.30 (d, 1H), 7.19-7.36 (m, 3H), 7.42-7.59 (m, 3H).
131	δ 2.37 (s, 3H), 2.85-2.99 (m, 2H), 3.72 (q, 2H), 4.25 (dd, 2H), 4.31-4.52 (m, 1H), 6.52 (d, 1H), 6.62 (s, 1H), 7.21 (d, 2H), 7.37 (d, 1H), 7.67 (d, 2H).
132	δ 2.40 (s, 3H), 2.85 (d, 2H), 3.64 (d, 2H), 4.17-4.30 (m, 2H), 4.37 (d, 1H), 6.28 (s, 1H), 6.70 (s, 1H), 7.25 (s, 4H).
201	δ 3.08 (m, 2H), 4.35-4.49 (m, 1H), 5.04 (s, 2H), 5.09 (s, 2H), 6.43 (m, 1H), 7.03 (d, 2H), 7.55 (d, 2H).
202	δ 1.72 (m, 2H), 2.00 (m, 2H), 2.35 (m, 2H), 4.06-4.15 (m, 1H), 5.03 (s, 2H), 5.07 (s, 2H), 6.41 (dd, 2H), 7.05 (d, 2H), 7.55 (d, 2H).
203	δ 2.29 (s, 3H), 3.07 (m, 2H), 3.37-4.47 (m, 1H), 4.95 (s, 2H), 5.08 (s, 2H), 6.39 (d, 1H), 6.86 (dd, 2H), 6.90 (m, 2H).
204	δ 3.06 (m, 2H), 3.77 (s, 3H), 4.38-4.47 (m, 1H), 4.93 (s, 2H), 5.09 (s, 2H), 6.39 (dd, 2H), 6.85 (m, 2H), 6.90 (m, 2H).

Comp. ¹H NMR Data (CDCl₃ solution unless indicated otherwise)^a

No.

205	δ 3.08 (m, 2H), 4.37-4.46 (m, 1H), 5.04 (s, 2H), 5.09 (s, 2H), 6.44 (dd, 2H), 7.04 (dd, 2H), 7.60 (dd, 2H).
207	δ 1.72 (m, 2H), 2.03 (m, 2H), 2.29 (s, 3H), 2.35 (t, 2H), 4.06-4.16 (m, 1H), 4.95 (s, 2H), 5.06 (s, 2H), 6.38 (s, 2H), 6.85 (d, 2H), 7.10 (d, 2H).
208	δ 1.72 (m, 2H), 2.00 (m, 2H), 2.35 (t, 2H), 3.77 (s, 3H), 4.00-4.16 (m, 1H), 4.93 (s, 2H), 5.06 (s, 2H), 6.37 (d, 2H), 6.85 (m, 2H), 6.90 (m, 2H).
209	δ 1.73 (m, 2H), 2.03 (m, 2H), 2.38 (t, 2H), 4.00-4.16 (m, 1H), 5.03 (s, 2H), 5.06 (s, 2H), 6.43 (dd, 2H), 7.04 (dd, 2H), 7.61 (dd, 2H).
210	δ 3.07 (m, 2H), 4.38-4.47 (m, 1H), 4.96 (s, 2H), 5.09 (s, 2H), 6.41 (dd, 2H), 6.89 (dd, 2H), 7.24 (dd, 2H).
211	δ 3.07 (m, 2H), 4.35-4.49 (m, 1H), 4.98 (s, 2H), 5.09 (s, 2H), 6.42 (s, 2H), 6.97 (dd, 2H), 7.14 (dd, 2H).
212	δ 1.74 (m, 2H), 2.03 (m, 2H), 2.35 (t, 2H), 4.06-4.16 (m, 1H), 4.97 (s, 2H), 5.06 (s, 2H), 6.39 (d, 2H), 6.95 (dd, 2H), 7.14 (dd, 2H).
213	δ 1.21 (d, 6H), 2.87 (m, 1H), 3.07 (m, 2H), 4.38-4.47 (m, 1H), 4.96 (s, 2H), 5.09 (s, 2H), 6.39 (dd, 2H), 6.90 (dd, 2H), 7.14 (dd, 2H).
214	δ 1.21 (d, 6H), 1.72 (m, 2H), 2.00 (m, 2H), 2.35 (t, 2H), 2.86 (m, 1H), 4.06-4.16 (m, 1H), 4.96 (s, 2H), 5.06 (s, 2H), 6.38 (s, 2H), 6.91 (dd, 2H), 7.14 (dd, 2H).
215	δ 3.07 (m, 2H), 4.36-4.49 (m, 1H), 4.99 (s, 2H), 5.09 (s, 2H), 6.41 (s, 2H), 6.99 (m, 2H), 7.30 (m, 3H).
216	δ 1.72 (m, 2H), 2.00 (m, 2H), 2.35 (t, 2H), 4.06-4.16 (m, 1H), 4.99 (s, 2H), 5.06 (s, 2H), 6.39 (s, 2H), 6.99 (m, 2H), 7.29 (m, 3H).
217	δ 1.06-1.35 (m, 6H), 2.67-3.00 (m, 1H), 3.21-3.46 (m, 2H), 4.97 (s, 2H), 5.13 (s, 2H), 6.25-6.54 (m, 2H), 6.91 (d, 2H), 7.15 (d, 2H).
218	δ 2.29 (s, 3H), 3.17-3.52 (m, 2H), 4.96 (s, 2H), 5.13 (s, 2H), 6.17-6.60 (m, 2H), 6.87 (d, 2H), 7.09 (d, 2H).
219	δ 3.06-3.47 (m, 2H), 3.77 (s, 3H), 4.93 (s, 2H), 5.14 (s, 2H), 6.40 (dd, 2H), 6.77-6.86 (m, 2H), 6.86 - 6.97 (m, 2H).
220	δ 3.32 (m, 1H), 3.37-3.39 (m, 4H), 4.39 (s, 2H), 5.12 (s, 2H), 6.31 (m, 1H), 6.40 (m, 1H).
221	(Acetone- <i>d</i> ₆) δ 1.32 (t, 3H), 3.23 - 3.51 (m, 2H), 4.30 (q, 2H), 4.48 (d, 2H), 6.44 (d, 1H), 7.14 (d, 1H), 8.00 (s, 1H).
301	δ 3.10 (m, 2H), 4.44 (m, 1H), 5.17 (s, 2H), 6.48 (s, 1H), 7.82 (m, 1H), 7.80 (d, 1H), 8.41 (s, 1H), 8.56 (d, 1H).
302	δ 1.73 (m, 2H), 2.02 (m, 2H), 2.38 (t, 2H), 4.15 (m, 1H), 5.15 (s, 2H), 6.47 (dd, 1H), 4.15 (m, 1H), 5.15 (s, 2H), 6.47 (dd, 1H), 7.74 (s, 1H), 7.83 (m, 1H), 8.00 (d, 1H), 8.41 (s, 1H), 8.57 (dd, 1H).

Comp. ¹H NMR Data (CDCl₃ solution unless indicated otherwise)^a

No.

303	δ 3.11 (m, 2H), 4.36-4.49 (m, 1H), 5.19 (s, 2H), 6.71 (d, 1H), 7.86 (dd, 1H), 8.06 (d, 1H), 8.49 (d, 1H), 8.62 (dd, 1H).
304	δ 1.74 (m, 2H), 2.06 (m, 2H), 2.39 (t, 2H), 4.07-4.16 (m, 1H), 5.17 (s, 2H), 6.71 (d, 1H), 7.87 (dd, 1H), 5.17 (s, 2H), 6.71 (d, 1H), 7.87 (dd, 1H), 8.03 (d, 1H), 8.43 (d, 1H), 8.62 (dd, 1H).
305	δ 3.07-3.57 (m, 2H), 5.19 (d, 2H), 6.72 (d, 1H), 7.87 (dd, 1H), 8.06 (d, 1H), 8.45 (d, 1H), 8.62 (d, 1H).
401	δ 3.29 (m, 2H), 4.49 (m, 2H), 6.39 (m, 1H), 7.28-7.30 (m, 1H), 7.64 (m, 1H), 8.50 (m, 1H), 8.53 (m, 1H).
402	δ 3.29-3.36 (m, 2H), 4.60 (m, 2H), 7.14 (m, 1H), 7.21-7.27 (m, 2H), 7.69 (m, 1H), 8.55 (m, 1H).
403	δ 3.29-3.36 (m, 2H), 4.49 (m, 2H), 6.66 (m, 1H), 7.18 (m, 2H), 8.54 (m, 2H).

^a ¹H NMR data are in ppm downfield from tetramethylsilane. Couplings are designated by (s)-singlet, (d)-doublet, (t)-triplet, (q)-quartet, (m)-multiplet, (dd)-doublet of doublets, (dt)-doublet of triplets, (br s)-broad singlet.

BIOLOGICAL EXAMPLES OF THE INVENTION

The following TESTS demonstrate the control efficacy of compounds of this invention on specific pests. "Control efficacy" represents inhibition of invertebrate pest development (including mortality) that causes significantly reduced feeding. The pest control protection afforded by the compounds is not limited, however, to these species. See Index Tables A-E for compound descriptions.

TEST A

For evaluating control of diamondback moth (*Plutella xylostella*) the test unit consisted of a small open container with a 12-14-day-old radish plant inside. This was pre-infested with 10-15 neonate larvae on a piece of insect diet by use of a core sampler to remove a plug from a sheet of hardened insect diet having many larvae growing on it and transfer the plug containing larvae and diet to the test unit. The larvae moved onto the test plant as the diet plug dried out.

Test compounds were formulated using a solution containing 10% acetone, 90% water and 300 ppm X-77® Spreader Lo-Foam Formula non-ionic surfactant containing alkylarylpoloxyethylene, free fatty acids, glycols and isopropanol (Loveland Industries, Inc. Greeley, Colorado, USA). The formulated compounds were applied in 1 mL of liquid through a SUJ2 atomizer nozzle with 1/8 JJ custom body (Spraying Systems Co. Wheaton, Illinois, USA) positioned 1.27 cm (0.5 inches) above the top of each test unit. Test compounds were sprayed at 50 ppm and replicated three times. After spraying of the formulated test compound, each test unit was allowed to dry for 1 hour and then a black, screened cap was placed on top. The test units were held for 6 days in a growth chamber at

25 °C and 70% relative humidity. Plant feeding damage was then visually assessed based on foliage consumed.

Of the compounds tested the following provided very good to excellent levels of plant protection (20% or less feeding damage): 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 101, 102, 103,
5 104, 106, 107, 108, 109, 110, 112, 201, 202, 203, 204, 205, 206, 207, 208, 209, 210, 212, 213, 214, 215, 216, 301, 302, 303 and 304.

TEST B

For evaluating control of corn planthopper (*Peregrinus maidis*) through contact and/or systemic means, the test unit consisted of a small open container with a 3–4-day-old corn
10 (maize) plant (spike) inside. White sand was added to the top of the soil prior to application. Test compounds were formulated and sprayed at 50 ppm and replicated three times as described for Test A. After spraying, the test units were allowed to dry for 1 hour before they were post-infested with 10–20 corn planthoppers (18–20-day-old nymphs) by sprinkling them onto the sand with a salt shaker. A black, screened cap was placed on the
15 top of the cylinder. The test units were held for 6 days in a growth chamber at 19–21 °C and 50–70% relative humidity. Each test unit was then visually assessed for insect mortality.

Of the compounds tested, the following resulted in at least 80% mortality: 1, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 101, 103, 104, 109, 110, 201, 202, 203, 207, 211, 212, 215 and 301.

TEST C

20 For evaluating control of green peach aphid (*Myzus persicae*) through contact and/or systemic means, the test unit consisted of a small open container with a 12–15-day-old radish plant inside. A piece of leaf infested with 30–40 aphids was cut from a culture plant (cut leaf method) and placed on a leaf of the test plant. The larvae moved onto the test plant as the leaf piece desiccated. After pre-infestation, the soil of the test unit was covered with a
25 layer of sand.

Test compounds were formulated and sprayed at 50 ppm and replicated three times as described for Test A. After spraying of the formulated test compound, each test unit was allowed to dry for 1 hour and then a black, screened cap was placed on top. The test units were held for 6 days in a growth chamber at 19–21 °C and 50–70% relative humidity. Each
30 test unit was then visually assessed for insect mortality.

Of the compounds tested, the following resulted in at least 80% mortality: 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 101, 102, 103, 104, 105, 106, 107, 108, 109, 110, 111, 112, 129, 131, 201, 202, 203, 204, 205, 206, 207, 208, 209, 210, 211, 212, 213, 214, 215, 216, 301, 302, 303 and 304.

TEST D

35 For evaluating control of cotton melon aphid (*Aphis gossypii*) through contact and/or systemic means, the test unit consisted of a small open container with a 6–7-day-old cotton plant inside. This was pre-infested with 30–40 insects on a piece of leaf according to the

cut-leaf method described for Test A, and the soil of the test unit was covered with a layer of sand.

Test compounds were formulated and sprayed at 50 ppm as described for Test A. The applications were replicated three times. After spraying, the test units were maintained in a growth chamber and then visually rated as described for Test C.

Of the compounds tested, the following resulted in at least 80% mortality: 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 101, 102, 103, 104, 105, 106, 107, 108, 109, 110, 111, 112, 130, 201, 202, 203, 204, 205, 206, 207, 208, 209, 210, 211, 212, 213, 214, 215, 216, 301, 302, 303 and 304.

TEST E

For evaluating control of potato leafhopper (*Empoasca fabae*) through contact and/or systemic means, the test unit consisted of a small open container with a 5–6-day-old Longio bean plant (primary leaves emerged) inside. White sand was added to the top of the soil and one of the primary leaves was excised prior to application. Test compounds were formulated and sprayed at 50 ppm and replicated three times as described for Test A. After spraying, the test units were allowed to dry for 1 hour before they were post-infested with 5 potato leafhoppers (18–21-day-old adults). A black, screened cap was placed on the top of the cylinder. The test units were held for 6 days in a growth chamber at 19–21 °C and 50–70% relative humidity. Each test unit was then visually assessed for insect mortality.

Of the compounds tested, the following resulted in at least 80% mortality: 103, 301, 302 and 303.

TEST F

For evaluating control of silverleaf whitefly (*Bemisia tabaci*), the test unit consisted of a 14–21-day-old cotton plant grown in Redi-earth® media (Scotts Co.) with at least two true leaves infested with 2nd and 3rd instar nymphs on the underside of the leaves.

Test compounds were formulated in no more than 2 mL of acetone and then diluted with water to 25–30 mL. The formulated compounds were applied using a flat fan air-assisted nozzle (Spraying Systems 122440) at 10 psi (69 kPa). Plants were sprayed to run-off on a turntable sprayer (described in patent application EP-1110617-A1). All experimental compounds in this screen were sprayed at 50 ppm and replicated three times. After spraying of the test compound, the test units were held for 6 days in a growth chamber at 50–60% relative humidity and 28 °C daytime and 24 °C nighttime temperature. Then the leaves were removed, and dead and live nymphs were counted to calculate percent mortality.

Of the compounds tested, the following resulted in at least 80% mortality: 1, 2, 3, 4, 6, 7, 8, 9, 10, 12, 102, 106, 107 and 216.

TEST G

For evaluating control of the western flower thrip (*Frankliniella occidentalis*) through contact and/or systemic means, the test unit consisted of a small open container with a 5–7-day-old Longio Bean plant inside.

5 Test compounds were formulated and sprayed at 50 ppm and replicated three times as described for Test A. After spraying, the test units were allowed to dry for 1 hour, 22–27 adult thrips were added to the unit, and then a black, screened cap was placed on top. The test units were held for 7 days at 25 °C and 45–55% relative humidity.

10 Of the compounds tested, the following provided excellent levels of plant protection (20% or less feeding damage): 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 101, 102, 103, 104, 105, 106, 107, 108, 109, 110, 201, 202, 203, 204, 205, 207, 208, 209, 210, 211, 212, 213, 214, 215, 216, 301, 302 and 303.

TEST H

15 For evaluating control of the root knot nematode (*Meloidogyne incognita*) through contact and/or systemic means, the test unit consisted of a small open container with a 7–9-day-old tomato plant inside.

20 Test compounds were formulated and sprayed at 50 and 10 ppm and replicated three times as described for Test A. After spraying, the test units were allowed to dry for 1 hour, about 250 juvenile stage 2 (J2) larvae were pipetted into the soil, and then a black, screened cap was placed on top. The test units were held for 6 days at 25 °C and 65–70% relative humidity. Each test unit was then visually assessed for root damage.

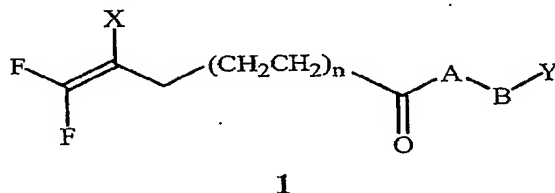
25 Of the compounds tested, the following provided excellent levels of plant protection (80% or more reduction in root galling): 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 101, 102, 103, 104, 105, 106, 107, 108, 109, 110, 111, 112, 113, 114, 117, 118, 119, 120, 121, 122, 123, 124, 127, 128, 129, 130, 131*, 132*, 201, 202, 203, 204, 205, 206, 207, 208, 209, 210, 211, 212, 213, 214, 215, 216, 220, 221, 301, 302, 303, 304 and 401*.

* means excellent levels of plant protection observed only at 50 ppm.

CLAIMS

What is claimed is:

1. A compound of Formula 1, an *N*-oxide, or a salt thereof,



5 wherein:

X is H, F, C₁-C₄ alkyl, or C₁-C₄ haloalkyl;

A is O, S or NR¹;

B is C₁-C₄ alkylene;

10 Y is a 5- or 6-membered heteroaromatic ring or an aromatic 8-, 9- or 10-membered fused heterobicyclic ring system, each ring or ring system optionally substituted with 1 to 6 substituents independently selected from R²; or

Y is O(CH₂CH₂O)_mR³;

R¹ is H, C₁-C₄ alkyl, C₁-C₄ haloalkyl, phenylthio, methylphenylthio, or trichloromethylthio;

15 each R² is independently C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₄-C₇ alkylcycloalkyl, C₄-C₇ cycloalkylalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, C₄-C₇ haloalkylcycloalkyl, C₄-C₇ halocycloalkylalkyl, halogen, -CN, -NO₂, CHO, COOH, NH₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₂-C₆ alkoxyalkyl, 20 C₂-C₆ haloalkoxyalkyl, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₄-C₇ (alkyl)(cycloalkyl)amino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl; or

25 each R² is independently a 5- or 6-membered heteroaromatic ring, phenyl, phenylmethyl, (phenyloxy)(C₁-C₄ alkyl) or (phenylmethyloxy)(C₁-C₄ alkyl), each heteroaromatic ring or phenyl optionally substituted with one or more R⁴;

R³ is H, C₁-C₄ alkyl, phenyl, phenylmethyl, C₂-C₆ alkylcarbonyl, C₂-C₆ alkylaminocarbonyl or C₃-C₈ dialkylaminocarbonyl, each optionally substituted 30 with one or more R⁵;

each R⁴ is independently C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₄-C₇ alkylcycloalkyl, C₄-C₇ cycloalkylalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, C₄-C₇ haloalkylcycloalkyl, C₄-C₇ halocycloalkylalkyl, halogen, -CN, -NO₂, CHO,

COOH, NH₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₄-C₇ (alkyl)(cycloalkyl)amino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

each R⁵ is independently C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₄-C₇ alkylcycloalkyl, C₄-C₇ cycloalkylalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, C₄-C₇ haloalkylcycloalkyl, C₄-C₇ halocycloalkylalkyl, halogen, -CN, -NO₂, CHO, COOH, NH₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₄-C₇ (alkyl)(cycloalkyl)amino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

n is 0, 1 or 2; and

m is 1, 2, 3, 4 or 5.

2. A compound of Claim 1 wherein

X is H, F or CH₃;

A is O or NR¹;

Y is a 5- or 6-membered heteroaromatic ring optionally substituted with 1 to 6 substituents independently selected from R²;

each R² is independently C₁-C₄ alkyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₃-C₆ halocycloalkyl, halogen, -CN, -NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl or C₁-C₄ alkylsulfonyl; or

each R² is independently a 5- or 6-membered heteroaromatic ring, phenyl, phenylmethyl, (phenyloxy)(C₁-C₄ alkyl) or (phenylmethyloxy)(C₁-C₄ alkyl), each heteroaromatic ring or phenyl optionally substituted with one or more R⁴;

each R⁴ is independently C₁-C₄ alkyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₃-C₆ halocycloalkyl, halogen, -CN, -NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl or C₁-C₄ alkylsulfonyl; and

n is 0 or 1.

3. A compound of Claim 1 wherein

X is H, F or CH₃;

A is O or NR¹;

Y is O(CH₂CH₂O)_mR³;

R³ is C₁-C₄ alkyl, phenyl or phenylmethyl, each optionally substituted one or more R⁵;

each R⁵ is independently C₁-C₄ alkyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₃-C₆ halocycloalkyl, halogen, -CN, -NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl or C₁-C₄ alkylsulfonyl;

m is 1 or 2; and

n is 0 or 1.

4. The compound of Claim 1 which is selected from the group consisting of:

2-[3-(4-fluorophenyl)-1*H*-pyrazol-1-yl]ethyl 4,4-difluoro-3-butenate,

2-[3-(4-fluorophenyl)-1*H*-pyrazol-1-yl]ethyl 6,6-difluoro-5-hexenoate,

2-[3-(4-fluorophenyl)-1*H*-pyrazol-1-yl]ethyl 3,4,4-trifluoro-3-butenate,

[5-[(4-methoxyphenoxy)methyl]-2-furanyl]methyl 4,4-difluoro-3-butenate,

[5-[(4-methoxyphenoxy)methyl]-2-furanyl]methyl 6,6-difluoro-5-hexenoate,

2-[2-[4-(trifluoromethyl)phenoxy]ethoxy]ethyl 4,4-difluoro-3-butenate,

2-[2-[4-(trifluoromethyl)phenoxy]ethoxy]ethyl 6,6-difluoro-5-hexenoate, and

2-[3-(4-methoxyphenyl)-1*H*-pyrazol-1-yl]ethyl 3,4,4-trifluoro-3-butenate.

5. A composition comprising a compound of Claim 1 and at least one additional component selected from the group consisting of surfactants, solid diluents and liquid diluents, said composition optionally further comprising at least one additional biologically active compound or agent.

6. A composition for controlling an invertebrate pest comprising a biologically effective amount of a compound of Claim 1 and at least one additional component selected from the group consisting of surfactants, solid diluents and liquid diluents, said composition optionally further comprising a biologically effective amount of at least one additional biologically active compound or agent.

7. The composition of Claim 6 wherein the at least one additional biologically active compound or agent is selected from insecticides and acaricides of the group consisting of sodium channel modulators; cholinesterase inhibitors; nicotinic receptor modulators; insecticidal macrocyclic lactones; GABA-regulated chloride channel modulators; chitin synthesis inhibitors; juvenile hormone mimics; octopamine receptor modulators; ecdysone receptor agonists; ryanodine receptor ligands; nereistoxin analogs; mitochondrial electron transport inhibitors; lipid biosynthesis inhibitors; cyclodiene insecticides; a member of *Bacillus thuringiensis*; an encapsulated delta-endotoxin of *Bacillus thuringiensis*; and a naturally occurring or a genetically modified viral insecticide.

8. The composition of Claim 6 wherein the at least one additional biologically active compound or agent is selected from the group consisting of abamectin, acephate, acetamiprid, acetoprole, aldicarb, amidoflumet, amitraz, avermectin, azadirachtin, azinphos-methyl, bifenthrin, bifenazate, bistrifluron, buprofezin, carbofuran, cartap, chinomethionat, chlorantraniliprole, chlorfenapyr, chlorfluazuron, chlorpyrifos, chlorpyrifos-methyl, chlorobenzilate, chromafenozide, clothianidin, cyflumetofen, cyfluthrin,

beta-cyfluthrin, cyhalothrin, gamma-cyhalothrin, lambda-cyhalothrin, cyhexatin, cypermethrin, alpha-cypermethrin, zeta-cypermethrin, cyromazine, deltamethrin, diafenthiuron, diazinon, dicofol, dieldrin, dienochlor, diflubenzuron, dimefluthrin, dimethoate, dinotefuran, diofenolan, emamectin, emamectin benzoate, endosulfan, 5 esfenvalerate, ethiprole, etoxazole, fenamiphos, fenazaquin, fenbutatin oxide, fenothiocarb, fenoxycarb, fenpropathrin, fenpyroximate, fenvalerate, fipronil, flonicamid, flubendiamide, flucythrinate, tau-fluvalinate, flufenimer, flufenoxuron, fonophos, halofenozide, hexaflumuron, hexythiazox, hydramethylnon, imicyafos, imidacloprid, indoxacarb, isofenphos, lufenuron, malathion, metaflumizone, metaldehyde, methamidophos, 10 methidathion, methomyl, methoprene, methoxychlor, methoxyfenozide, metofluthrin, monocrotophos, nitenpyram, nithiazine, novaluron, noviflumuron, oxamyl, parathion, parathion-methyl, permethrin, phorate, phosalone, phosmet, phosphamidon, pirimicarb, profenofos, profluthrin, propargite, protrifenbute, pymetrozine, pyrafluprole, pyrethrin, pyridaben, pyridalyl, pyrifluquinazon, pyriprole, pyriproxyfen, rotenone, ryanodine, 15 spinetoram, spinosad, spirotetramat, sulprofos, tebufenozide, tebufenpyrad, teflubenzuron, tefluthrin, terbufos, tetrachlorvinphos, thiocloprid, thiamethoxam, thiodicarb, thiosultap-sodium, tolfenpyrad, tralomethrin, triazamate, trichlorfon, triflumuron, *Bacillus thuringiensis* subsp. *aizawai*, *Bacillus thuringiensis* subsp. *kurstaki*, nucleopolyhedrovirus, an encapsulated delta-endotoxin of *Bacillus thuringiensis*, 20 baculovirus, entomopathogenic bacteria, entomopathogenic virus and entomopathogenic fungi.

9. The composition of Claim 8 wherein the at least one additional biologically active compound or agent is selected from the group consisting of abamectin, acetamiprid, amitraz, avermectin, azadirachtin, bifenthrin, buprofezin, cartap, chlorantraniliprole, 25 chlorfenapyr, chlorpyrifos, clothianidin, cyfluthrin, beta-cyfluthrin, cyhalothrin, lambda-cyhalothrin, cypermethrin, cyromazine, deltamethrin, dieldrin, dinotefuran, diofenolan, emamectin, endosulfan, esfenvalerate, ethiprole, fenothiocarb, fenoxycarb, fenvalerate, fipronil, flonicamid, flubendiamide, flufenoxuron, hexaflumuron, hydramethylnon, imidacloprid, indoxacarb, lufenuron, metaflumizone, methomyl, methoprene, 30 methoxyfenozide, nitenpyram, nithiazine, novaluron, oxamyl, pymetrozine, pyrethrin, pyridaben, pyridalyl, pyriproxyfen, ryanodine, spinetoram, spinosad, spirotetramat, spiromesifen, tebufenozide, thiocloprid, thiamethoxam, thiodicarb, thiosultap-sodium, tralomethrin, triazamate, triflumuron, *Bacillus thuringiensis* subsp. *aizawai*, *Bacillus thuringiensis* subsp. *kurstaki*, nucleopolyhedrovirus and an encapsulated delta-endotoxin of 35 *Bacillus thuringiensis*.

10. The composition of Claim 6 in the form of a soil drench liquid formulation.

11. A spray composition for controlling an invertebrate pest, comprising:

(a) a biologically effective amount of the compound of Claim 1 or the composition of Claim 4; and

(b) a propellant.

12. A bait composition for controlling an invertebrate pest, comprising:

(a) a biologically effective amount of the compound of Claim 1 or the composition of Claim 4;

(b) one or more food materials;

(c) optionally an attractant; and

(d) optionally a humectant.

13. A trap device for controlling an invertebrate pest, comprising:

(a) the bait composition of Claim 12; and

(b) a housing adapted to receive the bait composition, wherein the housing has at least one opening sized to permit the invertebrate pest to pass through the opening so the invertebrate pest can gain access to the bait composition from a location outside the housing, and wherein the housing is further adapted to be placed in or near a locus of potential or known activity for the invertebrate pest.

14. A method for controlling an invertebrate pest comprising contacting the invertebrate pest or its environment with a biologically effective amount of a compound of Claim 1.

15. A method for controlling an invertebrate pest comprising contacting the invertebrate pest or its environment with a composition of Claim 4.

16. The method of Claim 15 wherein the environment is soil and the composition is applied to the soil as a soil drench formulation.

17. A method for controlling a cockroach, an ant or a termite, comprising contacting a cockroach, an ant, or a termite with the bait composition in a trap device of Claim 12.

18. A method for controlling a mosquito, a black fly, a stable fly, a deer fly, a horse fly, a wasp, a yellow jacket, a hornet, a tick, a spider, an ant, or a gnat, comprising contacting a mosquito, a black fly, a stable fly, a deer fly, a horse fly, a wasp, a yellow jacket, a hornet, a tick, a spider, an ant, or a gnat with the spray composition of Claim 11 dispensed from a spray container.

19. A method for protecting a seed from an invertebrate pest comprising contacting the seed with a biologically effective amount of a compound of Claim 1.

20. The method of Claim 19 wherein the seed is coated with the compound of Claim 1 formulated as a composition comprising a film former or adhesive agent.

21. A treated seed comprising a compound of Claim 1 in an amount of from about 0.0001 to 1 % by weight of the seed before treatment.

INTERNATIONAL SEARCH REPORT

International application No
PCT/US2007/001457

A. CLASSIFICATION OF SUBJECT MATTER

INV. C07D213/30 C07D231/12 C07D231/16 C07D307/42 C07D307/54
C07D401/04 C07C69/65 C07C255/54 A01N43/00 A01N37/06
A01N37/34 A01N43/08 A01N43/40 A01N43/56

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

C07D C07C A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	DE 195 31 300 A1 (BAYER AG [DE]; MONSANTO CO [US]) 27 February 1997 (1997-02-27) the whole document, in particular page 1, lines 3-6 and examples 44, 51 -----	1,2,4-21
X	US 4 950 666 A (PEAKE, CLINTON J. ET AL) 21 August 1990 (1990-08-21) the whole document, in particular compounds nr. 50, 152, 145 of table 1 -----	1,2,4-21



Further documents are listed in the continuation of Box C.



See patent family annex.

* Special categories of cited documents :

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

- *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- * & * document member of the same patent family

Date of the actual completion of the international search

20 June 2007

Date of mailing of the international search report

02/07/2007

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INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/US2007/001457

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
DE 19531300	A1	27-02-1997	AU 6872996 A	19-03-1997
			BR 9610023 A	06-07-1999
			CA 2230100 A1	06-03-1997
			CN 1200109 A	25-11-1998
			WO 9708132 A1	06-03-1997
			EP 0848698 A1	24-06-1998
			JP 11511438 T	05-10-1999
			TR 9800297 T1	21-05-1998
US 4950666	A	21-08-1990	NONE	